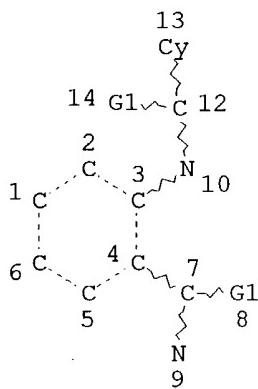


Northington-Davis
10/698643

10/698643

(FILE 'REGISTRY' ENTERED AT 14:36:19 ON 12 NOV 2004)
L24 STR



VAR G1=O/S

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

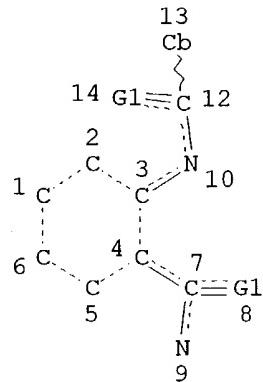
GRAPH ATTRIBUTES:

RSPEC I

NUMBER OF NODES IS 13

STEREO ATTRIBUTES: NONE

L26 14784 SEA FILE=REGISTRY SSS FUL L24 ← Temp saved 7 days
L62 STR



VAR G1=O/S

NODE ATTRIBUTES:

NSPEC IS RC AT 9

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

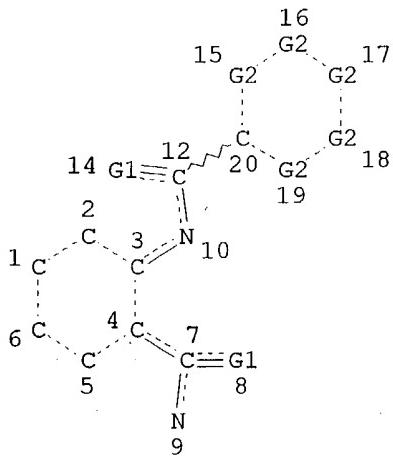
GRAPH ATTRIBUTES:

RSPEC I

NUMBER OF NODES IS 13

10/698643

STEREO ATTRIBUTES: NONE
L64 STR



VAR G1=O/S
VAR G2=N/O/S/C

NODE ATTRIBUTES:

NSPEC IS RC AT 9
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RSPEC I

NUMBER OF NODES IS 18

STEREO ATTRIBUTES: NONE

L65 658 SEA FILE=REGISTRY SUB=L26 SSS FUL (L64 NOT L62) ← Search for six¹⁶ member heterocycles only
100.0% PROCESSED 14654 ITERATIONS

SEARCH TIME: 00.00.02 658 ANSWERS "J"

FILE 'CAPLUS' ENTERED AT 14:45:28 ON 12 NOV 2004
L69 58 S L65
L70 22 S L69 NOT (PY=>2000 OR PD=>20000322)

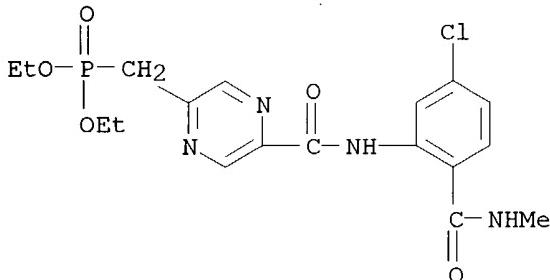
E1 THROUGH E56 ASSIGNED

L70 ANSWER 1 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:699078 CAPLUS
DOCUMENT NUMBER: 131:317778
TITLE: Phosphate derivatives for treatment of nephritis
INVENTOR(S): Miyata, Kazuyoshi; Tsuda, Yoshihiko; Koji, Yasuo;
Kuroki, Morihisa; Sakai, Yasuhiro; Mukai, Kiyoshi;
Hashimoto, Kinji; Kori, Hideaki
PATENT ASSIGNEE(S): Ohtsuka Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokyo Koho, 19 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1

Searcher : Shears 571-272-2528

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 11302177	A2	19991102	JP 1998-116645	19980427
PRIORITY APPLN. INFO.:			JP 1998-116645	19980427
OTHER SOURCE(S):		MARPAT 131:317778		
AB Phosphate derivs. (Markush's structures given) are claimed for treatment of nephritis. The derivs. inhibited mesangium cell proliferation in vitro. Examples of tablets, capsules, and granules were formulated.				
IT 192723-63-0	RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (phosphate derivs. for treatment of nephritis)			
RN 192723-63-0	CAPLUS			
CN	Phosphonic acid, [[5-[[[5-chloro-2-[{(methylamino)carbonyl]phenyl}amino]carbonyl]pyrazinyl]methyl]-, diethyl ester (9CI) (CA INDEX NAME)			



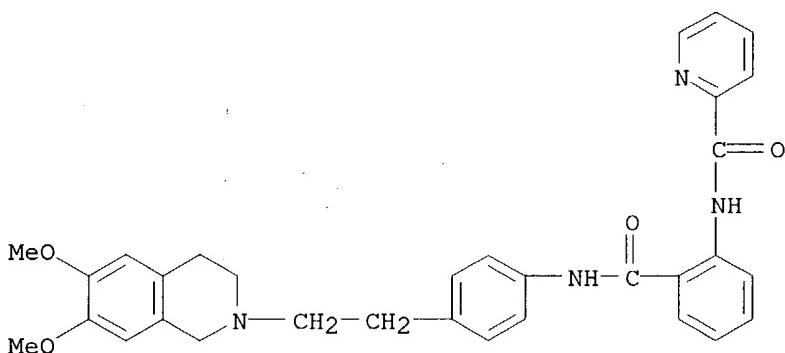
L70 ANSWER 2 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1999:188605 CAPLUS
 DOCUMENT NUMBER: 131:340
 TITLE: Reversal of P-glycoprotein mediated multidrug resistance by novel anthranilamide derivatives
 Roe, Michael; Folkes, Adrian; Ashworth, Philip;
 Brumwell, Julie; Chima, Lal; Hunjan, Sukhjit;
 Pretswell, Ian; Dangerfield, Wendy; Ryder, Hamish;
 Charlton, Peter
 AUTHOR(S):
 CORPORATE SOURCE: Xenova Ltd., Slough, SL1 4EF, UK
 SOURCE: Bioorganic & Medicinal Chemistry Letters (1999), 9(4),
 595-600
 CODEN: BMCLE8; ISSN: 0960-894X
 PUBLISHER: Elsevier Science Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB We have synthesized and evaluated a series of anthranilamide based modulators of P-glycoprotein. These studies have identified XR9576, a potent inhibitor of P-glycoprotein in vitro and in vivo. The general synthesis and the SAR of these compds. are described.
 IT 206873-73-6P 206873-74-7P 206873-76-9P
 206873-77-0P 206873-78-1P

10/698643

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel anthranilamide derivs. for reversal of P-glycoprotein mediated multidrug resistance)

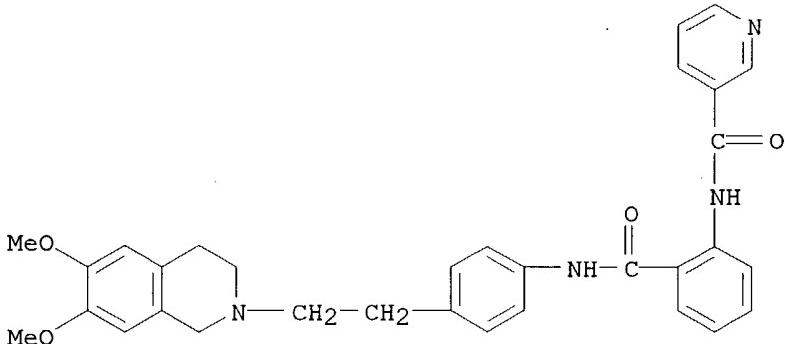
RN 206873-73-6 CAPLUS

CN 2-Pyridinecarboxamide, N-[2-[[[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethyl]phenyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



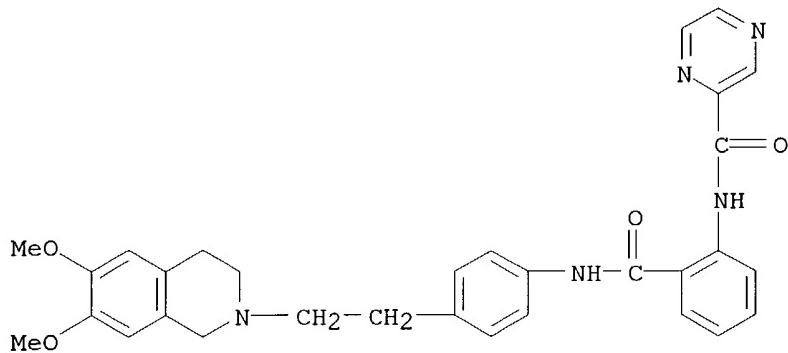
RN 206873-74-7 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-[[[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethyl]phenyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



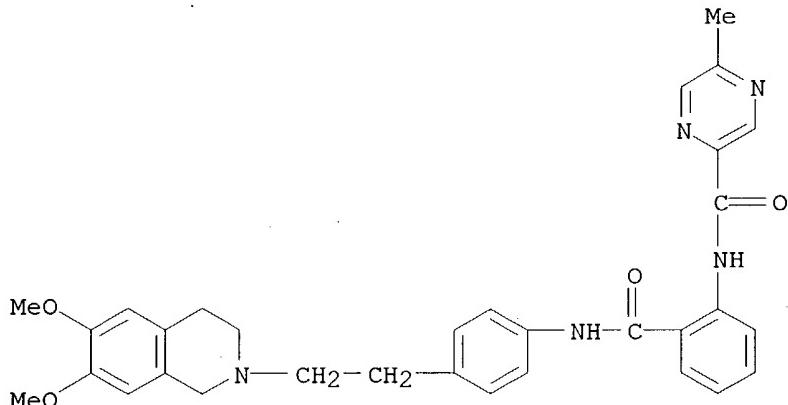
RN 206873-76-9 CAPLUS

CN Pyrazinecarboxamide, N-[2-[[[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethyl]phenyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



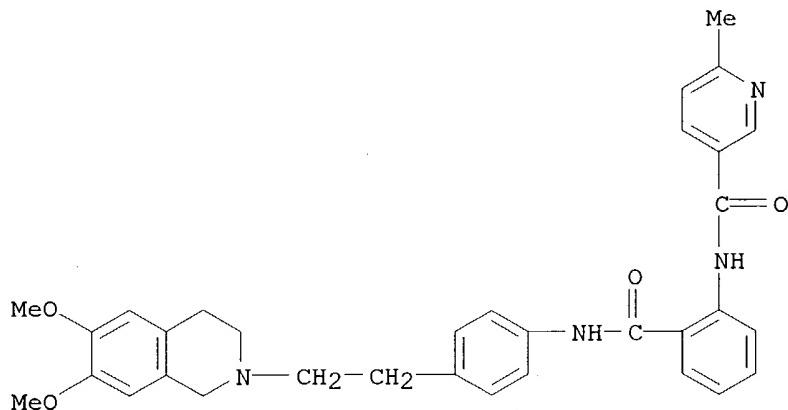
RN 206873-77-0 CAPLUS

CN Pyrazinecarboxamide, N-[2-[[[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethyl]phenyl]amino]carbonyl]phenyl]-5-methyl- (9CI) (CA INDEX NAME)



RN 206873-78-1 CAPLUS

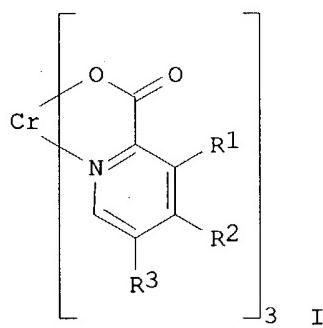
CN 3-Pyridinecarboxamide, N-[2-[[[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethyl]phenyl]amino]carbonyl]phenyl]-6-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L70 ANSWER 3 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1998:724208 CAPLUS
 DOCUMENT NUMBER: 130:33033
 TITLE: Chromium picolinate complexes and pharmaceuticals with hypoglycemic or insulin-lowering effect
 INVENTOR(S): Kuroki, Yasuhisa
 PATENT ASSIGNEE(S): Otsuka Pharmaceutical Co., Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 8 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10298189	A2	19981110	JP 1997-112682	19970430
PRIORITY APPLN. INFO.:			JP 1997-112682	19970430
OTHER SOURCE(S):	MARPAT	130:33033		
GI				



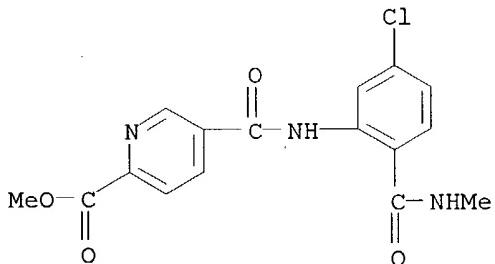
AB Hypoglycemic agents, their compns., or insulin-lowering compns. contain Cr complexes I [R1-R3 = H, lower alkyl, OH, benzoyl, lower alkoxy carbonyl, halo-substituted 3-(lower alkyl)-4(3H)-quinazolin-2-yl; R1 = R2 = R3 ≠ H] and optional carriers. 3-Hydroxypicolinic acid (4.17 g) was treated with 2.66 g CrCl₃.6H₂O in H₂O at 80° for 5 h to give 1.67 g trans-I.1/2H₂O (R1 = OH, R2 = R3 = H), which was orally administered to dexamethasone-treated rats to show 5% decrease of blood glucose (at 10 mg/kg dose) and 25% decrease of blood insulin (at 100 mg/kg dose). Formulation examples are given.

IT 216656-75-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of chromium picolinate complexes as hypoglycemic or insulin-lowering agents)

RN 216656-75-6 CAPLUS

CN 2-Pyridinecarboxylic acid, 5-[[[5-chloro-2-[(methylamino)carbonyl]phenyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



L70 ANSWER 4 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1998:606819 CAPLUS

DOCUMENT NUMBER:

129:297543

TITLE:

Synthesis and structure of chiral 2,6-bis[(2-carbamoylphenyl)carbamoyl]pyridine ligands

AUTHOR(S):

Yu, Qiang; Baroni, Timothy E.; Liable-Sands, Louise; Rheingold, Arnold L.; Borovik, A. S.

CORPORATE SOURCE:

Department of Chemistry, University of Kansas, Lawrence, KS, 66045, USA

SOURCE:

Tetrahedron Letters (1998), 39(38), 6831-6834

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER:

Elsevier Science Ltd.

DOCUMENT TYPE:

Journal

LANGUAGE:

English

AB The synthesis and structure of enantiomerically pure 2,6-bis[(2-carbamoylphenyl)carbamoyl]pyridine ligands are described. Appended from the aryl groups are optically active groups which provide a chiral environment around the planar pyridine core. NMR and x-ray diffraction studies show that these ligands contain helical character which is maintained by a network of intramolecular H bonds. These ligands can bind metal ions through their tridentate diamidato-pyridyl chelate to form optically active metal complexes. A Ni complex is prepared and its x-ray crystal structure is determined. The modular design of these ligands offers

a

variety of chiral environments about the metal chelate that can be useful in the synthesis of metal reagents for asym. transformations.

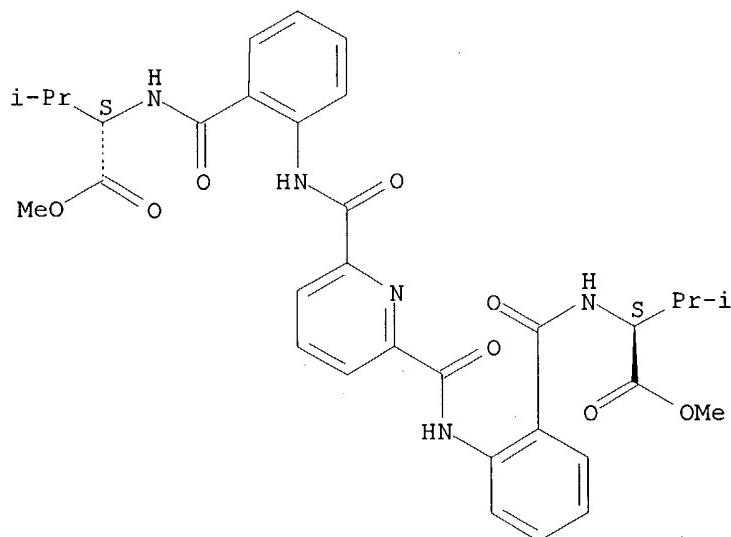
IT **214203-39-1P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and complexation with nickel)

RN 214203-39-1 CAPLUS

CN L-Valine, N,N'-[2,6-pyridinediylbis(carbonylimino-2,1-phenlenecarbonyl)]bis-, dimethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **214203-41-5P**

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation and crystal structure of)

RN 214203-41-5 CAPLUS

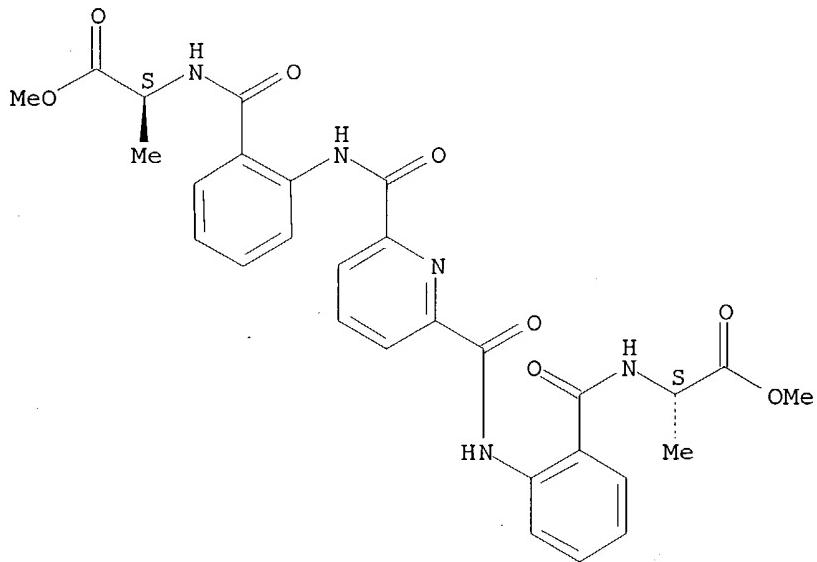
CN L-Alanine, N,N'-[2,6-pyridinediylbis(carbonylimino-2,1-phenlenecarbonyl)]bis-, dimethyl ester, compd. with dichloromethane (1:1) (9CI) (CA INDEX NAME)

CM 1

CRM 214203-38-0

CMF C29 H29 N5 O8

Absolute stereochemistry.



CM 2

CRN 75-09-2

CMF C H2 Cl2

Cl-CH₂-Cl

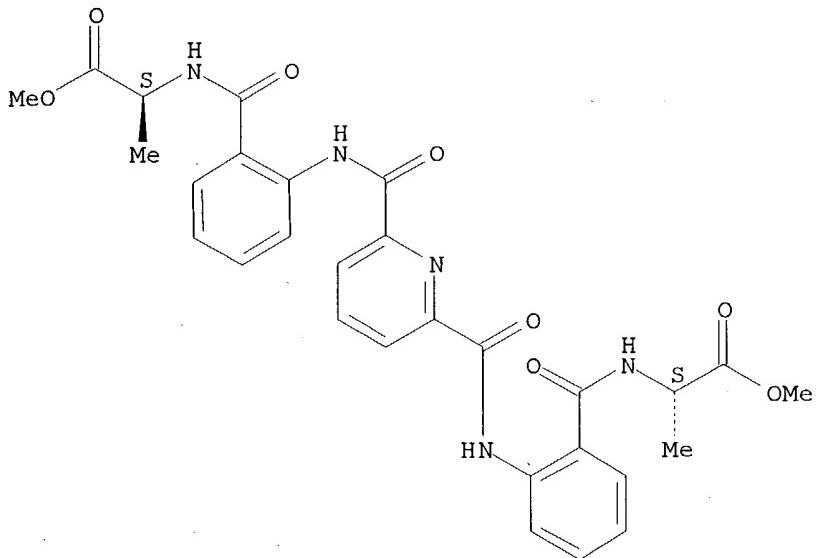
IT 214203-38-0P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation and mol. structure of)

RN 214203-38-0 CAPLUS

CN L-Alanine, N,N'-(2,6-pyridinediyl)bis(carbonylimino-2,1-phenylene)bis-, dimethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



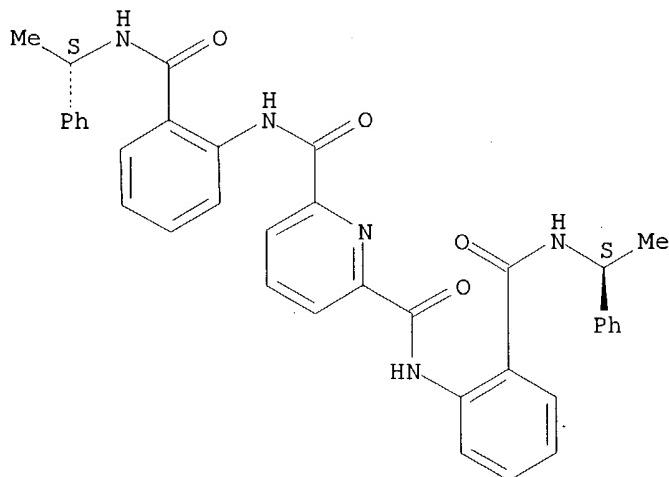
IT 214203-40-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 214203-40-4 CAPLUS

CN 2,6-Pyridinedicarboxamide, N,N'-bis[2-[[[(1S)-1-phenylethyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

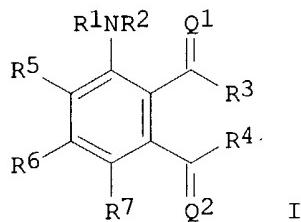
L70 ANSWER 5 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:13933 CAPLUS

Searcher : Shears 571-272-2528

DOCUMENT NUMBER: 128:75193
 TITLE: Preparation of aminophthalic acid derivatives as pesticides.
 INVENTOR(S): Elbe, Hans-Ludwig; Dutzmann, Stefan; Stenzel, Klaus
 PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Germany
 SOURCE: PCT Int. Appl., 110 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9747589	A1	19971218	WO 1997-EP2845	19970602
W: AU, BB, BG, BR, BY, CA, CN, CZ, HU, IL, JP, KR, KZ, LK, MX, NO, NZ, PL, RO, RU, SK, TR, UA, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
DE 19623744	A1	19971218	DE 1996-19623744	19960614
AU 9730936	A1	19980107	AU 1997-30936	19970602
PRIORITY APPLN. INFO.:			DE 1996-19623744	19960614
			WO 1997-EP2845	19970602

OTHER SOURCE(S): MARPAT 128:75193
 GI



AB Use of title compds. [I; Q1, Q2 = O, S; R1 = H, R11CO; R2 = R8R9NCO, R10OCO, R11CO, R12SO2; R8 = H, alkyl, cycloalkyl, (substituted) aryl, heteroaryl; R9 = H, alkyl; R8R9N = (substituted) heterocyclyl; R10 = (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, heterocyclyl; R11 = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, aryl, aralkyl, heterocyclyl; R12 = alkyl, aryl, heterocyclyl; R1R2 = CR13R14; R1R2N = (substituted) heterocyclyl; R13 = H, alkyl, alkenyl, cycloalkyl, (substituted) aryl, heterocyclyl; R14 = H, alkyl, alkenyl, cycloalkyl, cycloalkenyl, aryl, heterocyclyl, alkoxy, dialkylamino; R13R14 = cycloalkylidene; R3, R4 = OH, alkoxy, alkenyloxy, alkynyoxy, aralkoxy, cycloalkoxy, cycloalkenyloxy, aryloxy, heterocyclyloxy, aralkylthio, SH, arylthio, amino, etc.; R5-R7 = H, halo, cyano, NO₂, alkyl, alkoxy, alkylthio, haloalkyl, haloalkoxy, haloalkylthio] for combating pests is claimed. Thus, 3-nitrophthalic anhydride was heated with BuOH to give 88.1% 3-nitrophthalic acid 2-Bu ester. The latter was refluxed with DMF di-Me acetal in PhMe to give 92% 3-nitrophthalic acid 1-Me ester 2-Bu

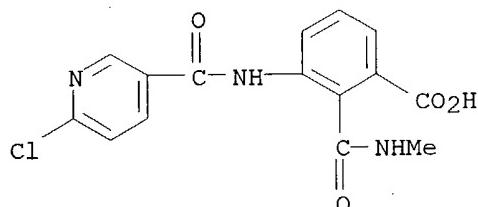
ester. This in H₂O/THF was treated with Zn and HCl to give 82.4% 3-aminophthalic acid 1-Me ester 2-Bu ester. I at 100 ppm gave 82-98% control of Botrytis cinerea on beans.

IT 200709-28-0P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of aminophthalic acid derivs. as pesticides)

RN 200709-28-0 CAPLUS

CN Benzoic acid, 3-[(6-chloro-3-pyridinyl)carbonyl]amino]-2-[(methylamino)carbonyl]- (9CI) (CA INDEX NAME)



L70 ANSWER 6 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1997:667205 CAPLUS

DOCUMENT NUMBER: 127:262339

TITLE: Novel Folding Patterns in a Family of Oligoanthranilamides: Non-Peptide Oligomers That Form Extended Helical Secondary Structures

AUTHOR(S): Hamuro, Yoshitomo; Geib, Steven J.; Hamilton, Andrew D.

CORPORATE SOURCE: Department of Chemistry, University of Pittsburgh, Pittsburgh, PA, 15260, USA

SOURCE: Journal of the American Chemical Society (1997), 119(44), 10587-10593

CODEN: JACSAT; ISSN: 0002-7863

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Anthranilamide derivs. are used as the basis for a series of novel oligomers that fold into helical secondary structures in the solid state. When combined with pyridine-2,6-dicarboxylic acid and 4,6-dimethoxy-1,3-diaminobenzene subunits, oligoanthranilamides can be induced to take up a coiled conformation corresponding to two turns of a helix. X-ray crystallog. show that intramol. hydrogen bonding and π-π stacking interactions are important in stabilizing the extended helical structures. Furthermore, both exptl. and calculated 1H NMR methods indicate that related conformations are taken up by the oligomers in chloroform solution

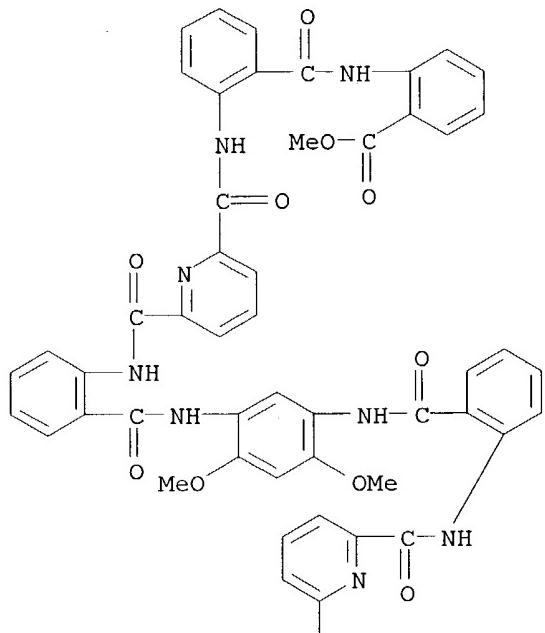
IT 196312-02-4P 196312-04-6P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (crystallog.; helical conformation of oligoanthranilamides)

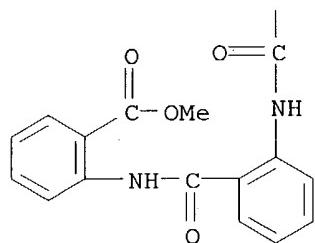
RN 196312-02-4 CAPLUS

CN Benzoic acid, 2,2'-(4,6-dimethoxy-1,3-phenylene)bis(iminocarbonyl-2,1-phenyleneiminocarbonyl-6,2-pyridinediylcarbonylimino-2,1-phenlenecarbonylimino)bis-, dimethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

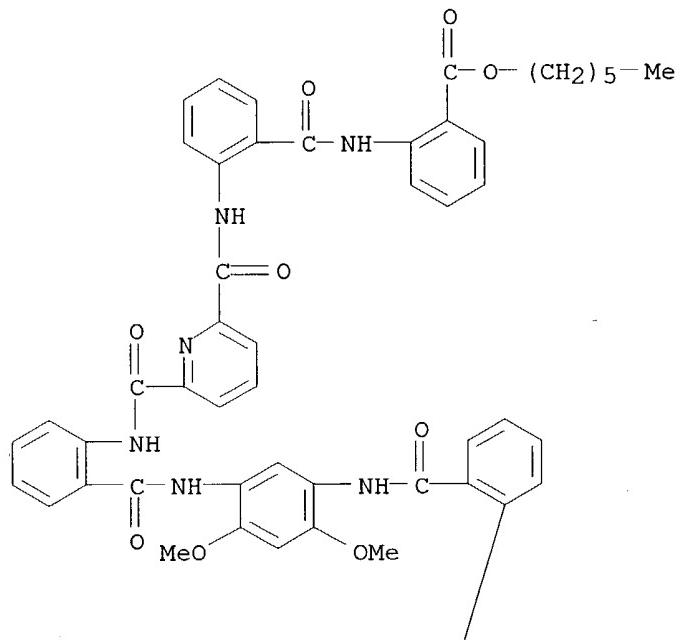


PAGE 2-A

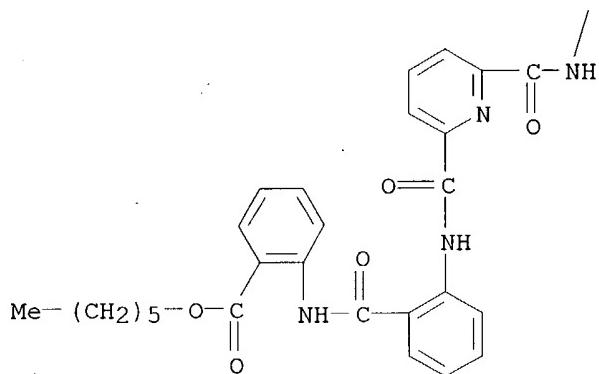


RN 196312-04-6 CAPLUS
 CN Benzoic acid, 2,2'-[(4,6-dimethoxy-1,3-phenylene)bis(iminocarbonyl-2,1-phenyleneiminocarbonyl-6,2-pyridinediylcarbonylimino-2,1-phenylene carbonylimino)]bis-, dihexyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

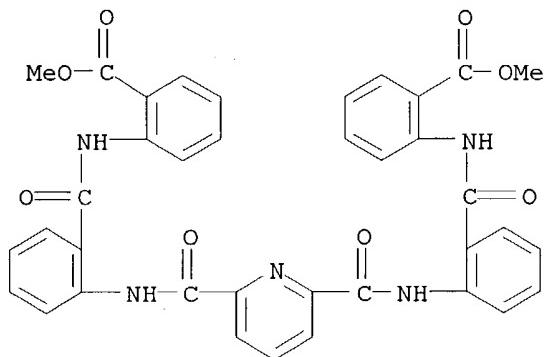


IT 155138-99-1

RL: PRP (Properties)
(helical conformation of oligoanthranilamides)

RN 155138-99-1 CAPLUS

CN Benzoic acid, 2,2'-[2,6-pyridinediylbis(carbonylimino-2,1-phenylene carbonylimino)]bis-, dimethyl ester (9CI) (CA INDEX NAME)



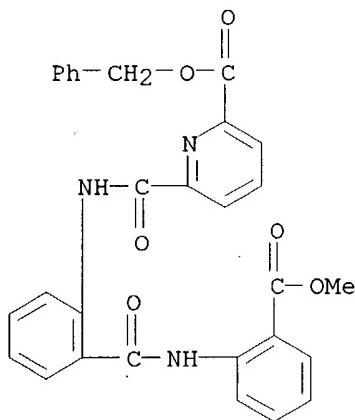
IT 196311-73-6P 196311-77-0P 196311-92-9P

196311-95-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

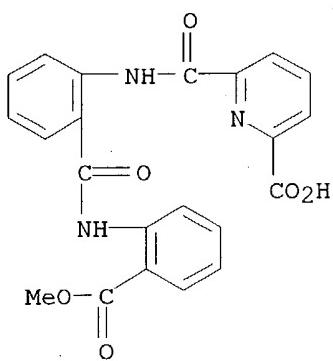
(intermediate; preparation of oligoanthranilamides)

RN 196311-73-6 CAPLUS

CN 2-Pyridinecarboxylic acid, 6-[[[2-[[[2-(methoxycarbonyl)phenyl]amino]carbo-
nyl]phenyl]amino]carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

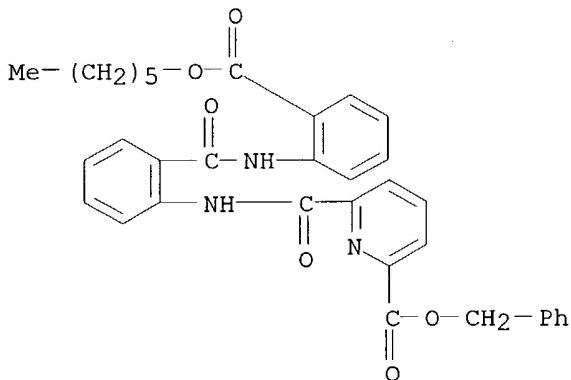
RN 196311-77-0 CAPLUS

CN 2-Pyridinecarboxylic acid, 6-[[[2-[[[2-(methoxycarbonyl)phenyl]amino]carbo-
nyl]phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



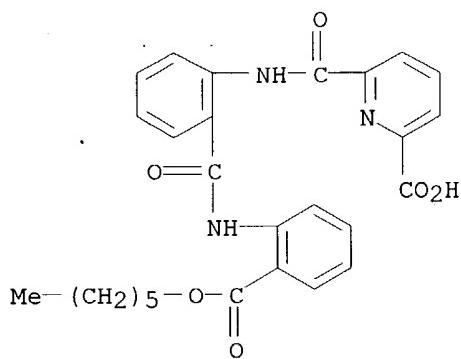
RN 196311-92-9 CAPLUS

CN 2-Pyridinecarboxylic acid, 6-[[[2-[[2-[(hexyloxy)carbonyl]phenyl]amino]carbonyl]phenyl]amino]carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 196311-95-2 CAPLUS

CN 2-Pyridinecarboxylic acid, 6-[[[2-[[2-[(hexyloxy)carbonyl]phenyl]amino]carbonyl]phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

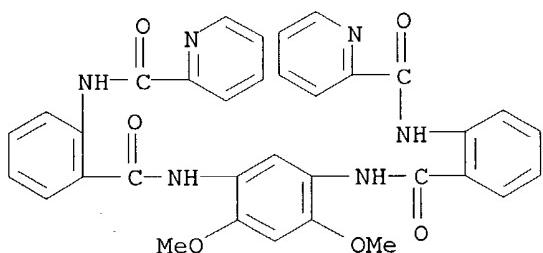


IT 196312-07-9P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(model; helical conformation of oligoanthranilamides)

RN 196312-07-9 CAPLUS

CN 2-Pyridinecarboxamide, N,N'-(4,6-dimethoxy-1,3-phenylene)bis(iminocarbonyl-2,1-phenylene)bis- (9CI) (CA INDEX NAME)



L70 ANSWER 7 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1996:446492 CAPLUS

DOCUMENT NUMBER: 125:167496

TITLE: Oligoanthranilamides. Non-Peptide Subunits That Show Formation of Specific Secondary Structure

AUTHOR(S): Hamuro, Yoshitomo; Geib, Steven J.; Hamilton, Andrew D.

CORPORATE SOURCE: Department of Chemistry, University of Pittsburgh, Pittsburgh, PA, 15260, USA

SOURCE: Journal of the American Chemical Society (1996), 118(32), 7529-7541

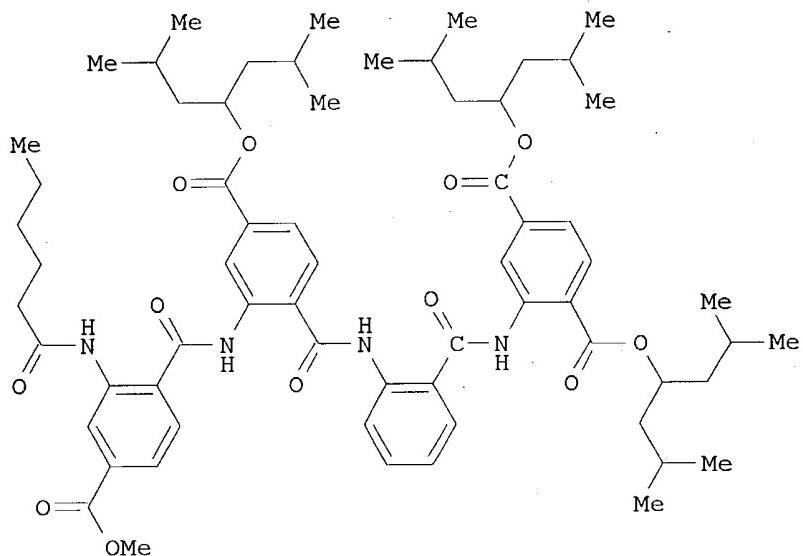
CODEN: JACSAT; ISSN: 0002-7863

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB A family of novel oligomers based on the anthranilamide nucleus has been prepared and shown to form well-defined secondary structural features. H NMR and X-ray crystallog. techniques have demonstrated that intramol. hydrogen bonds play a key role in stabilizing both linear sheet and helical conformational forms. An example compound is the oligomeric anthranilamide I.

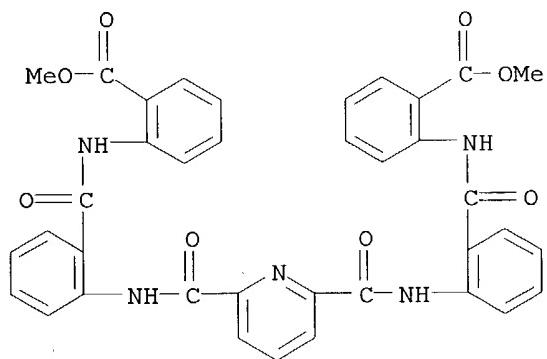
IT 155138-99-1P 155139-01-8P 180133-05-5P

180133-06-6P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (preparation and secondary structure determination of oligomeric anthranilamides)

RN 155138-99-1 CAPLUS

CN Benzoic acid, 2,2'-[2,6-pyridinediylbis(carbonylimino-2,1-phenlenecarbonylimino)]bis-, dimethyl ester (9CI) (CA INDEX NAME)

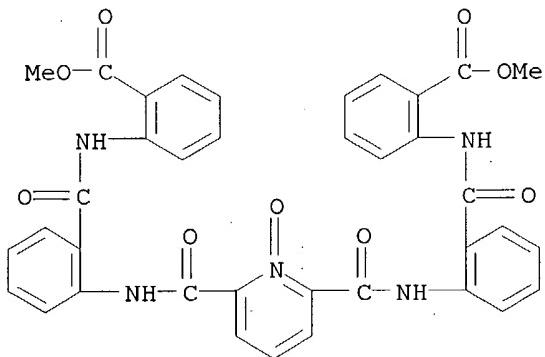


RN 155139-01-8 CAPLUS

CN Benzoic acid, 2,2'-(1-oxido-2,6-pyridinediyl)bis(carbonylimino-2,1-

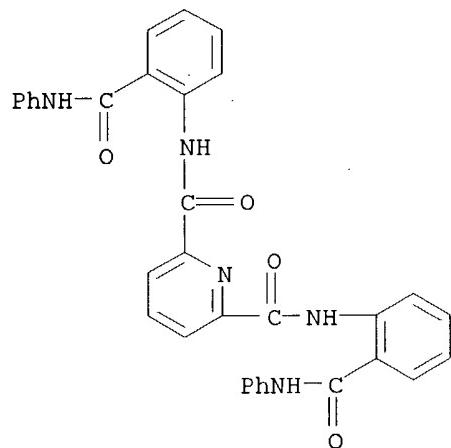
10/698643

phenylenecarbonylimino)]bis-, dimethyl ester (9CI) (CA INDEX NAME)



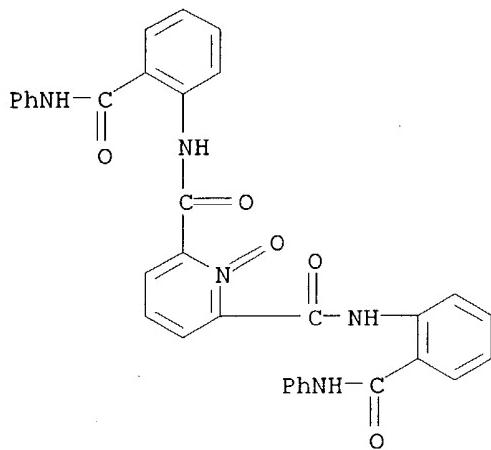
RN 180133-05-5 CAPLUS

CN 2,6-Pyridinedicarboxamide, N,N'-bis[2-[(phenylamino)carbonyl]phenyl]- (9CI) (CA INDEX NAME)



RN 180133-06-6 CAPLUS

CN 2,6-Pyridinedicarboxamide, N,N'-bis[2-[(phenylamino)carbonyl]phenyl]-, 1-oxide (9CI) (CA INDEX NAME)



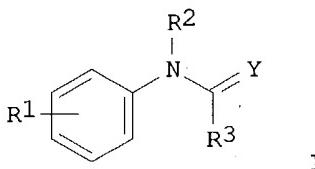
L70 ANSWER 8 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1995:995215 CAPLUS
 DOCUMENT NUMBER: 124:117098
 TITLE: Preparation of pyridylanilide derivatives as fungicides
 INVENTOR(S): Riordan, Peter Dominic; Boddy, Ian Kenneth; Osbourn, Susan Elisabeth
 PATENT ASSIGNEE(S): Agrevo UK Ltd., UK
 SOURCE: PCT Int. Appl., 35 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9525723	A1	19950928	WO 1995-GB570	19950316
W: AU, BG, BR, CA, CN, CZ, FI, HU, JP, KR, KZ, MX, NO, NZ, PL, RO, RU, SD, SK, UA, US				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9518981	A1	19951009	AU 1995-18981	19950316
AU 688473	B2	19980312		
EP 750611	A1	19970102	EP 1995-911403	19950316
EP 750611	B1	19980708		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
CN 1143954	A	19970226	CN 1995-192131	19950316
HU 74778	A2	19970228	HU 1996-2547	19950316
HU 214292	B	19980302		
BR 9507105	A	19970909	BR 1995-7105	19950316
JP 09510471	T2	19971021	JP 1995-524455	19950316
AT 168099	E	19980715	AT 1995-911403	19950316
ZA 9502205	A	19951031	ZA 1995-2205	19950317
US 5756524	A	19980526	US 1996-714149	19960918

PRIORITY APPLN. INFO.:

GB 1994-5347
WO 1995-GB57019940318
19950316OTHER SOURCE(S):
GI

MARPAT 124:117098



AB Title compds. I [X = O, S; R1, R2 = H, alkyl, cycloalkyl, alkenyl, etc.; R3 = (substituted) pyridyl, pyrimidinyl, pyrazinyl, etc.] were prepared Condensation of 6-methoxynicotinoyl chloride with Me anthranilate in the presence of Et3N in THF afforded I (X = O; R1 = R2 = H; R3 = 6-methoxy-3-pyridyl) which showed activity against barley powdery mildew, rice blast and apple scab at \leq 500 ppm.

IT 173055-91-9P 173056-05-8P 173056-17-2P

173056-21-8P 173056-46-7P 173056-75-2P

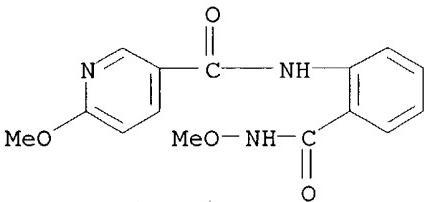
173056-88-7P 173056-95-6P 173056-96-7P

173056-97-8P 173057-04-0P 173057-19-7P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of anilide derivs. as fungicides)

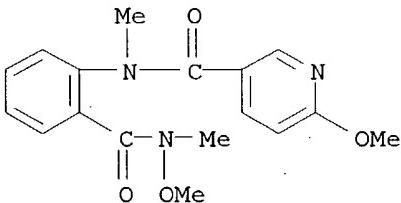
RN 173055-91-9 CAPLUS

CN 3-Pyridinecarboxamide, 6-methoxy-N-[2-[(methoxyamino)carbonyl]phenyl]- (9CI) (CA INDEX NAME)



RN 173056-05-8 CAPLUS

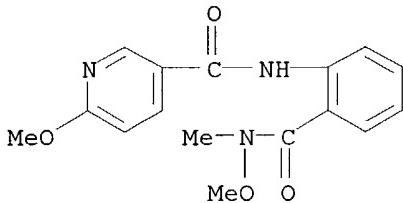
CN 3-Pyridinecarboxamide, 6-methoxy-N-[2-[(methoxymethylamino)carbonyl]phenyl]-N-methyl- (9CI) (CA INDEX NAME)



10/698643

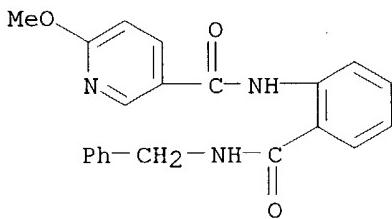
RN 173056-17-2 CAPLUS

CN 3-Pyridinecarboxamide, 6-methoxy-N-[2-[(methoxymethylamino) carbonyl] phenyl]- (9CI) (CA INDEX NAME)



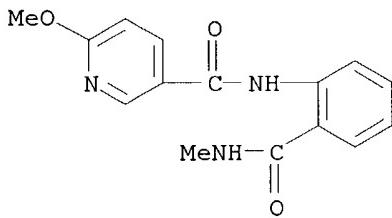
RN 173056-21-8 CAPLUS

CN 3-Pyridinecarboxamide, 6-methoxy-N-[2-[[(phenylmethyl) amino] carbonyl] phenyl]- (9CI) (CA INDEX NAME)



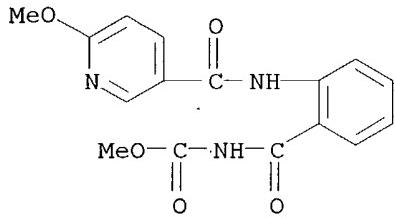
RN 173056-46-7 CAPLUS

CN 3-Pyridinecarboxamide, 6-methoxy-N-[2-[(methylamino) carbonyl] phenyl]- (9CI) (CA INDEX NAME)

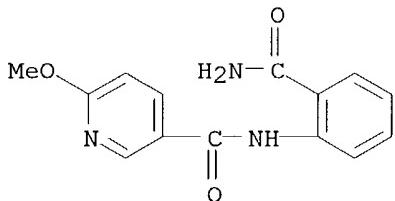


RN 173056-75-2 CAPLUS

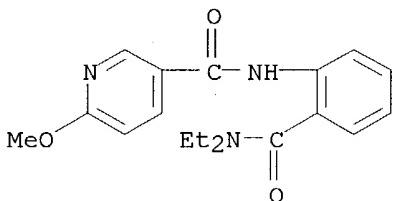
CN Carbamic acid, [2-[[(6-methoxy-3-pyridinyl) carbonyl] amino]benzoyl]-, methyl ester (9CI) (CA INDEX NAME)



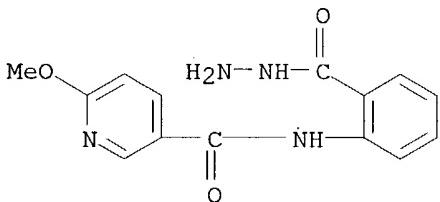
RN 173056-88-7 CAPLUS
 CN 3-Pyridinecarboxamide, N-[2-(aminocarbonyl)phenyl]-6-methoxy- (9CI) (CA INDEX NAME)



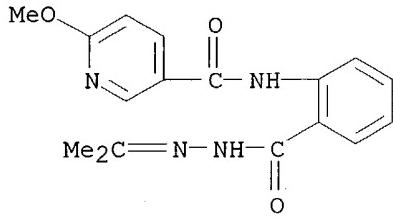
RN 173056-95-6 CAPLUS
 CN 3-Pyridinecarboxamide, N-[2-[(diethylamino)carbonyl]phenyl]-6-methoxy- (9CI) (CA INDEX NAME)



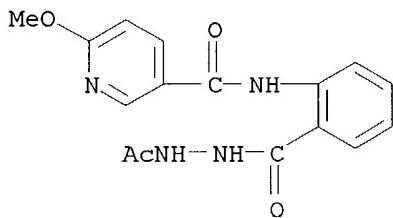
RN 173056-96-7 CAPLUS
 CN Benzoic acid, 2-[(6-methoxy-3-pyridinyl)carbonyl]amino-, hydrazide (9CI) (CA INDEX NAME)



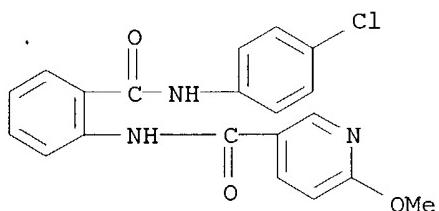
RN 173056-97-8 CAPLUS
 CN Benzoic acid, 2-[(6-methoxy-3-pyridinyl)carbonyl]amino-, (1-methylethylidene)hydrazide (9CI) (CA INDEX NAME)



RN 173057-04-0 CAPLUS
 CN Benzoic acid, 2-[(6-methoxy-3-pyridinyl)carbonyl]amino-,
 2-acetylhydrazide (9CI) (CA INDEX NAME)



RN 173057-19-7 CAPLUS
 CN 3-Pyridinecarboxamide, N-[2-[(4-chlorophenyl)amino]carbonyl]phenyl-6-methoxy- (9CI) (CA INDEX NAME)



L70 ANSWER 9 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1995:915317 CAPLUS
 DOCUMENT NUMBER: 124:145557
 TITLE: Structure-based design of achiral anthranilamides as P2/P2' surrogates for symmetry-based HIV protease inhibitors: design, synthesis, x-ray structure, enzyme inhibition and antiviral activity
 AUTHOR(S): Randad, Ramnarayan S.; Lubkowska, Lucyna; Bujacz, Anna; Naik, Rajan H.; Gulnik, Sergei V.; Yu, Betty; Silva, Abelardo; Munshi, Sanjeev; Lynch, Tracy M.; et al.
 CORPORATE SOURCE: Structural Biochem. Program, Natl. Cancer Inst.-Frederick Cancer Res. Development Center, Frederick, MD, 21702, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (1995),
5(21), 2557-62
CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Guided by the structure of HIV PR complexed with 2S,3R,4S,5S-2,5-bis[N,N'-(3-hydroxy-2-methylphenyl)carbonyl]amino]-3,4-dihydroxy-1,6-diphenylhexane, a novel, achiral, non-peptidic anthranil (Ant) group was designed as a P2/P2' ligand. Symmetry-based inhibitors containing N-(2-pyridinylmethoxycarbonyl)anthranil group are potent antiviral agents.

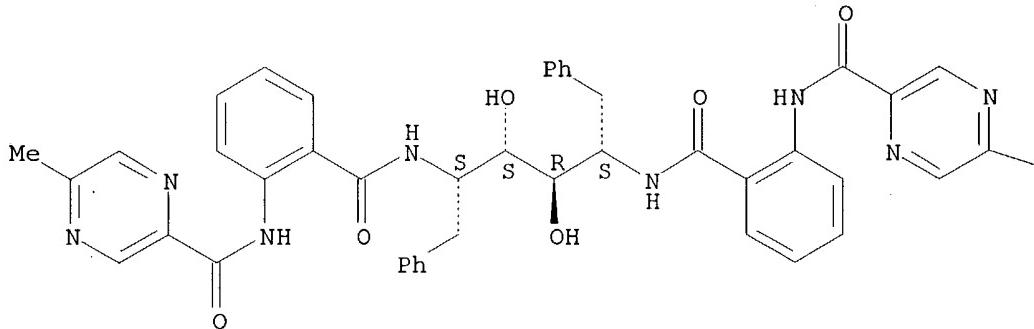
IT 173094-25-2
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(structure-based design of achiral anthranilamides as P2/P2' surrogates for symmetry-based HIV protease inhibitors)

RN 173094-25-2 CAPLUS

CN L-Altritol, 1,2,5,6-tetradeoxy-2,5-bis[[2-[(5-methylpyrazinyl)carbonyl]amino]benzoyl]amino]-1,6-diphenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

Me

L70 ANSWER 10 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:858623 CAPLUS
DOCUMENT NUMBER: 123:256357
TITLE: Preparation of anthranilic acid amide derivative as cyclic guanosine monophosphate-phosphodiesterase

Searcher : Shears 571-272-2528

inhibitors

INVENTOR(S): Ozaki, Fumihiro; Ishibashi, Keiji; Ikuta, Hironori;
Ishihara, Hiroki; Souda, Shigeru

PATENT ASSIGNEE(S): Japan

SOURCE: PCT Int. Appl., 204 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9518097	A1	19950706	WO 1994-JP2262	19941227
W: AU, CA, CN, FI, HU, KR, NO, NZ, RU, US RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2155662	AA	19950706	CA 1994-2155662	19941227
AU 9512824	A1	19950717	AU 1995-12824	19941227
AU 694465	B2	19980723		
EP 686625	A1	19951213	EP 1995-903999	19941227
EP 686625	B1	19990526		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1118595	A	19960313	CN 1994-191311	19941227
JP 08188563	A2	19960723	JP 1994-336920	19941227
HU 74450	A2	19961230	HU 1995-2512	19941227
RU 2128644	C1	19990410	RU 1995-120194	19941227
AT 180468	E	19990615	AT 1995-903999	19941227
FI 9503968	A	19951019	FI 1995-3968	19950823
NO 9503305	A	19951025	NO 1995-3305	19950823
US 5716993	A	19980210	US 1995-507476	19950914
PRIORITY APPLN. INFO.:			JP 1993-347092	A 19931227
			JP 1994-299110	A 19941109
			WO 1994-JP2262	W 19941227

OTHER SOURCE(S): MARPAT 123:256357

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Anthranilamide derivs. [I; R1, R2, R3, R4 = H, halo, OH, (halo)alkyl, (halo)alkoxy, nitro, hydroxyalkyl, cyano, (CH₂)_pNR₉R₁₀, S(O)_qR₁₃, (un)protected CO₂H, (un)substituted tetrazolyl, CONH₂, pyrazolyl, or imidazolyl; or adjacent two substituents selected from R1 - R4 together with the C atoms bonded to them forms a ring; wherein R₉, R₁₀ = H, (halo)alkyl, arylalkyl, heteroarylalkyl, acyl, (un)protected CO₂H; or NR₉R₁₀ forms a ring; p = 0, 1-6; R₁₃ = H, (halo)alkyl; q = 0, 1-2; R₅, R₆ = H, halo, OH, cyano, (halo)alkyl, (halo)alkoxy; or R₅ and R₆ together with the C atoms bonded to them form cycloalkane, oxolane, 1,3-dioxolane, or 1,4-dioxane ring; W = N, CH; R₇, R₈ = H, (halo)alkyl; or R₁ and R₇ together with the C atoms bonded to them form a ring optionally containing other N, O, or S atom; A = H, (halo)alkyl, X(CH₂)_mZ; wherein X = CO, CS, CH₂, SO₂; Z = OH, (halo)alkoxy, cyano, halo, etc.; Y = O, S; n = 0, 1-6] or pharmacol. acceptable salts thereof are prepared. These compds. are useful for the treatment of ischemic heart disease, angina pectoris,

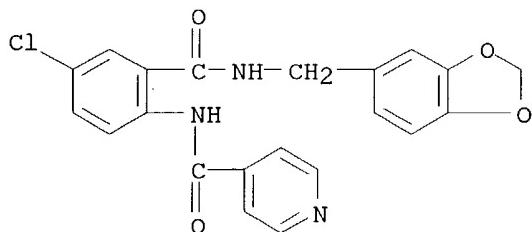
hypertension, pulmonary hypertension, heart failure, and asthma. Thus, 2-nitro-5-chlorobenzoic acid was refluxed with SOCl_2 in benzene for 4 h and concentrated to give 2-nitro-5-chlorobenzoyl chloride which was amidated with piperonylamine in the presence of Et_3N in THF to give a benzamide (II; R = NO₂). This compound was reduced by Fe powder in a mixture of AcOH, H₂O, and MeOH under gentle refluxing to give, after concentration and treatment

with concentrated HCl in EtOH, N-piperonylanthranilamide derivative II. HCl (R = NH₂). An anthranilamide derivative (III) showed IC₅₀ of 0.4 nM against cyclic guanosine monophosphate-phosphodiesterase preparation from pig aorta.

IT 169043-36-1P 169043-37-2P 169044-06-8P
 169044-07-9P 169044-08-0P 169044-09-1P
 169044-10-4P 169044-11-5P 169044-56-8P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of anthranilamide derivs. as cyclic guanosine monophosphate-phosphodiesterase inhibitors)

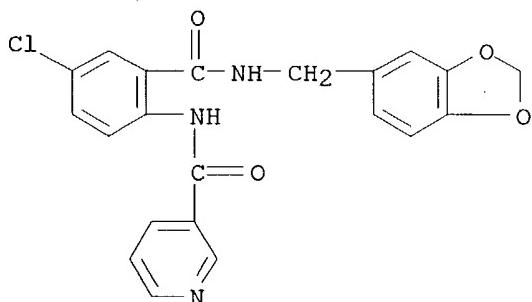
RN 169043-36-1 CAPLUS

CN 4-Pyridinecarboxamide, N-[2-[(1,3-benzodioxol-5-ylmethyl)amino]carbonyl]-4-chlorophenyl- (9CI) (CA INDEX NAME)



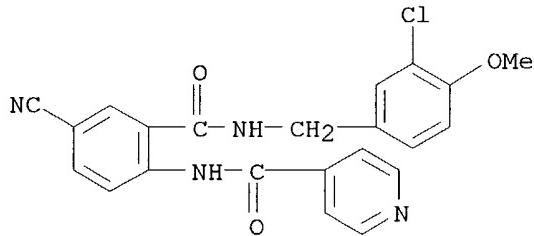
RN 169043-37-2 CAPLUS

CN 3-Pyridinecarboxamide, N-[2-[(1,3-benzodioxol-5-ylmethyl)amino]carbonyl]-4-chlorophenyl- (9CI) (CA INDEX NAME)

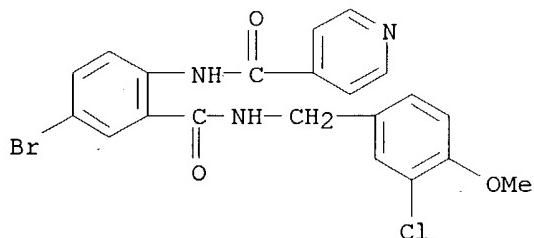


RN 169044-06-8 CAPLUS

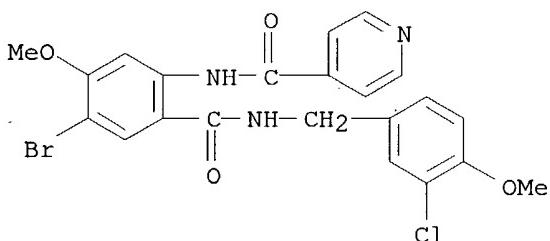
CN 4-Pyridinecarboxamide, N-[2-[[[(3-chloro-4-methoxyphenyl)methyl]amino]carbonyl]-4-cyanophenyl- (9CI) (CA INDEX NAME)



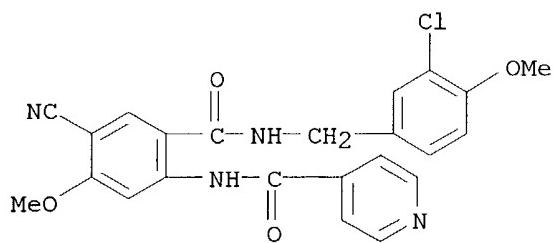
RN 169044-07-9 CAPLUS
 CN 4-Pyridinecarboxamide, N-[4-bromo-2-[[[(3-chloro-4-methoxyphenyl)methyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



RN 169044-08-0 CAPLUS
 CN 4-Pyridinecarboxamide, N-[4-bromo-2-[[[(3-chloro-4-methoxyphenyl)methyl]amino]carbonyl]-5-methoxyphenyl]- (9CI) (CA INDEX NAME)

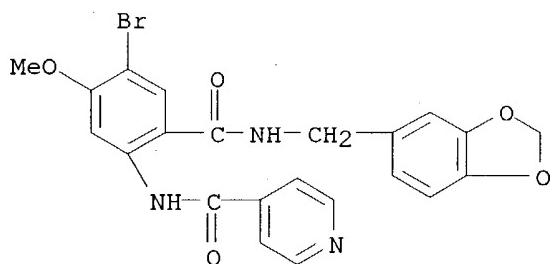


RN 169044-09-1 CAPLUS
 CN 4-Pyridinecarboxamide, N-[2-[[[(3-chloro-4-methoxyphenyl)methyl]amino]carbonyl]-4-cyano-5-methoxyphenyl]- (9CI) (CA INDEX NAME)



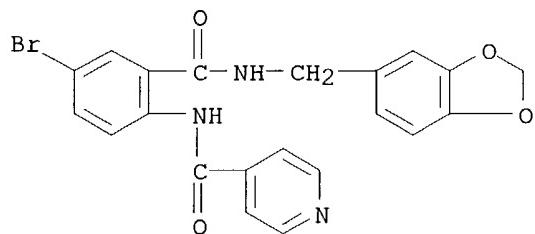
RN 169044-10-4 CAPLUS

CN 4-Pyridinecarboxamide, N-[2-[(1,3-benzodioxol-5-ylmethyl)amino]carbonyl]-4-bromo-5-methoxyphenyl]- (9CI) (CA INDEX NAME)



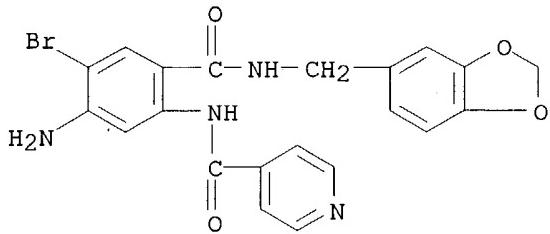
RN 169044-11-5 CAPLUS

CN 4-Pyridinecarboxamide, N-[2-[(1,3-benzodioxol-5-ylmethyl)amino]carbonyl]-4-bromophenyl]- (9CI) (CA INDEX NAME)

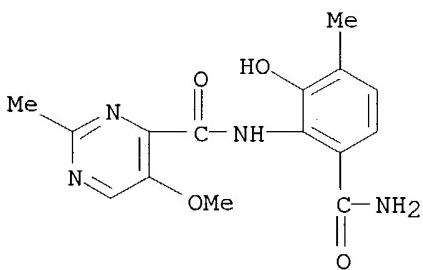


RN 169044-56-8 CAPLUS

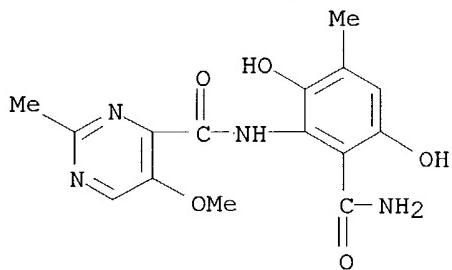
CN 4-Pyridinecarboxamide, N-[5-amino-2-[(1,3-benzodioxol-5-ylmethyl)amino]carbonyl]-4-bromophenyl]- (9CI) (CA INDEX NAME)



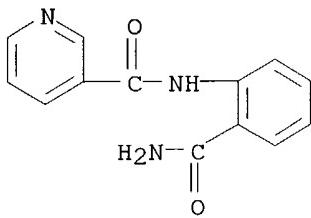
L70 ANSWER 11 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1995:841957 CAPLUS
 DOCUMENT NUMBER: 123:339482
 TITLE: Synthesis of boxazomycin B and related analogs
 AUTHOR(S): Suto, Mark J.; Turner, William R.
 CORPORATE SOURCE: Parke-Davis Pharm. Res. Div., Warner Lambert Co., Ann Arbor, MI, 48105, USA
 SOURCE: Tetrahedron Letters (1995), 36(40), 7213-16
 CODEN: TELEAY; ISSN: 0040-4039
 PUBLISHER: Elsevier
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 123:339482
 AB The total synthesis of the novel antibacterial agent boxazomycin B is reported. The synthesis proceeds through a highly functionalized benzene ring in which the key functionalities are introduced early in the synthesis and serve as protecting groups for addnl. transformations.
 IT 171010-53-0P 171010-58-5P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (synthesis of boxazomycin B and analogs)
 RN 171010-53-0 CAPLUS
 CN 4-Pyrimidinecarboxamide, N-[6-(aminocarbonyl)-2-hydroxy-3-methylphenyl]-5-methoxy-2-methyl- (9CI) (CA INDEX NAME)



RN 171010-58-5 CAPLUS
 CN 4-Pyrimidinecarboxamide, N-[2-(aminocarbonyl)-3,6-dihydroxy-5-methylphenyl]-5-methoxy-2-methyl- (9CI) (CA INDEX NAME)



L70 ANSWER 12 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1995:746792 CAPLUS
 DOCUMENT NUMBER: 123:132021
 TITLE: Discovery of Potent Cyclic GMP Phosphodiesterase Inhibitors. 2-Pyridyl- and 2-Imidazolylquinazolines Possessing Cyclic GMP Phosphodiesterase and Thromboxane Synthesis Inhibitory Activities
 AUTHOR(S): Lee, Sung J.; Konishi, Yoshitaka; Yu, Dingwei T.; Miskowski, Tamara A.; Rivello, Christopher M.; Macina, Orest T.; Frierson, Manton R.; Kondo, Kigen; Sugitani, Masafumi; et al.
 CORPORATE SOURCE: Biofor Inc., Waverly, PA, 18471, USA
 SOURCE: Journal of Medicinal Chemistry (1995), 38(18), 3547-57
 CODEN: JMCMAR; ISSN: 0022-2623
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Moderate cyclic GMP phosphodiesterase (cGMP-PDE, PDE V) inhibitor 2-phenyl-4-anilinoquinazoline (I) was identified utilizing MultiCASE assisted drug design (MCADD) technol. Modification of I was conducted at the 2-, 4-, and 6-positions of the quinazoline ring for enhancement of cGMP-PDE inhibitory activity. The 6-substituted 2-(imidazol-1-yl)quinazolines are 1000 times more potent in in vitro PDE V enzyme assay than the well-known inhibitor zaprinast. The 6-substituted derivs. of 2-(3-pyridyl)quinazoline and 2-(imidazol-1-yl)quinazoline exhibited more than 1000-fold selectivity for PDE V over the other four PDE isoenzymes. In addition, 3 cGMP-PDE inhibitors were found to have an addnl. property of thromboxane synthesis inhibitory activity.
 IT 157864-28-3P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (pyridyl- and imidazolylquinazolines as cyclic GMP phosphodiesterase and thromboxane synthesis inhibitors)
 RN 157864-28-3 CAPLUS
 CN 3-Pyridinecarboxamide, N-[2-(aminocarbonyl)phenyl]- (9CI) (CA INDEX NAME)



L70 ANSWER 13 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1995:714439 CAPLUS
 DOCUMENT NUMBER: 123:216778
 TITLE: Metallohelices: Effects of Weak Interactions on Helical Morphology
 AUTHOR(S): Kawamoto, Tatsuya; Prakash, Om; Ostrander, Robert; Rheingold, Arnold L.; Borovik, A. S.
 CORPORATE SOURCE: Department of Chemistry, Kansas State University, Manhattan, KS, 66506, USA
 SOURCE: Inorganic Chemistry (1995), 34(17), 4294-5
 CODEN: INOCAJ; ISSN: 0020-1669
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB The significant effects of weak interactions on the morphol. of metallohelices are demonstrated in metal complexes of the helical ligand 2,6-bis[({N'}-acetophenoyl)anthranilamide]carboxyamide]pyridine (H2L). This ligand contains 2 aryl arrays that are held rigid through hydrogen bonds and covalently attached to a pyridyl diamide metal binding chelate. The morphologies helixes formed with H2L results from the weak interactions between the appended arrays and the tridentate chelate. H2L has a helical structure in the solid state with the 2 appendage crossing, interacting through π -stacking: (P.hivin.1, a 7.3507(8), b 10.627(1), and c 20.098(3) Å; α 96.64(1), β 98.07(1), γ 90.26(1) $^\circ$; V = 1543.6(3) Å³, Z = 2, 3598 unique data (Fo \geq 4 σ Fo), R(Rw) = 0.0523(0.0656)). NMR and IR studies on the diamagnetic NiL complex show that the helical structure is present in solution. Structural studies by x-ray diffraction methods on the copper(II) derivs. of L2- show the large effects that coordination changes have on helical morphol. Two structural isomers were isolated for CuL: a five coordinate green compound (CuLg) and a four coordinate red complex (CuLr). The five coordinate green complex crystallized from toluene in the space group P.hivin.1 with two independent mols. in the asym. unit cell. The unit cell consts. are a 12.402(3), b 15.382(3), and c 23.267(5) Å, α 107.09(2), β 90.68(2), γ 104.18(2) $^\circ$; V = 4096.4(15) Å³, and Z = 4. Final residuals for the refinement of 985 parameters against 9210 data were R = 0.0678 and Rw = 0.0681 with a GOF = 1.96. The four coordinate red complex crystallized from toluene in the space group C2/c with unit cell consts. a 24.087(6), b 12.165(3), and c 23.806 Å; β 117.450(2) $^\circ$, and Z = 8. Final residuals for the refinement of 442 parameters against 2662 data R = 0.0411 and Rw = 0.0486 with a GOF = 0.95. The differences in these two structural isomers is even more

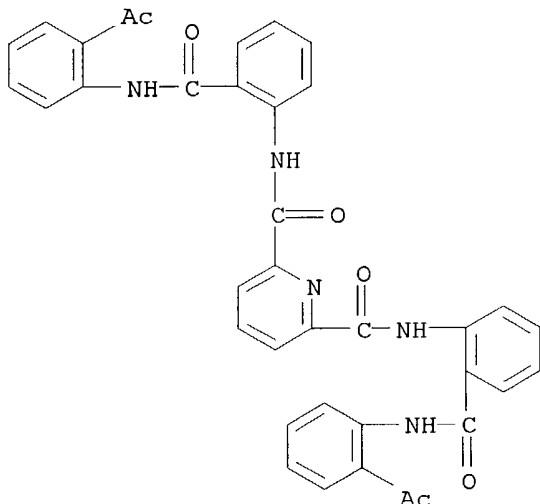
pronounced in their crystal lattices where micropores dominate the lattice architecture for CuLg and extended helixes are present in CuLr.

IT 168284-90-0

RL: PRP (Properties); RCT (Reactant); RACT (Reactant or reagent)
(crystal structure and complexation with copper and nickel)

RN 168284-90-0 CAPLUS

CN 2,6-Pyridinedicarboxamide, N,N'-bis[2-[(2-acetylphenyl)amino]carbonyl]phenyl- (9CI) (CA INDEX NAME)



L70 ANSWER 14 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1995:71053 CAPLUS

DOCUMENT NUMBER: 122:105008

TITLE: Intra- and intermolecular hydrogen bonding control of supramolecular structure

AUTHOR(S): Hamilton, Andrew D.; Hamuro, Yoshitomo; Yang, Ji;
Geib, Steven J.; Fan, Erkang

CORPORATE SOURCE: Department Chemistry, University Pittsburgh,
Pittsburgh, PA, 15260, USA

SOURCE: NATO ASI Series, Series C: Mathematical and Physical
Sciences (1994), 426(COMPUTATIONAL APPROACHES IN
SUPRAMOLECULAR CHEMISTRY), 101-8
CODEN: NSCSDW; ISSN: 0258-2023

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Hydrogen bonding is used to control supramol. structure in two distinct ways. The first involves intramol. hydrogen bonds to stabilize linear and helical conformations in synthetic oligomers. The second uses intermol. hydrogen bonding to direct the self-assembly of several interacting subunits.

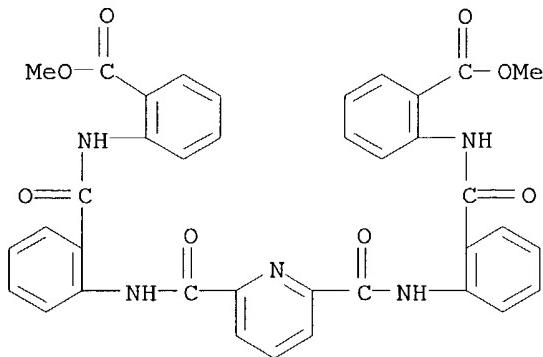
IT 155138-99-1P 155139-01-8P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation and crystallog. of)

RN 155138-99-1 CAPLUS

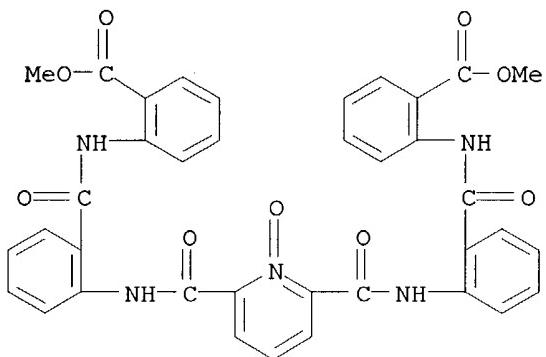
CN Benzoic acid, 2,2'-(2,6-pyridinediyl)bis(carbonylimino-2,1-

phenylenecarbonylimino)]bis-, dimethyl ester (9CI) (CA INDEX NAME)



RN 155139-01-8 CAPLUS

CN Benzoic acid, 2,2'-(1-oxido-2,6-pyridinediyl)bis(carbonylimino-2,1-phenylenecarbonylimino)]bis-, dimethyl ester (9CI) (CA INDEX NAME)



L70 ANSWER 15 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1994:620104 CAPLUS

DOCUMENT NUMBER: 121:220104

TITLE: Transition metal complexes of N-(2-benzamide)pyridine-2'-carboxamide, a potentially tridentate ligand containing one secondary and one primary amide group: preparation and characterization in the solid state

AUTHOR(S): Manessi-Zoupa, E.; Perlepes, S. P.; Hondrellis, V.; Tsangaris, J. M.

CORPORATE SOURCE: Dep. Chem., Univ. Patras, Patras, Greece

SOURCE: Journal of Inorganic Biochemistry (1994), 55(3), 217-33

CODEN: JIBIDJ; ISSN: 0162-0134

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The synthesis of N-(2-carbamoylphenyl)pyridine-2-carboxamide (LH₂) is reported along with its employment as a ligand. [MCl₂(LH₂)₂]·DMF (M = Co,

Ni), [Cu₂Cl₄(LH₂)₂].DMF, [CuCl₂(LH₂)₂], [Co(OH)(LH)]n.nH₂O, [M₂(OH)₂(H₂O)_x(LH₂)₂] (M = Ni, Cu; x = 4, 2), [M(LH)₂]_xH₂O (M = Ni, Cu; x = 0, 1), [Ni(H₂O)₂(LH₂)₂].H₂O, and [CuCl(LH)]n were isolated. The complexes were characterized by elemental analyses, conductivity measurements,

x-ray powder patterns, thermal methods, variable-temperature magnetic susceptibilities, and spectroscopic (IR and far-IR, ligand field, ESR) studies. A variety of stereochemistries is assigned for the complexes in the solid state. The neutral ligand acts as a bidentate chelating agent with ligated atoms being the ring N and the secondary amide O; the LH⁻ ion behaves as a bidentate chelating Nring, Nsecondary amide or as a tridentate Nring, Nsecondary amide, Oprimary amide ligand depending mainly on the reaction conditions.

IT

157979-82-3P

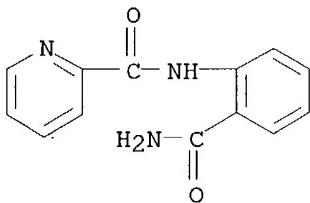
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(for preparation of transition metal complexes)

RN

157979-82-3 CAPLUS

CN

2-Pyridinecarboxamide, N-[2-(aminocarbonyl)phenyl]- (9CI) (CA INDEX NAME)



L70 ANSWER 16 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1994:323221 CAPLUS

DOCUMENT NUMBER: 120:323221

TITLE: New molecular frameworks: formation of helical secondary structures in a group of oligoanthranilamides

AUTHOR(S): Hamuro, Yoshitomo; Geib, Steven J.; Hamilton, Andrew D.

CORPORATE SOURCE: Dep. Chem., Univ. Pittsburgh, Pittsburgh, PA, 15260, USA

SOURCE: Angewandte Chemie (1994), 106(4), 465-7 (See also Angew. Chem., Int. Ed. Engl., 1994, 33(4), 446-8)
CODEN: ANCEAD; ISSN: 0044-8249

DOCUMENT TYPE: Journal

LANGUAGE: German

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Helical oligoanthranilamide I (R = CO₂Me) was prepared from 2,6-pyridinedicarbonyl dichloride and aminobenzamide derivative II (Y = NH₂).

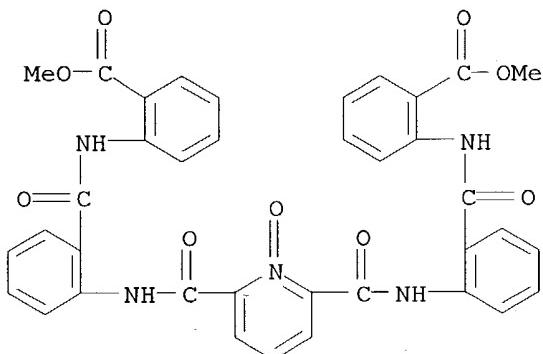
II ($Y = NH_2$) prepared from 2-nitrobenzoyl chloride condensation with anthranilic acid Me ester to give II, $Y = NO_2$ followed by catalytic hydrogenation. I ($R = CO_2Me$) was characterized by proton NMR and x-ray crystallog. and the nature of its helical structure discussed. Helical oligoanthranilamide III was also characterized by x-ray crystallog.

IT 155139-01-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and crystal and mol. structure and proton NMR of,
conformational anal. in relation to)

RN 155139-01-8 CAPLUS

CN Benzoic acid, 2,2'-(1-oxido-2,6-pyridinediyl)bis(carbonylimino-2,1-phenylene carbonylimino)bis-, dimethyl ester (9CI) (CA INDEX NAME)

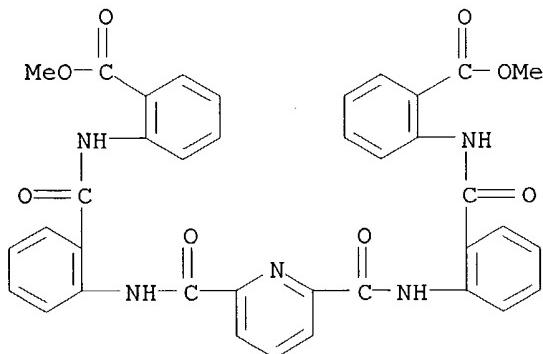


IT 155138-99-1P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation and crystal and mol. structure of)

RN 155138-99-1 CAPLUS

CN Benzoic acid, 2,2'-(2,6-pyridinediyl)bis(carbonylimino-2,1-phenylene carbonylimino)bis-, dimethyl ester (9CI) (CA INDEX NAME)



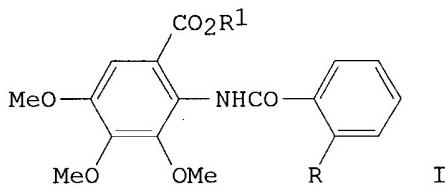
L70 ANSWER 17 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1982:162351 CAPLUS

DOCUMENT NUMBER: 96:162351

TITLE: Anthranilic acid derivatives
 PATENT ASSIGNEE(S): Kyoto Pharmaceutical Industries, Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 56161362	A2	19811211	JP 1980-43744	19800403
			JP 1980-43744	19800403
PRIORITY APPLN. INFO.:	CASREACT 96:162351			
OTHER SOURCE(S):	GI			

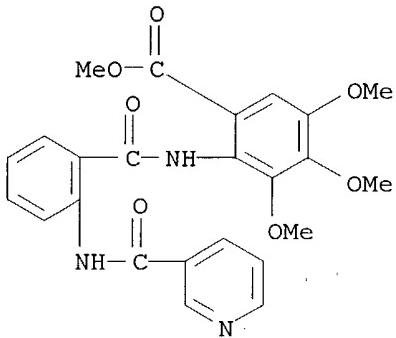


AB Four anthranilic acid derivs. I (R = NO₂, NH₂, nicotinamido; R₁ = Me, H), having smooth muscle-relaxing or contracting activity (no data), were prepared from Me 2-amino-3,4,5-trimethoxybenzoate (II). Thus, 2.45 g II acylated with 1.9 g 2-nitrobenzoyl chloride in CHCl₃ gave 82% I (R = NO₂, R₁ = Me), which was reduced over Pd-C to give I (R = NH₂, R₁ = Me). Acylation with nicotinoyl chloride gave I (R = nicotinamido, R₁ = Me) (III), which was hydrolyzed with 0.5 N NaOH at 40-50° to give I (R = nicotinamido, R₁ = H). III was also prepared by cultivating Aspergillus terreus afficanus IFO 8835.

IT **81469-77-4P**
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and hydrolysis of)

RN 81469-77-4 CAPLUS

CN Benzoic acid, 3,4,5-trimethoxy-2-[[2-[(3-pyridinylcarbonyl)amino]benzoyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

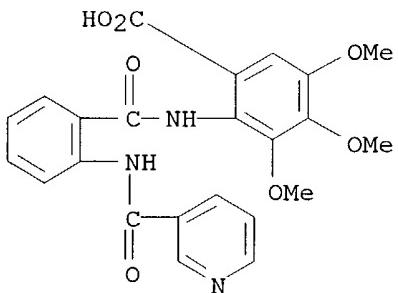


IT 81469-76-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 81469-76-3 CAPLUS

CN Benzoic acid, 3,4,5-trimethoxy-2-[2-[(3-pyridinylcarbonyl)amino]benzoyl]amino]- (9CI) (CA INDEX NAME)



L70 ANSWER 18 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1980:586283 CAPLUS

DOCUMENT NUMBER: 93:186283

TITLE: Some reactions of 2-heterocycle-4(3H)-quinazolinones
with electrophilic reagents

AUTHOR(S): Muraoka, Keiji; Ichikawa, Masataka; Hisano, Takuzo

CORPORATE SOURCE: Fac. Pharm. Sei., Kumamoto Univ., Japan

SOURCE: Yakugaku Zasshi (1980), 100(4), 375-85

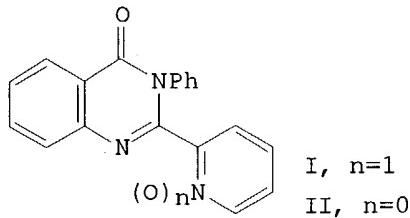
CODEN: YKKZAJ; ISSN: 0031-6903

DOCUMENT TYPE: Journal

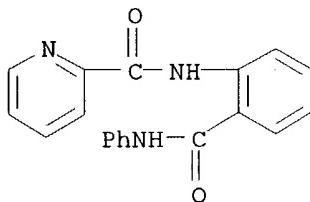
LANGUAGE: Japanese

OTHER SOURCE(S): CASREACT 93:186283

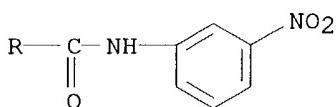
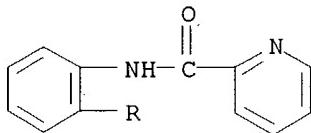
GI



- AB 2-(1-Oxido-2-pyridino)-3-phenyl-4(3H)-quinazolinone (I),
2-(1-oxido-2-pyridinio)-3-phenyl-4(3H)-quinazolinone 1-oxide, and the
control compound, 3-phenyl-2-(2-pyridyl)-4(3H)-quinazolinone (II) were
nitrated under appropriate conditions to give 3-(3-nitrophenyl)-2-(1-oxido-
2-pyridinio)-4(3H)-quinazolinone, 3-(3-nitrophenyl)-2-(1-oxido-2-
pyridinio)-4(3H)-quinazolinone 1-oxide, and 3-(3-nitrophenyl)-2-(2-
pyridyl)-4(3H)-quinazolinone or the dinitro derivative 6-nitro-3-(3-
nitrophenyl)-2-(2-pyridyl)-4(3H)-quinazolinone selectively and in
comparatively higher yield. II was halogenated with N-bromosuccinimide or
N-chlorosuccinimide by varying reaction temperature and concentration of
H₂SO₄, and by
adding silver sulfate as an activator, to give 3-(3-bromophenyl)-2-(2-
pyridyl)-4(3H)-quinazolinone and 6-bromo-3-phenyl-2-(2-pyridyl)-4(3H)-
quinazolinone or the dihalides 3-(3,4-dibromophenyl)-2-(2-pyridyl)-4(3H)-
quinazolinone or 6-bromo-3-(3-bromophenyl)-2-(2-pyridyl)-4(3H)-
quinazolinone, and a further derivative which was presumably a trihalide.
- IT 75359-17-0 75359-18-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclization of)
- RN 75359-17-0 CAPLUS
- CN 2-Pyridinecarboxamide, N-[2-[(phenylamino)carbonyl]phenyl]- (9CI) (CA
INDEX NAME)



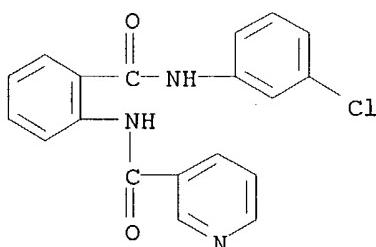
- RN 75359-18-1 CAPLUS
- CN 2-Pyridinecarboxamide, N-[2-[(3-nitrophenyl)amino]carbonyl]phenyl]- (9CI)
(CA INDEX NAME)



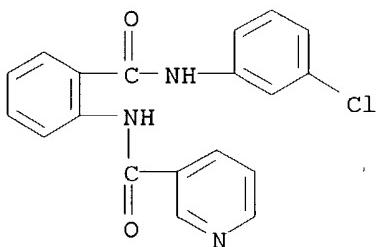
L70 ANSWER 19 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1973:546545 CAPLUS
 DOCUMENT NUMBER: 79:146545
 TITLE: 2-Pyridyl-4(3H)-quinazolinones
 INVENTOR(S): Hisano, Takuzo; Ichikawa, Masataka; Ide, Hiroyuki;
 Noda, Kanji; Nakagawa, Akira; Motomura, Toshiharu
 PATENT ASSIGNEE(S): Hisamitsu Pharmaceutical Co., Inc.
 SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 48062779	A2	19730901	JP 1971-98092	19711203
JP 54034749	B4	19791029		

PRIORITY APPLN. INFO.: JP 1971-98092 19711203
 GI For diagram(s), see printed CA Issue.
 AB Quinazolinones (I) were prepared by cyclizing, e.g., 2-nicotinamido-3'-chlorobenzanilide (II). Thus, heating II 18 hr at 200° gave I (R = m-Cl, pyridyl 3-substituted). Similarly, 18 addnl. I were prepared
 IT 39122-37-7
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (cyclization of, pyridylquinazolinone from)
 RN 39122-37-7 CAPLUS
 CN 3-Pyridinecarboxamide, N-[2-[(3-chlorophenyl)amino]carbonyl]phenyl]-
 (9CI) (CA INDEX NAME)



L70 ANSWER 20 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1973:58340 CAPLUS
 DOCUMENT NUMBER: 78:58340
 TITLE: Syntheses and pharmacological activities of
 2-heterocyclic substituted 4(3H)-quinazolinone
 derivatives
 AUTHOR(S): Hisano, Takuzo; Ichikawa, Masataka; Kito, Go; Nishi,
 Tomoyuki
 CORPORATE SOURCE: Fac. Pharm. Sci., Kumamoto Univ., Kumamoto, Japan
 SOURCE: Chemical & Pharmaceutical Bulletin (1972), 20(12),
 2575-84
 CODEN: CPBTAL; ISSN: 0009-2363
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB The preparation of a series of 2-pyridyl-4(3H)-quinazolinones is described.
 Studies on the structure-activity relationship demonstrated that
 2-pyridyl, 3-pyridyl, and 4-pyridyl substitution at 2 position of
 quinazolinone ring, and o-, m-, and p-substitution of the aromatic ring at
 3 position are suitable for manifestation of hypnotic activity. The order
 of potency of activities produced by the difference in the position of
 substitution of substituents at 2 and 3 position decreased in the order of
 4-pyridyl, o-tolyl > 3-pyridyl, o-tolyl > 2-pyridyl, o-tolyl. The
 anthranilates of these 4(3H)-quinazolinones were inactive. A maximum
 hypnotic effect accompanied with other potent pharmacol. properties was
 observed in 2-(4-pyridyl)-3-o-tolyl-4(3H)-quinazolinone, the potency of
 which was equal to or stronger than Methaqualone in mice.
 IT 39122-37-7P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 39122-37-7 CAPLUS
 CN 3-Pyridinecarboxamide, N-[2-[(3-chlorophenyl)amino]carbonyl]phenyl]-
 (9CI) (CA INDEX NAME)



L70 ANSWER 21 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1966:403958 CAPLUS
 DOCUMENT NUMBER: 65:3958
 ORIGINAL REFERENCE NO.: 65:699h, 700a-f
 TITLE: Syntheses and reactions of imidazoles
 AUTHOR(S): Almirante, L.; Mugnaini, A.; Fritz, L. Polo;
 Provinciali, E.
 CORPORATE SOURCE: Lab. Bioterapio Milanese Selvi, Milan

SOURCE: Bollettino Chimico Farmaceutico (1966), 105(1), 32-44
 CODEN: BCFAAI; ISSN: 0006-6648

DOCUMENT TYPE: Journal

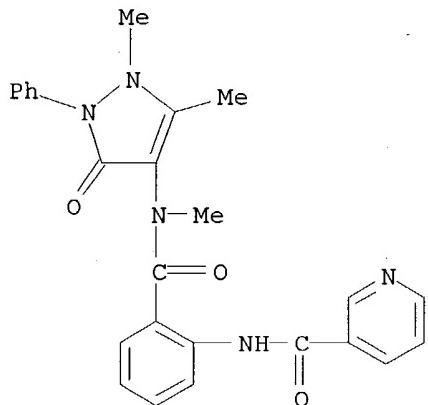
LANGUAGE: Italian

OTHER SOURCE(S): CASREACT 65:3958

AB 2-Aminopyridine (I) (425 g.), and 450 g. BrCH₂CH(OMe)₂ (II) in 500 ml. toluene refluxed 16 hrs. gave 1-(2,2-di-methoxyethyl)-2-aminopyridinium bromide, which was made basic in 400 ml. H₂O to yield 322 g. 1-(2,2-dimethoxyethyl)-2-imino-1,2-dihydropyridine (III), b₁ 108°; HCl salt m. 184-5° (EtOH). Similarly was prepared the 4-methyl derivative of III, b₂ 137%; picrate m. 147-8° (EtOH). III b_{2.5} 123-6°, was also obtained from 19 g. I, 33.8 g. II, and 20.16 NaHCO₃ by boiling 25 hrs. in 40 ml. PhMe. By this method were prepared the 6-methyl derivative of III, b_{1.5} 120°, 2-imino-1-(2,2-dimethoxyethyl)pyrimidine, b_{2.5} 123° [picrate m. 135-6° (EtOH)], and 1-(2,2-dimethoxyethyl)-2-imino-1,2-dihydrothiazole, b₂ 112°; HCl salt m. 156-7° (iso-PrOH). III (322 g.) was added slowly to 1750 ml. H₂SO₄ at 0° and the solution kept 5 hrs. at 90° to give 203 g. imidazo[1,2-a]pyridine (IV), b_{0.5} 97° [n]D₂₀ 1.6211; picrate m. 216-17° (EtOH). Similarly, 5-methylimidazo[1,2-a]pyridine, b_{1.5} 109° [picrate m. 232-3° (EtOH)], 7-methylimidazo[1,2-a]pyridine b_{0.7} 113° [picrate m. 223-4° (EtOH)], imidazo[1,2-a]pyrimidine, m. 131-3° (C₆H₆), and imidazo[1,2-b]thiazole, b₂ 106° [picrate m. 205-6° (EtOH)], were prepared II (11.2 g.) in 11 ml. H₂O containing 2.5 ml. 48% HBr was

shaken 2 hrs., poured into 150 ml. H₂O, treated with 25 g. NaHCO₃ and 8 g. 5-bromo-2-aminopyridine, and shaken 7 hrs. at 20° to give 76% 6-bromoimidazo[1,2-a]pyridine, b_{1.5} 165°, m. 53-5°; perchlorate, m. 236-8° (EtOH). Similarly, 6-chloroimidazo[1,2-a]pyridine, b_{1.5} 132° [perchlorate m. 223-4° (EtOH)] was prepared 2-Aminopyrimidine (19 g.), and 13.7 g. BrCH₂OMe suspended in 80 ml. EtOH was heated 3 hrs. at 60° to give 29% 2-methylimidazo[1,2-a]pyrimidine hydrobromide, m. 254-5°. Similarly, 2-methylimidazo[1,2-a]pyridine b₂ 105° [HCl salt, 195-6° (EtOH)], and 2,5-dimethylimidazo[1,2-a]pyridine b_{0.5} 112° [perchlorate 215-16° (EtOH)] were obtained. IV (5.9 g.) and 2.25 g. Me₂NH in AcOH was mixed with 1.5 g. HCHO and 25 ml. AcOH, and heated 3 hrs. at 60° to give 6.7 g. hygroscopic 3-(dimethylaminomethyl)imidazo[1,2-a]pyridine, m. 80-1° (ligroine); methiodide m. 233-4° (EtOH). Similarly, 2-methyl-2-(dimethylaminomethyl)imidazo[1,2-a]pyridine-2HCl, m. 250-1° (EtOH-Et₂O) [methiodide m. 200-1° (decomposition) (EtOH)], 2-methyl-3-(diethylaminomethyl)imidazo[1,2-a]pyridine-2HCl·H₂O, m. 203° (decomposition) (EtOH-Et₂O), 2-methyl-3-(morpholinomethyl)imidazo[1,2-a]pyridine, m. 93-5° (ligroine), 2-methyl-3-[4(β-hydroxyethyl)-piperazin-1-ylmethyl]imidazo[1,2-a]pyridine, m. 167-9° (C₆H₆C₆H₁₂), 2-methyl-3-[bis-(2-hydroxyethyl)aminomethyl]imidazo[1,2-a]pyridine, m. 114-16° (C₆H₆), 7-methyl-3-(dimethylaminomethyl)imidazo[1,2-a]pyridine-2HCl, 250-2° (C₆H₆) [methiodide m. 232-3° (decomposition) (EtOH)], and 2-(p-chlorophenyl)-3-(di-methylaminomethyl)imidazo[1,2-a]pyridine-2HCl, m. 222-4° (EtOH-Et₂O), [methiodide m. 220-22° (decomposition) (EtOH)], were prepared IV (11.8 g.) in 20 ml. Me₂NCHO was treated with 46.5 g. POCl₃ in 60 ml. Me₂NCHO with shaking at 0° and then

to yield the corresponding acyl halide, which without further separation,
was
condensed with I or its derivs. Compds. prepared include
4-[p-(nicotinoylamino)benzoyl]aminoantipyrine (III), 55.4%; the
p-(nicotinoylamino)benzoyl; p-methylamino analog 93.3%; the 4-N-Me
derivative
of III, the 4-N-benzyl derivative of III, 34.7%; and 4- N- methyl-4 - N-
nicotinoylantranilylaminooantipyrine. Condensation of I with II yielded,
owing to ring closure, 2-(β-pyridyl)-3-(4-antipyrinyl)-4-quinazolone,
instead of the expected condensation compound
IT 6188-07-4, Nicotinanilide, 2'-(antipyrinylmethylcarbamoyl)-
(preparation of)
RN 6188-07-4 CAPLUS
CN Nicotinanilide, 2'-(antipyrinylmethylcarbamoyl)- (7CI, 8CI) (CA INDEX
NAME)



FILE 'REGISTRY' ENTERED AT 14:48:42 ON 12 NOV 2004
 L71 56 SEA FILE=REGISTRY ABB=ON PLU=ON (155138-99-1/BI OR 155139-01-
 8/BI OR 39122-37-7/BI OR 6188-07-4/BI OR 157864-28-3/BI OR
 157979-82-3/BI OR 168284-90-0/BI OR 169043-36-1/BI OR 169043-37-
 2/BI OR 169044-06-8/BI OR 169044-07-9/BI OR 169044-08-0/BI OR
 169044-09-1/BI OR 169044-10-4/BI OR 169044-11-5/BI OR 169044-56-
 8/BI OR 171010-53-0/BI OR 171010-58-5/BI OR 173055-91-9/BI OR
 173056-05-8/BI OR 173056-17-2/BI OR 173056-21-8/BI OR 173056-46-
 7/BI OR 173056-75-2/BI OR 173056-88-7/BI OR 173056-95-6/BI OR
 173056-96-7/BI OR 173056-97-8/BI OR 173057-04-0/BI OR 173057-19-
 7/BI OR 173094-25-2/BI OR 180133-05-5/BI OR 180133-06-6/BI OR
 192723-63-0/BI OR 196311-73-6/BI OR 196311-77-0/BI OR 196311-92-
 9/BI OR 196311-95-2/BI OR 196312-02-4/BI OR 196312-04-6/BI OR
 196312-07-9/BI OR 200709-28-0/BI OR 206873-73-6/BI OR 206873-74-
 7/BI OR 206873-76-9/BI OR 206873-77-0/BI OR 206873-78-1/BI OR
 214203-38-0/BI OR 214203-39-1/BI OR 214203-40-4/BI OR 214203-41-
 5/BI OR 216656-75-6/BI OR 75359-17-0/BI OR 75359-18-1/BI OR
 81469-76-3/BI OR 81469-77-4/BI)

FILE 'CAOLD' ENTERED AT 14:49:00 ON 12 NOV 2004
 L72 1 S L71

L72 ANSWER 1 OF 1 CAOLD COPYRIGHT 2004 ACS on STN

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID: sssptaul21zxn

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* Welcome to STN International * * * * * * * * * * * * * * *

NEWS 1 Web Page URLs for STN Seminar Schedule - N. America
NEWS 2 "Ask CAS" for self-help around the clock
NEWS 3 JUL 12 BEILSTEIN enhanced with new display and select options, resulting in a closer connection to BABS
NEWS 4 AUG 02 IFIPAT/IFIUDB/IFICDB reloaded with new search and display fields
NEWS 5 AUG 02 CAplus and CA patent records enhanced with European and Japan Patent Office Classifications
NEWS 6 AUG 02 The Analysis Edition of STN Express with Discover! (Version 7.01 for Windows) now available
NEWS 7 AUG 27 BIOCOMMERCE: Changes and enhancements to content coverage
NEWS 8 AUG 27 BIOTECHABS/BIOTECHDS: Two new display fields added for legal status data from INPADOC
NEWS 9 SEP 01 INPADOC: New family current-awareness alert (SDI) available
NEWS 10 SEP 01 New pricing for the Save Answers for SciFinder Wizard within STN Express with Discover!
NEWS 11 SEP 01 New display format, HITSTR, available in WPIDS/WPINDEX/WPIX
NEWS 12 SEP 27 STANDARDS will no longer be available on STN
NEWS 13 SEP 27 SWETSCAN will no longer be available on STN
NEWS 14 OCT 28 KOREAPAT now available on STN

NEWS EXPRESS OCTOBER 29 CURRENT WINDOWS VERSION IS V7.01A, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 11 AUGUST 2004

NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS INTER General Internet Information
NEWS LOGIN Welcome Banner and News Items
NEWS PHONE Direct Dial and Telecommunication Network Access to STN
NEWS WWW CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

FILE 'HOME' ENTERED AT 08:53:39 ON 15 NOV 2004

=> filereg

FILEREG IS NOT A RECOGNIZED COMMAND

The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter
"HELP COMMANDS" at an arrow prompt (=>).

=> file reg

COST IN U.S. DOLLARS

| SINCE FILE ENTRY | TOTAL SESSION |
|------------------|---------------|
| 0.18 | 158.61 |

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 08:57:12 ON 15 NOV 2004

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

COPYRIGHT (C) 2004 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 14 NOV 2004 HIGHEST RN 780728-63-4

DICTIONARY FILE UPDATES: 14 NOV 2004 HIGHEST RN 780728-63-4

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

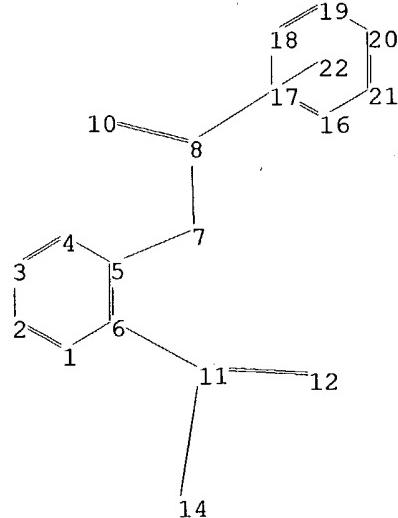
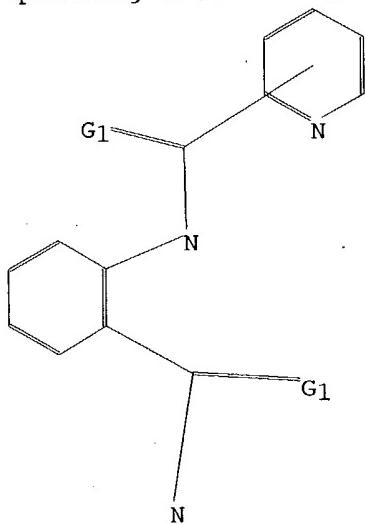
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:

<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

Uploading C:\STNEXP4\QUERIES\698643a.str



chain nodes :

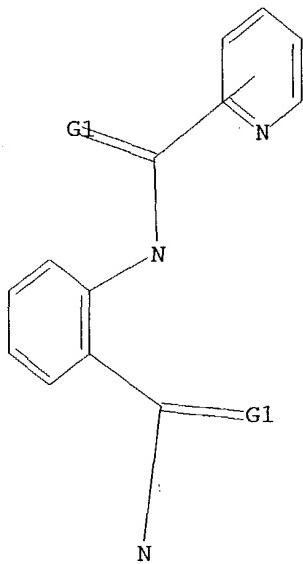
7 8 10 11 12 14
ring nodes :
1 2 3 4 5 6 16 17 18 19 20 21
chain bonds :
5-7 6-11 7-8 8-10 11-12 11-14
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 16-17 16-21 17-18 18-19 19-20 20-21
exact/norm bonds :
5-7 7-8 8-10 11-12 11-14
exact bonds :
6-11
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 16-17 16-21 17-18 18-19 19-20 20-21
isolated ring systems :
containing 1 :

G1:O,S

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 10:CLASS
11:CLASS 12:CLASS 14:CLASS 16:CLASS 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom
22:CLASS

L6 STRUCTURE UPLOADED

=> dis 16
L6 HAS NO ANSWERS
L6 STR



G1 O,S

Structure attributes must be viewed using STN Express query preparation.

=> s 16 sam
 SAMPLE SEARCH INITIATED 08:57:33 FILE 'REGISTRY'
 SAMPLE SCREEN SEARCH COMPLETED - 442 TO ITERATE

100.0% PROCESSED 442 ITERATIONS 24 ANSWERS
 SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
 BATCH **COMPLETE**
 PROJECTED ITERATIONS: 7579 TO 10101
 PROJECTED ANSWERS: 187 TO 773

L7 24 SEA SSS SAM L6

=> s 16 full
 FULL SEARCH INITIATED 08:57:38 FILE 'REGISTRY'
 FULL SCREEN SEARCH COMPLETED - 8762 TO ITERATE

100.0% PROCESSED 8762 ITERATIONS 569 ANSWERS
 SEARCH TIME: 00.00.01

L8 569 SEA SSS FUL L6

| | | | |
|----------------------|--|------------|---------|
| => file hcaplus | | SINCE FILE | TOTAL |
| COST IN U.S. DOLLARS | | ENTRY | SESSION |
| FULL ESTIMATED COST | | 155.42 | 314.03 |

FILE 'HCAPLUS' ENTERED AT 08:57:45 ON 15 NOV 2004
 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
 PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
 COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 15 Nov 2004 VOL 141 ISS 21
 FILE LAST UPDATED: 14 Nov 2004 (20041114/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 18
 L9 75 L8

=> s 19 and pd<march 2000
 20458207 PD<MARCH 2000

(PD<20000300)

L10 33 L9 AND PD<MARCH 2000

=> dis his

L6 STRUCTURE UPLOADED

L7 24 S L6 SAM

L8 569 S L6 FULL

FILE 'HCAPLUS' ENTERED AT 08:57:45 ON 15 NOV 2004

L9 75 S L8

L10 33 S L9 AND PD<MARCH 2000

=> dis 110 1-33 bib abs hitstr

L10 ANSWER 1 OF 33 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 2000:880369 HCAPLUS

DN 135:40545

TI Phase I trial of XR9576 in healthy volunteers demonstrates modulation of P-glycoprotein in CD56+ lymphocytes after oral and intravenous administration

AU Stewart, Alistair; Steiner, Jan; Mellows, Graham; Laguda, Bim; Norris, David; Bevan, Paul

CS Xenova, Ltd., Slough, SL1 4EF, UK

SO Clinical Cancer Research (2000), 6(11), 4186-4191

CODEN: CCREF4; ISSN: 1078-0432

PB American Association for Cancer Research

DT Journal

LA English

AB XR9576 is a novel inhibitor of P-glycoprotein (P-gp) that has been shown to reverse P-gp-dependent multidrug-resistance in tumor cell lines and tumor-bearing animals. This work reports the i.v. and oral administration of XR9576 to healthy volunteers in dose-escalating studies with the aim of investigating its safety, its pharmacokinetics, and effects on a surrogate marker of efficacy (CD56+ lymphocytes instead of tumors). XR9576 was administered as a single dose-upward titration of 0.1, 0.2, 0.5, 1.0, and 2 mg/kg i.v. or 50, 100, 200, 500, and 750 mg/volunteer orally. The surrogate marker for in vivo efficacy examined the accumulation of the P-gp substrate Rhodamine-123 (Rh-123) in P-gp-expressing CD56+ lymphocytes by flow cytometry. Addition of Rh-123 to blood from subjects given XR9576 or a placebo demonstrated drug-dependent modulation of P-gp activity. Even at the lowest doses, significant effects on Rh-123 accumulation in CD56+ cells were observed. Maximal effects occurred during the i.v. infusion or 4-6 h after oral administration. As the dose was increased, a concomitant rise in the extent and duration of P-gp blockade was observed. A dose of 2.0 mg/kg i.v. and ≥200 mg/volunteer orally gave .apprx.100% inhibition of P-gp for >24 h. All doses of XR9576 tested were well tolerated.

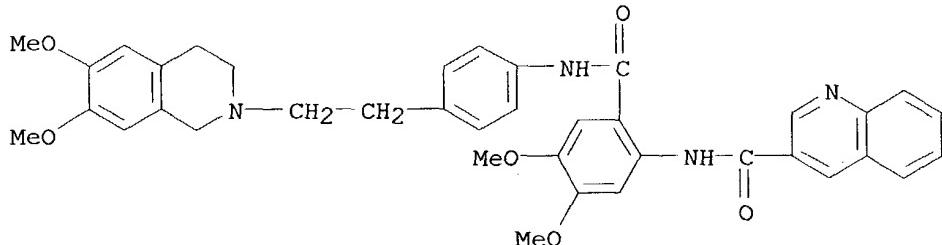
Inhibition increased with plasma XR9576 concentration, and maximal activity was achieved at 150-200 ng XR9576/mL. In conclusion, XR9576 produced sustained inhibition of P-gp after i.v. and oral administration. Supported by the elimination half-life of about 24 h, XR9576 is being taken into Phase II trials as a once-daily agent.

IT 206873-63-4, XR 9576

RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(XR9576 modulation of P-glycoprotein in CD56+ lymphocytes after oral and i.v. administration to humans)

RN 206873-63-4 HCPLUS

CN 3-Quinolinecarboxamide, N-[2-[[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethyl]phenyl]amino]carbonyl]-4,5-dimethoxyphenyl]- (9CI)
(CA INDEX NAME)RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 2 OF 33 HCPLUS COPYRIGHT 2004 ACS on STN

AN 2000:695199 HCPLUS

DN 134:5213

TI Folding Dendrons: The Development of Solvent-, Temperature-, and Generation-Dependent Chiral Conformational Order in Intramolecularly Hydrogen-Bonded Dendrons

AU Recker, Janosch; Tomcik, Dennis J.; Parquette, Jon R.

CS Department of Chemistry, The Ohio State University, Columbus, OH, 43210, USA

SO Journal of the American Chemical Society (2000), 122(42), 10298-10307

CODEN: JACSAT; ISSN: 0002-7863

PB American Chemical Society

DT Journal

LA English

AB The synthesis of intramolecularly hydrogen-bonded dendrons with stereogenic terminal groups derived from (1S,2S)-(+)-thiomicamine up to the third generation is described. CD studies reveal that the equilibrium interconverting two diastereomeric helical conformations (M and P helices) relating a pair of anthranilamide termini depends on solvent, temperature, and dendrimer generation. A conformational preference for M-type helicity along the periphery of the dendrons increased with increasing dendrimer generation and in poor solvents as observed by CD. Equilibration of these diastereomeric helical conformations is rapid at the first generation in all solvents and at all temps. investigated; however, at the second generation the equilibrium begins to bias a single diastereomeric helical conformation along the periphery that becomes maximal at low temps. and in poor solvents. At the third generation, the helical bias is intrinsically higher so that the conformational preference of the termini becomes much less sensitive to solvent and temperature, and the unfolding process becomes more difficult. We propose that nonbonded repulsive interactions that increase with generation and in poor solvents couple the motions and conformational preferences of each pair of terminal groups through their correlated rotations and contribute to the stability of the M helical conformation of the terminal groups. This represents the first example of well-defined asym. secondary structure occurring in a dendrimer system.

IT 308245-27-4P

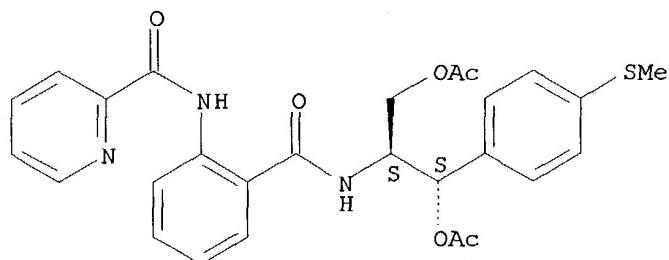
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)

(in preparation and characterization of intramolecularly hydrogen-bonded dendrimer)

RN 308245-27-4 HCPLUS

CN 2-Pyridinecarboxamide, N-[2-[[[(1S,2S)-2-(acetyloxy)-1-[(acetyloxy)methyl]-2-[4-(methylthio)phenyl]ethyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 308245-25-2P 308245-26-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

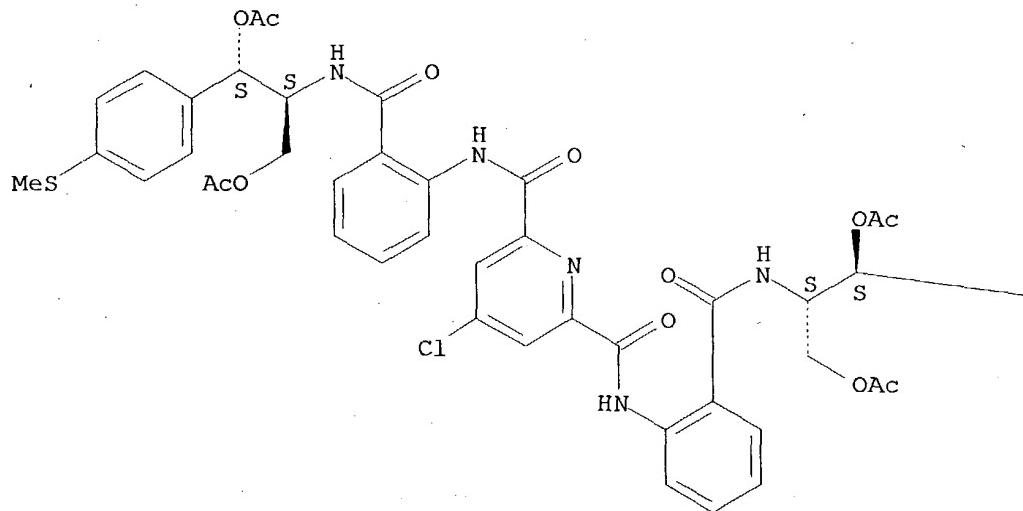
(in preparation and characterization of intramolecularly hydrogen-bonded dendrimer)

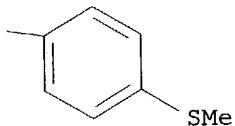
RN 308245-25-2 HCPLUS

CN 2,6-Pyridinedicarboxamide, N,N'-bis[2-[[[(1S,2S)-2-(acetyloxy)-1-[(acetyloxy)methyl]-2-[4-(methylthio)phenyl]ethyl]amino]carbonyl]phenyl]-4-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

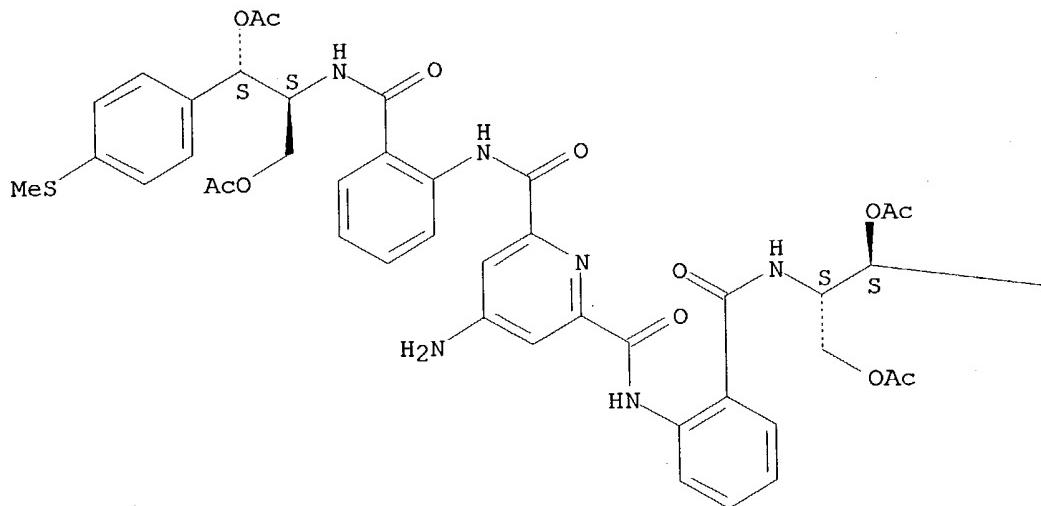
PAGE 1-A



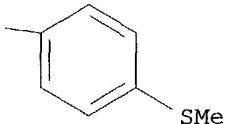


RN 308245-26-3 HCPLUS
 CN 2,6-Pyridinedicarboxamide, N,N'-bis[2-[[[(1S,2S)-2-(acetyloxy)-1-[(acetyloxy)methyl]-2-[4-(methylthio)phenyl]ethyl]amino]carbonyl]phenyl]-4-amino- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



PAGE 1-B



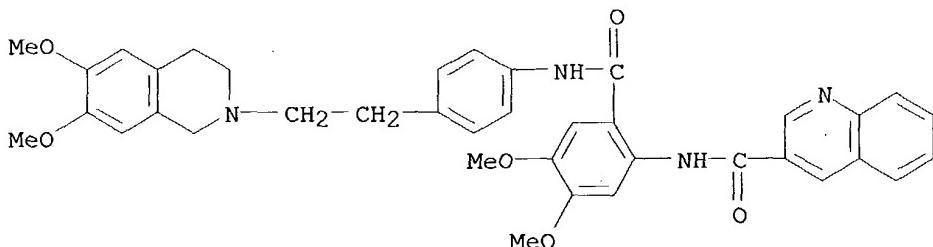
RE.CNT 95 THERE ARE 95 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 3 OF 33 HCAPLUS COPYRIGHT 2004 ACS on STN
 AN 2000:621133 HCAPLUS
 DN 133:305245
 TI Drug Binding Sites on P-Glycoprotein Are Altered by ATP Binding Prior to Nucleotide Hydrolysis
 AU Martin, Catherine; Berridge, Georgina; Mistry, Prakash; Higgins, Christopher; Charlton, Peter; Callaghan, Richard
 CS Nuffield Department of Clinical Laboratory Sciences John Radcliffe Hospital, University of Oxford, Oxford, OX3 9DU, UK
 SO Biochemistry (2000), 39(39), 11901-11906
 CODEN: BICHAW; ISSN: 0006-2960
 PB American Chemical Society
 DT Journal
 LA English
 AB P-glycoprotein (P-gp) confers multiple drug resistance on cancer cells by acting as a plasma membrane localized ATP-dependent drug efflux pump. Currently, there is little information on the nature of the communication between the energy-providing nucleotide binding domains (NBDs) and the drug binding sites of P-gp to generate transport of substrate. Many substrates and modulators cause alterations in ATP hydrolysis, but what effect do the various stages of the catalytic cycle have on drug interaction with P-gp. Vanadate trapping of Mg·ADP caused a reversible decrease in the binding capacity of the transported substrate [³H]-vinblastine and the nontransported modulator [³H]XR9576 to P-gp in CHrB30 cell membranes. The non-hydrolyzable nucleotide analog ATP- γ -S also caused a reduction in the binding capacity of [³H]-vinblastine but not for the modulator [³H]XR9576. This indicates that signaling to the NBDs following binding of a nontransported modulator is different to that transmitted upon interaction of a transported substrate. Second, it appears that the binding of nucleotide, rather than its hydrolysis, causes the initial conformational shift in the drug-binding site during a transport cycle.
 IT 206873-63-4, XR 9576
 RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
 (XR 9576; drug binding sites on P-glycoprotein are altered by ATP

binding prior to nucleotide hydrolysis in relation to multiple drug resistance (it conformational shift)

RN 206873-63-4 HCAPLUS

CN 3-Quinolinecarboxamide, N-[2-[[[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethyl]phenyl]amino]carbonyl]-4,5-dimethoxyphenyl]- (9CI)
(CA INDEX NAME)



RE.CNT 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 4 OF 33 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 2000:614974 HCAPLUS

DN 133:291075

TI Communication between multiple drug binding sites on P-glycoprotein
AU Martin, Catherine; Berridge, Georgina; Higgins, Christopher F.; Mistry, Prakash; Charlton, Peter; Callaghan, Richard

CS Department of Clinical Laboratory Sciences, John Radcliffe Hospital, University of Oxford, UK

SO Molecular Pharmacology (2000), 58(3), 624-632
CODEN: MOPMA3; ISSN: 0026-895X

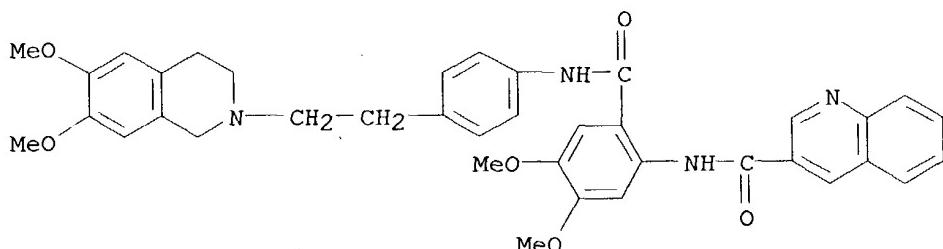
PB American Society for Pharmacology and Experimental Therapeutics

DT Journal

LA English

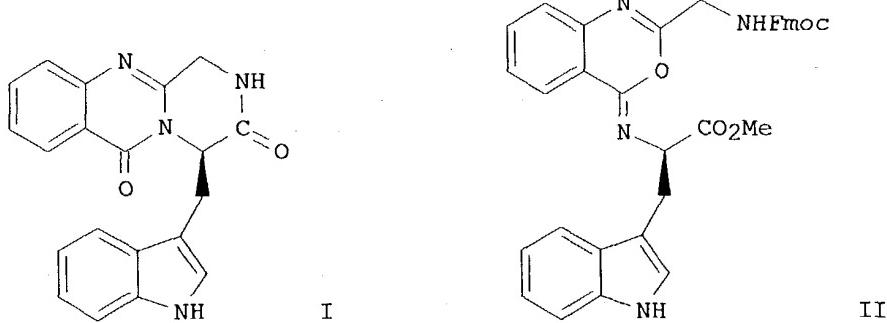
AB P-glycoprotein, a member of the ATP-binding cassette transporter family, is able to confer resistance on tumors against a large number of functionally and chemically distinct cytotoxic compounds. Several recent investigations suggest that P-glycoprotein contains multiple drug binding sites rather than a single site of broad substrate specificity. In the present study, radioligand-binding techniques were used to directly characterize drug interaction sites on P-glycoprotein and how these multiple sites interact. The drugs used were classified as either (1) substrates, which are known to be transported by P-glycoprotein (e.g., vinblastine) or (2) modulators, which alter P-glycoprotein function but are not themselves transported by the protein (e.g., XR9576). Drug interactions with P-glycoprotein were either competitive, at a common site, or noncompetitive, and therefore at distinct sites. Based on these data, we can assign a min. of four drug binding sites on P-glycoprotein. These sites fall into two categories: transport, at which translocation of drug across the membrane can occur, and regulatory sites, which modify P-glycoprotein function. Intriguingly, however, some modulators interact with P-glycoprotein at a transport site rather than a regulatory site. The pharmacological data also demonstrate that both transport and regulatory sites are able to switch between high- and low-affinity conformations. The multiple sites on P-glycoprotein display complex allosteric interactions through which interaction of drug at one site switches other sites between high- or low-affinity conformations. The data are discussed in terms of a model for the mechanism of transport

by P-glycoprotein.
 IT 206873-63-4, XR 9576
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
 (communication between multiple drug binding sites on P-glycoprotein)
 RN 206873-63-4 HCPLUS
 CN 3-Quinolinecarboxamide, N-[2-[[[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethyl]phenyl]amino]carbonyl]-4,5-dimethoxyphenyl]- (9CI)
 (CA INDEX NAME)



RE.CNT 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 5 OF 33 HCPLUS COPYRIGHT 2004 ACS on STN
 AN 2000:68948 HCPLUS
 DN 132:251284
 TI Total Synthesis of the Fumiquinazoline Alkaloids: Solution-Phase Studies
 AU Wang, Haishan; Ganesan, A.
 CS Institute of Molecular and Cell Biology, National University of Singapore,
 Singapore, 117609, Singapore
 SO Journal of Organic Chemistry (2000), 65(4), 1022-1030
 CODEN: JOCEAH; ISSN: 0022-3263
 PB American Chemical Society
 DT Journal
 LA English
 OS CASREACT 132:251284
 GI



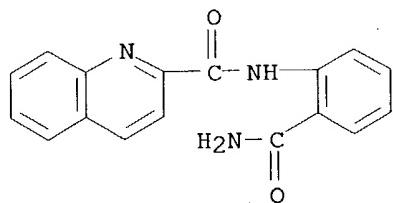
AB Biomimetic total syntheses of glyantrypine (I), fumiquinazoline F, fumiquinazoline G, and fiscalin B were achieved in four steps from

tryptophan Me ester. In the key step, the anthranilamide residue in a linear tripeptide is dehydrated to a benzoxazine, e.g. II, by reaction with triphenylphosphine, iodine, and a tertiary amine. The benzoxazines subsequently undergo rearrangement to the natural products via an amidine intermediate. This dehydrative oxazine to quinazoline route is applicable to a broad range of N-acylanthranilamides, including sterically hindered cases.

IT 262590-33-0

RL: RCT (Reactant); RACT (Reactant or reagent)
(total synthesis of fumiquinazoline alkaloids, solution-phase studies)

RN 262590-33-0 HCPLUS

CN 2-Quinoliniccarboxamide, N-[2-(aminocarbonyl)phenyl]- (9CI) (CA INDEX
NAME)

RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 6 OF 33 HCPLUS COPYRIGHT 2004 ACS on STN

AN 1999:651313 HCPLUS

DN 132:8771

TI The molecular interaction of the high affinity reversal agent XR9576 with P-glycoprotein

AU Martin, Catherine; Berridge, Georgina; Mistry, Prakash; Higgins, Christopher; Charlton, Peter; Callaghan, Richard

CS Nuffield Department of Clinical Biochemistry & Cellular Science, John Radcliffe Hospital, University of Oxford, Oxford, OX3 9DU, UK

SO British Journal of Pharmacology (1999), 128(2), 403-411

CODEN: BJPCBM; ISSN: 0007-1188

PB Stockton Press

DT Journal

LA English

AB 1 The kinetics and nature of equilibrium binding were used to characterize the mol. interaction of the anthranilic acid derivative [³H]-XR9576 with the multidrug resistance P-glycoprotein (P-gp). XR9576 displayed specific high-affinity binding to P-gp ($B_{max} = 275 \text{ pmol mg}^{-1}$, $K_d = 5.1 \text{ nM}$). The transport substrates [³H]-vinblastine and [³H]-paclitaxel displayed 4 fold and 20 fold lower affinity resp. for P-gp. The duration of action of XR9576 with P-gp was increased in comparison to that of vinblastine which displayed a slower rate of association and a faster dissociation rate. 2 The relative affinities of several modulators and transport substrates to interact with P-gp were determined from displacement drug equilibrium binding assays. Vinblastine and paclitaxel could only fractionally displace [³H]-XR9576 binding, displaying K_i values significantly different from their measured K_d values. This suggests a non-competitive interaction between XR9576 and the P-gp substrates vinblastine and paclitaxel. 3 XR9576 was shown to be a potent modulator of P-gp mediated [³H]-vinblastine and [³H]-paclitaxel transport as it increased the steady-state accumulation of these cytotoxics in CHrB30 cells to levels

observed in non-P-gp-expressing AuxB1 cells ($EC_{50} = 487 \pm 50 \text{ nM}$). This inhibition of drug transport is not mediated through competition for transport since [^3H]-XR9576 accumulation was not influenced by P-gp expression or function. These results demonstrate that the P-gp modulator XR9576 exhibits greater selectivity, duration of inhibition and potency of interaction with this transporter than any other reported modulators. Several lines of evidence suggest that XR9576 inhibits P-gp function by binding at a site which is distinct from the site of interaction of transport substrates. The two sites may be classified as serving modulatory or transport functions.

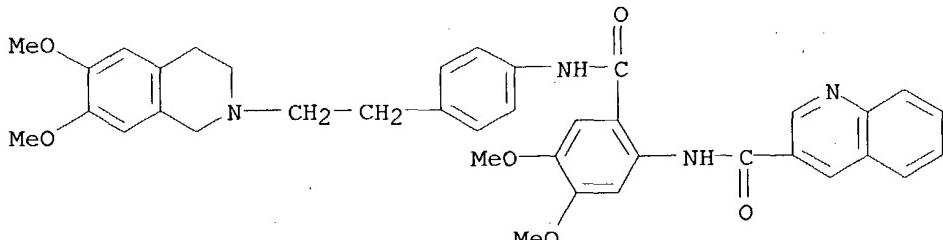
IT 206873-63-4, XR 9576

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(mol. interaction of high affinity reversal agent XR9576 with P-glycoprotein)

RN 206873-63-4 HCAPLUS

CN 3-Quinolinecarboxamide, N-[2-[[[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethyl]phenyl]amino]carbonyl]-4,5-dimethoxyphenyl]- (9CI) (CA INDEX NAME)



RE.CNT 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 7 OF 33 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 1999:188605 HCAPLUS

DN 131:340

TI Reversal of P-glycoprotein mediated multidrug resistance by novel anthranilamide derivatives

AU Roe, Michael; Folkes, Adrian; Ashworth, Philip; Brumwell, Julie; Chima, Lal; Hunjan, Sukhjit; Pretswell, Ian; Dangerfield, Wendy; Ryder, Hamish; Charlton, Peter

CS Xenova Ltd., Slough, SL1 4EF, UK

SO Bioorganic & Medicinal Chemistry Letters (1999), 9(4), 595-600

CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier Science Ltd.

DT Journal

LA English

AB We have synthesized and evaluated a series of anthranilamide based modulators of P-glycoprotein. These studies have identified XR9576, a potent inhibitor of P-glycoprotein in vitro and in vivo. The general synthesis and the SAR of these compds. are described.

IT 206872-35-7P 206873-60-1P 206873-61-2P

206873-62-3P 206873-63-4P 206873-65-6P

206873-66-7P 206873-68-9P 206873-69-0P

206873-71-4P 206873-73-6P 206873-74-7P

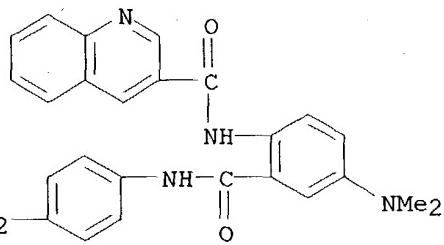
206873-78-1P 225938-12-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of novel anthranilamide derivs. for reversal of P-glycoprotein mediated multidrug resistance)

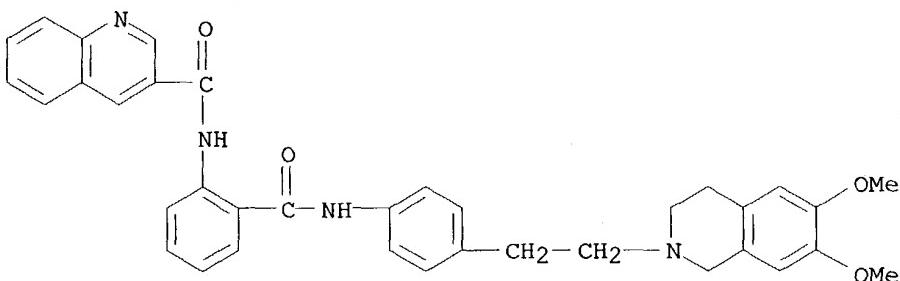
RN 206872-35-7 HCPLUS

CN 3-Quinolinicarboxamide, N-[2-[[[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinoliny)ethyl]phenyl]amino]carbonyl]-4-(dimethylamino)phenyl]- (9CI)
(CA INDEX NAME)



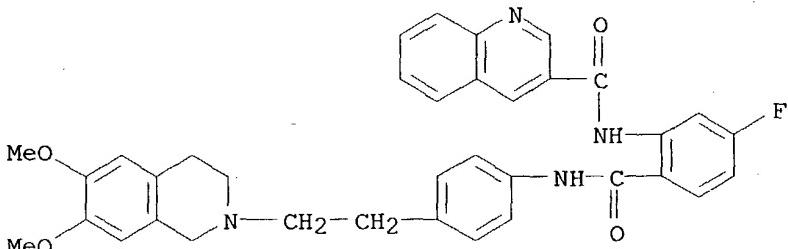
RN 206873-60-1 HCPLUS

CN 3-Quinolinicarboxamide, N-[2-[[[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinoliny)ethyl]phenyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



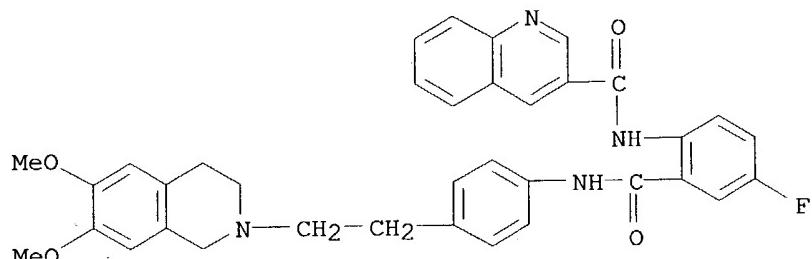
RN 206873-61-2 HCPLUS

CN 3-Quinolinicarboxamide, N-[2-[[[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinoliny)ethyl]phenyl]amino]carbonyl]-5-fluorophenyl]- (9CI) (CA INDEX NAME)



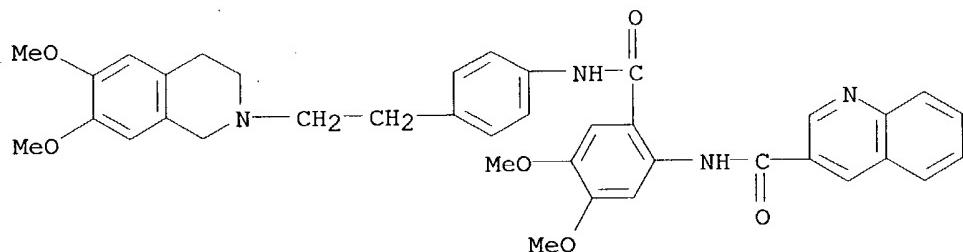
RN 206873-62-3 HCPLUS

CN 3-Quinolinecarboxamide, N-[2-[[[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethyl]phenyl]amino]carbonyl]-4-fluorophenyl]- (9CI) (CA INDEX NAME)



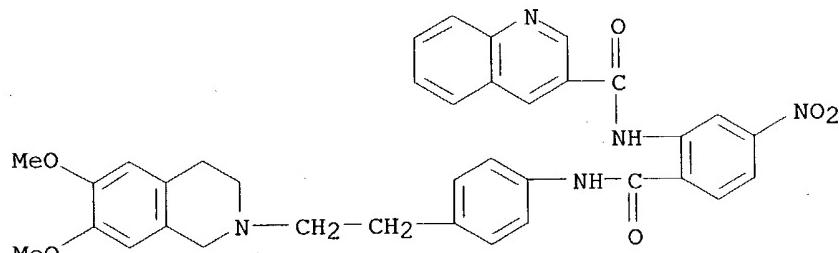
RN 206873-63-4 HCPLUS

CN 3-Quinolinecarboxamide, N-[2-[[[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethyl]phenyl]amino]carbonyl]-4,5-dimethoxyphenyl]- (9CI) (CA INDEX NAME)



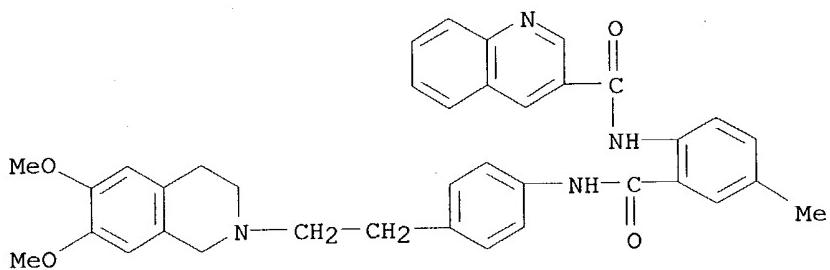
RN 206873-65-6 HCPLUS

CN 3-Quinolinecarboxamide, N-[2-[[[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethyl]phenyl]amino]carbonyl]-5-nitrophenyl]- (9CI) (CA INDEX NAME)

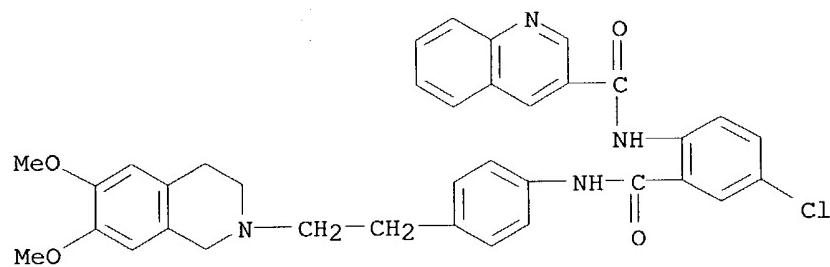


RN 206873-66-7 HCPLUS

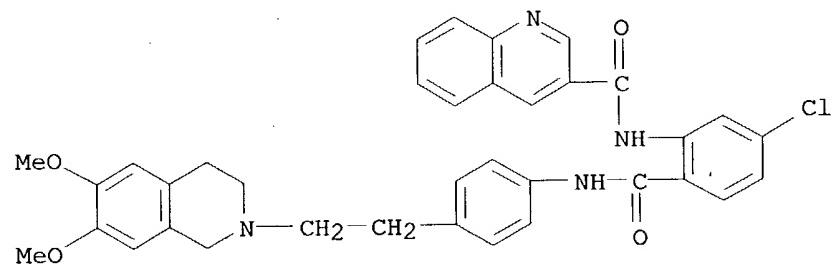
CN 3-Quinolinecarboxamide, N-[2-[[[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethyl]phenyl]amino]carbonyl]-4-methylphenyl]- (9CI) (CA INDEX NAME)



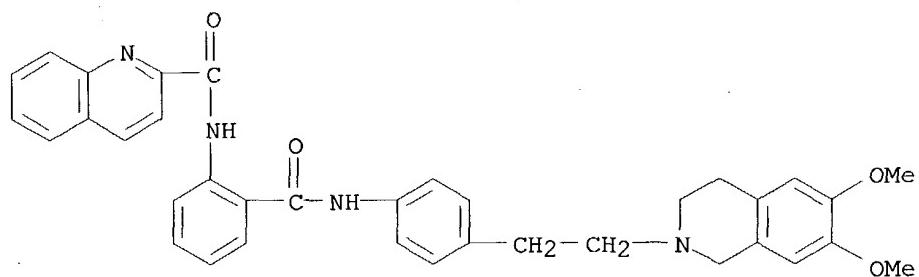
RN 206873-68-9 HCPLUS
 CN 3-Quinolinecarboxamide, N-[4-chloro-2-[[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethyl]phenyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



RN 206873-69-0 HCPLUS
 CN 3-Quinolinecarboxamide, N-[5-chloro-2-[[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethyl]phenyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)

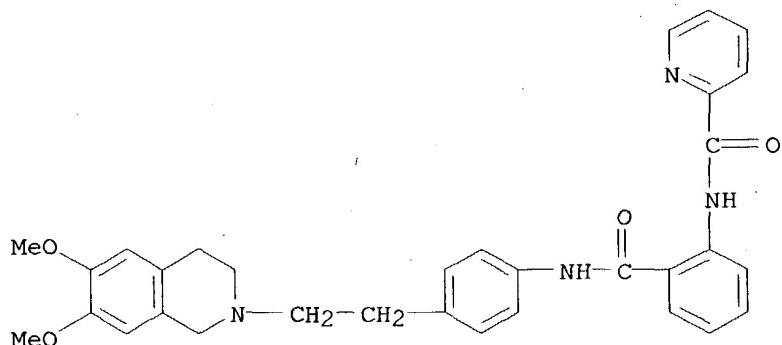


RN 206873-71-4 HCPLUS
 CN 2-Quinolinecarboxamide, N-[2-[[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethyl]phenyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



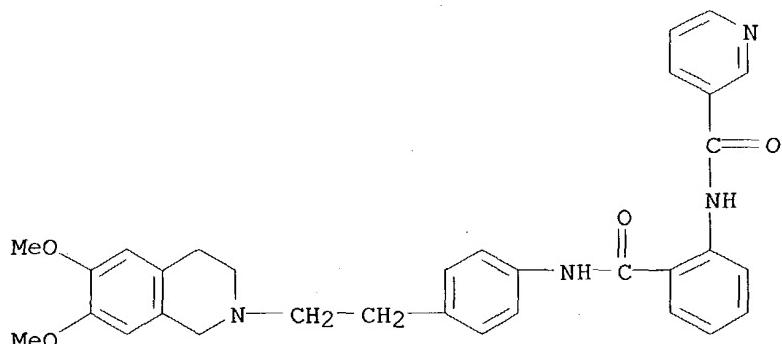
RN 206873-73-6 HCPLUS

CN 2-Pyridinecarboxamide, N-[2-[[[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethyl]phenyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



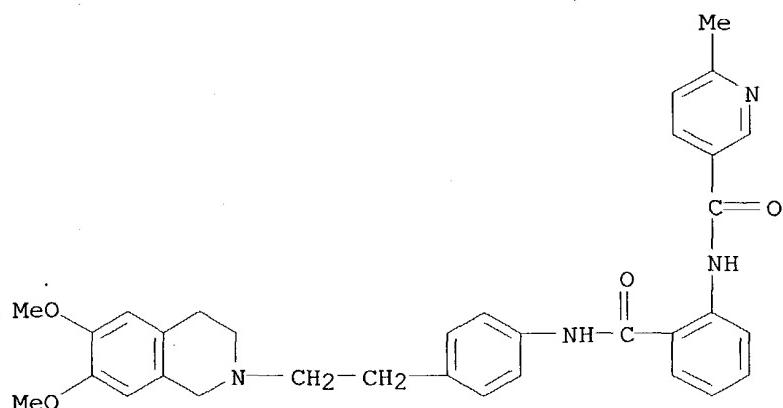
RN 206873-74-7 HCPLUS

CN 3-Pyridinecarboxamide, N-[2-[[[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethyl]phenyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



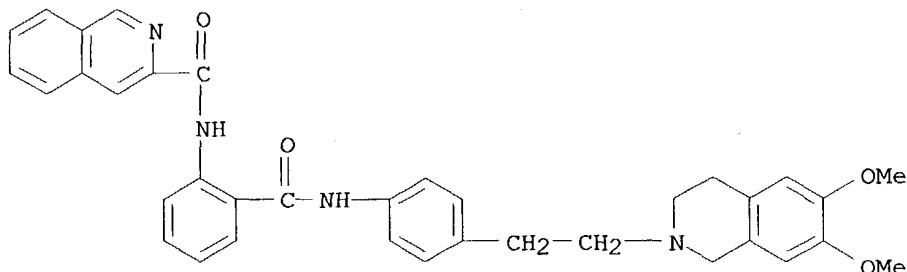
RN 206873-78-1 HCPLUS

CN 3-Pyridinecarboxamide, N-[2-[[[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethyl]phenyl]amino]carbonyl]phenyl]-6-methyl- (9CI) (CA INDEX NAME)



RN 225938-12-5 HCAPLUS

CN 3-Isoquinolinecarboxamide, N-[2-[[[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethyl]phenyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)

RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 8 OF 33 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 1999:42569 HCAPLUS

DN 130:95392

TI Preparation of bis-amides of 1,2-benzenediamines as antithrombotic agents

IN Beight, Douglas Wade; Craft, Treilia Joyce; Franciskovich, Jeffry Bernard; Goodson, Theodore, Jr.; Hall, Steven Edward; Herron, David Kent; Klimkowski, Valentine Joseph; Kyle, Jeffrey Alan; Masters, John Joseph; Mendel, David; Milot, Guy; Sawyer, Jason Scott; Shuman, Robert Theodore; Smith, Gerald Floyd; Tebbe, Anne Louise; Tinsley, Jennifer Marie; Weir, Leonard Crayton; Wikle, James Howard; Wiley, Michael Robert; Yee, Ying Kwong

PA Eli Lilly and Company, USA

SO PCT Int. Appl., 311 pp.

CODEN: PIXXD2

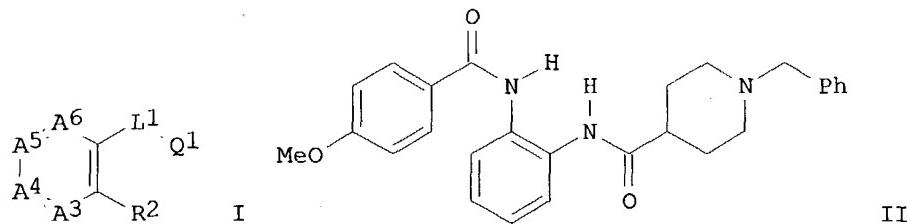
DT Patent

LA English

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|--|------|----------|-----------------|--------------|
| PI | WO 9900121 | A1 | 19990107 | WO 1998-US13427 | 19980626 <-- |
| | W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, | | | | |

| | |
|---|---|
| | DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, |
| | KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, |
| | NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, |
| | UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM |
| RW: | GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, |
| | FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, |
| | CM, GA, GN, ML, MR, NE, SN, TD, TG |
| AU 9882708 | A1 19990119 AU 1998-82708 19980626 <-- |
| EP 1014962 | A1 20000705 EP 1998-932928 19980626 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI | |
| JP 2002512633 | T2 20020423 JP 1999-505829 19980626 |
| US 6313122 | B1 20011106 US 2000-445972 20000320 |
| US 2002120007 | A1 20020829 US 2001-961164 20010921 |
| US 6605626 | B2 20030812 |
| PRAI US 1997-50894P | P 19970626 |
| WO 1998-US13427 | W 19980626 |
| US 2000-445972 | A3 20000320 |
| OS MARPAT 130:95392 | |
| GT | |

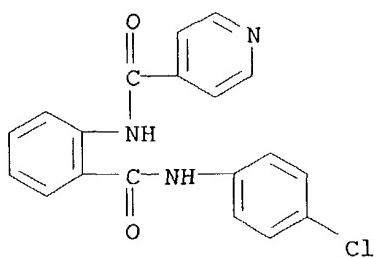


- AB The title compds. [I; A3-A6 together with the two carbons to which they are attached = (un)substituted benzene wherein A3 = CR3; A4 = CR4; A5 = CR5; A6 = CR6; R3 = H, OH, OCH₂Ph, etc.; R4, R5 = H, Me, halo, etc.; R6 = H, F, OH, etc.; two adjacent residues selected from R3-R6 together form a benzene ring, and the other two are hydrogen; L1 = NHCO, OCO, CONH; Q1 = (un)substituted Ph, 2-furanyl, 2-thienyl, etc.; R2 = (un)substituted NHCOPh, OCOPh, CH₂OPh, etc.], useful as inhibitors of factor Xa (no data), were prepared and formulated. Thus, treatment of N-benzylisonipeptocate with oxalyl chloride in CH₂Cl₂ followed by addition of DMF, and subsequent addition of the resulting mixture into a solution of N1-(4-methoxybenzoyl)-1,2-benzenediamine and pyridine in CH₂Cl₂ and THF afforded 54% II. Compds. I are effective at 0.01-1000 mg/kg/day.

IT 219492-67-8P 219492-69-0P 219492-71-4P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of bis-amides of 1,2-benzenediamines as antithrombotic agents)

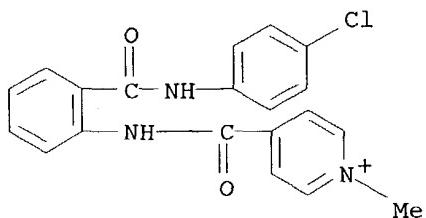
RN 219492-67-8 HCPLUS

CN 4-Pyridinecarboxamide, N-[2-[(4-chlorophenyl)amino]carbonyl]phenyl]-
 (9CI) (CA INDEX NAME)



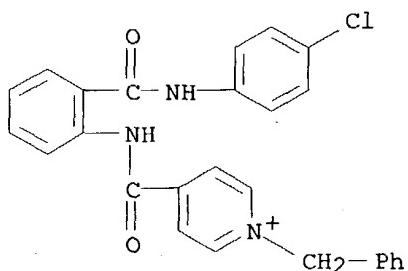
RN 219492-69-0 HCAPLUS

CN Pyridinium, 4-[[[2-[(4-chlorophenyl)amino]carbonyl]phenyl]amino]carbonyl-1-methyl-, iodide (9CI) (CA INDEX NAME)

● I⁻

RN 219492-71-4 HCAPLUS

CN Pyridinium, 4-[[[2-[(4-chlorophenyl)amino]carbonyl]phenyl]amino]carbonyl-1-(phenylmethyl)-, bromide (9CI) (CA INDEX NAME)

● Br⁻RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 9 OF 33 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 1998:724208 HCAPLUS

DN 130:33033

TI Chromium picolinate complexes and pharmaceuticals with hypoglycemic or insulin-lowering effect

IN Kuroki, Yasuhisa

PA Otsuka Pharmaceutical Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 8 pp.

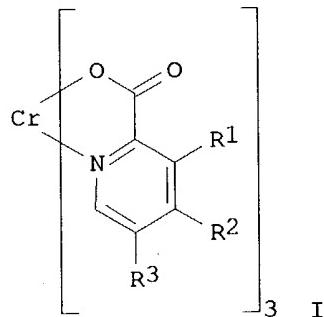
CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------------|------|----------|-----------------|--------------|
| PI JP 10298189 | A2 | 19981110 | JP 1997-112682 | 19970430 <-- |
| PRAI JP 1997-112682 | | 19970430 | | |
| OS MARPAT 130:33033 | | | | |
| GI | | | | |



AB Hypoglycemic agents, their compns., or insulin-lowering compns. contain Cr complexes I [R1-R3 = H, lower alkyl, OH, benzoyl, lower alkoxy carbonyl, halo-substituted 3-(lower alkyl)-4(3H)-quinazolin-2-yl; R1 = R2 = R3 ≠ H] and optional carriers. 3-Hydroxypicolinic acid (4.17 g) was treated with 2.66 g CrCl₃·6H₂O in H₂O at 80° for 5 h to give 1.67 g trans-I·1/2H₂O (R1 = OH, R2 = R3 = H), which was orally administered to dexamethasone-treated rats to show 5% decrease of blood glucose (at 10 mg/kg dose) and 25% decrease of blood insulin (at 100 mg/kg dose). Formulation examples are given.

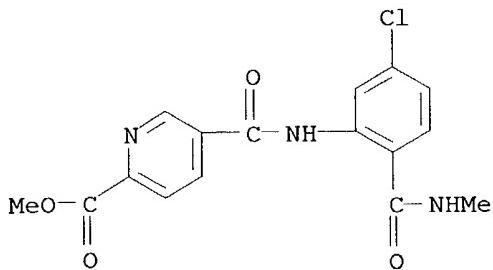
IT 216656-75-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of chromium picolinate complexes as hypoglycemic or insulin-lowering agents)

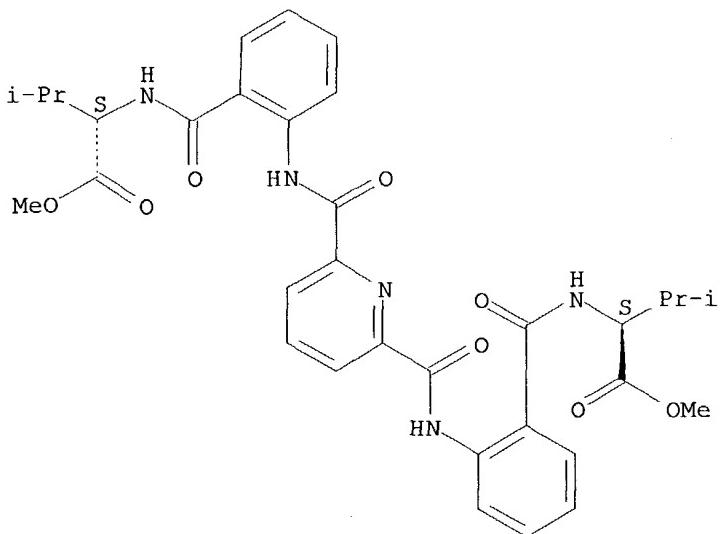
RN 216656-75-6 HCPLUS

CN 2-Pyridinecarboxylic acid, 5-[[[5-chloro-2-[(methylamino)carbonyl]phenyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



L10 ANSWER 10 OF 33 HCAPLUS COPYRIGHT 2004 ACS on STN
 AN 1998:606819 HCAPLUS
 DN 129:297543
 TI Synthesis and structure of chiral 2,6-bis[(2-carbamoylphenyl)carbamoyl]pyridine ligands
 AU Yu, Qiang; Baroni, Timothy E.; Liable-Sands, Louise; Rheingold, Arnold L.; Borovik, A. S.
 CS Department of Chemistry, University of Kansas, Lawrence, KS, 66045, USA
 SO Tetrahedron Letters (1998), 39(38), 6831-6834
 CODEN: TELEAY; ISSN: 0040-4039
 PB Elsevier Science Ltd.
 DT Journal
 LA English
 AB The synthesis and structure of enantiomerically pure 2,6-bis[(2-carbamoylphenyl)carbamoyl]pyridine ligands are described. Appended from the aryl groups are optically active groups which provide a chiral environment around the planar pyridine core. NMR and x-ray diffraction studies show that these ligands contain helical character which is maintained by a network of intramolecular H bonds. These ligands can bind metal ions through their tridentate diamidato-pyridyl chelate to form optically active metal complexes. A Ni complex is prepared and its x-ray crystal structure is determined. The modular design of these ligands offers a variety of chiral environments about the metal chelate that can be useful in the synthesis of metal reagents for asym. transformations.
 IT 214203-39-1P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and complexation with nickel)
 RN 214203-39-1 HCAPLUS
 CN L-Valine, N,N'-[2,6-pyridinediylbis(carbonylimino-2,1-phenlenecarbonyl)]bis-, dimethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 214203-41-5P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation and crystal structure of)

RN 214203-41-5 HCPLUS

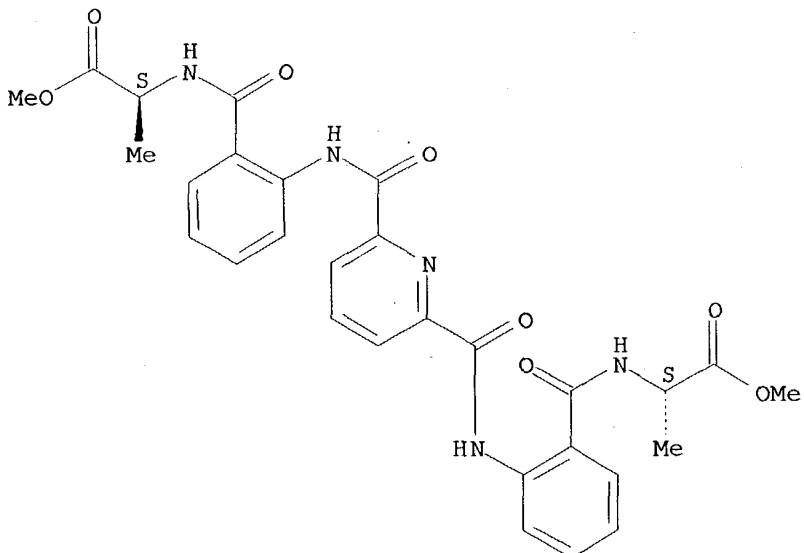
CN L-Alanine, N,N'-[2,6-pyridinediylyl]bis(carbonylimino-2,1-phenylene carbonyl), dimethyl ester, compd. with dichloromethane (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 214203-38-0

CMF C29 H29 N5 O8

Absolute stereochemistry.



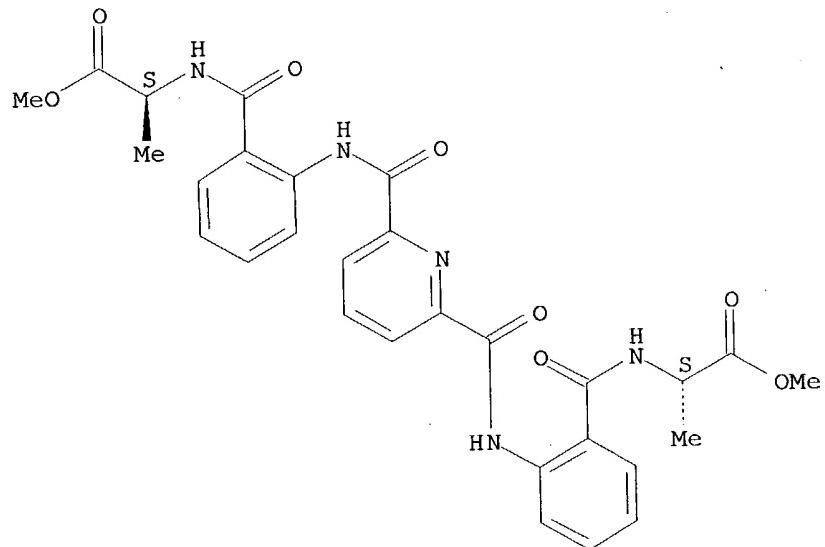
CM 2

CRN 75-09-2
CMF C H2 Cl2Cl-CH₂-Cl

IT **214203-38-0P**
 RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
 (preparation and mol. structure of)

RN 214203-38-0 HCPLUS
 CN L-Alanine, N,N'-[2,6-pyridinediylbis(carbonylimino-2,1-phenylene carbonyl)]bis-, dimethyl ester (9CI) (CA INDEX NAME)

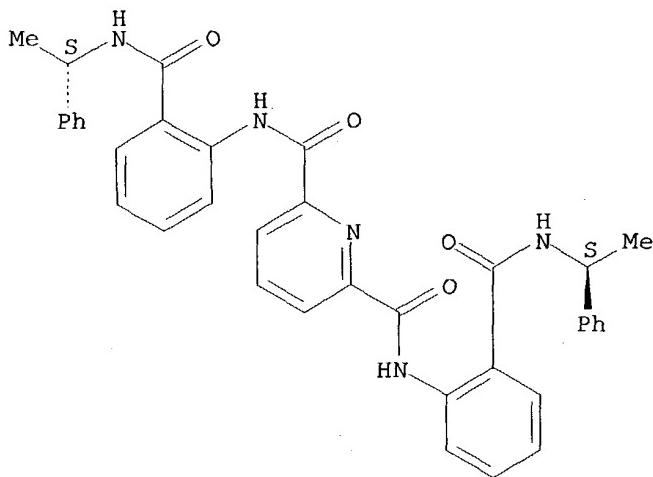
Absolute stereochemistry.



IT **214203-40-4P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 214203-40-4 HCPLUS
 CN 2,6-Pyridinedicarboxamide, N,N'-bis[2-[[[(1S)-1-phenylethyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

| L10 | ANSWER 11 OF 33 | HCAPLUS | COPYRIGHT 2004 ACS on STN | |
|-----------|---|---------|---------------------------|------------------|
| AN | 1998:351844 | HCAPLUS | | |
| DN | 129:40989 | | | |
| TI | Preparation of N-(2-oxoethyl)benzamides as cysteine protease inhibitors | | | |
| IN | Lubisch, Wilfried; Moeller, Achim; Treiber, Hans-Joerg | | | |
| PA | BASF A.-G., Germany | | | |
| SO | Ger. Offen., 34 pp. | | | |
| | CODEN: GWXXBX | | | |
| DT | Patent | | | |
| LA | German | | | |
| FAN.CNT 1 | | | | |
| | PATENT NO. | KIND | DATE | APPLICATION NO. |
| PI | DE 19648793 | A1 | 19980528 | DE 1996-19648793 |
| | CA 2272388 | AA | 19980604 | CA 1997-2272388 |
| | WO 9823581 | A1 | 19980604 | WO 1997-EP6292 |
| | W: AL, AU, BG, BR, BY, CA, CN, CZ, GE, HU, ID, IL, JP, KR, KZ, LT,
LV, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, US, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM | | | |
| | RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | |
| | AU 9854814 | A1 | 19980622 | AU 1998-54814 |
| | AU 742262 | B2 | 20011220 | |
| | EP 944584 | A1 | 19990929 | EP 1997-951172 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE,
SI, FI, RO | | | |
| | CN 1238761 | A | 19991215 | CN 1997-180091 |
| | BR 9713147 | A | 20000208 | BR 1997-13147 |
| | NZ 335542 | A | 20000728 | NZ 1997-335542 |
| | JP 2001506596 | T2 | 20010522 | JP 1998-524208 |
| | RU 2189973 | C2 | 20020927 | RU 1999-113461 |
| | ZA 9710569 | A | 19990525 | ZA 1997-10569 |
| | TW 393454 | B | 20000611 | TW 1997-86117691 |
| | NO 9902492 | A | 19990525 | NO 1999-2492 |
| | KR 2000057227 | A | 20000915 | KR 1999-704582 |
| | US 6251917 | B1 | 20010626 | US 1999-297916 |
| | | | | 19990526 |

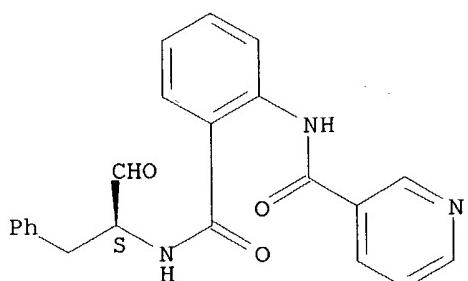
PRAI DE 1996-19648793 A 19961126
 WO 1997-EP6292 W 19971111
 OS MARPAT 129:40989
 AB R1Z1Z2CONHCHR3CHO [R1 = (un)substituted (hetero)aryl; R3 = [(hetero)aryl] hydrocarbyl; Z1 = bond, O, CO, alkylene, etc.; Z2 = (un)substituted phenylene] were prepared. Thus, 2-PhC₆H₄CO₂H was amidated by (S)-PhCH₂CH(NH₂)CH₂OH and the product oxidized to give (S)-2-PhC₆H₄CONHCH(CH₂Ph)CHO (I). Data for biol. activity of I were given.

IT **208174-55-4P**
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of N-(2-oxoethyl)benzamides as cysteine protease inhibitors)

RN 208174-55-4 HCPLUS

CN 3-Pyridinecarboxamide, N-[2-[[[(1S)-1-formyl-2-phenylethyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

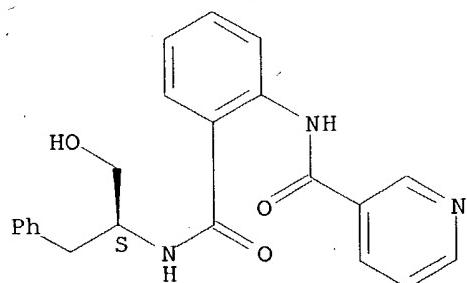


IT **208175-35-3P**
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of N-(2-oxoethyl)benzamides as cysteine protease inhibitors)

RN 208175-35-3 HCPLUS

CN 3-Pyridinecarboxamide, N-[2-[[[(1S)-1-(hydroxymethyl)-2-phenylethyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L10 ANSWER 12 OF 33 HCPLUS COPYRIGHT 2004 ACS on STN
 AN 1998:268489 HCPLUS
 DN 128:321568

TI Anthranilic acid derivatives as multi drug resistance modulators
 IN Ryder, Hamish; Ashworth, Philip Anthony; Roe, Michael John; Brumwell,
 Julie Elizabeth; Hunjan, Sukhjit; Folkes, Adrian John; Sanderson, Jason
 Terry; Williams, Susannah; Maximen, Levi Michael; et al.
 PA Xenova Ltd., UK; Ryder, Hamish; Ashworth, Philip Anthony; Roe, Michael
 John; Brumwell, Julie Elizabeth; Hunjan, Sukhjit; Folkes, Adrian John;
 Sanderson, Jason Terry; Williams, Susannah; Maximen, Levi Michael
 SO PCT Int. Appl., 203 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|---|------|----------|------------------|--------------|
| PI | WO 9817648 | A1 | 19980430 | WO 1997-GB2885 | 19971017 <-- |
| | W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR,
KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ,
PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG,
US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR,
GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA,
GN, ML, MR, NE, SN, TD, TG | | | | |
| | CA 2268403 | AA | 19980430 | CA 1997-2268403 | 19971017 <-- |
| | AU 9746339 | A1 | 19980515 | AU 1997-46339 | 19971017 <-- |
| | AU 741922 | B2 | 20011213 | | |
| | ZA 9709329 | A | 19990419 | ZA 1997-9329 | 19971017 <-- |
| | EP 934276 | A1 | 19990811 | EP 1997-945030 | 19971017 <-- |
| | EP 934276 | B1 | 20031217 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, FI | | | | |
| | BR 9711935 | A | 19990824 | BR 1997-11935 | 19971017 <-- |
| | GB 2334521 | A1 | 19990825 | GB 1999-8193 | 19971017 <-- |
| | GB 2334521 | B2 | 20001004 | | |
| | CN 1241181 | A | 20000112 | CN 1997-180708 | 19971017 <-- |
| | JP 2001502683 | T2 | 20010227 | JP 1998-519108 | 19971017 |
| | RU 2195454 | C2 | 20021227 | RU 1999-109990 | 19971017 |
| | AT 256663 | E | 20040115 | AT 1997-945030 | 19971017 |
| | ES 2210586 | T3 | 20040701 | ES 1997-945030 | 19971017 |
| | TW 498074 | B | 20020811 | TW 1997-86115402 | 19971018 |
| | BG 103327 | A | 20001130 | BG 1999-103327 | 19990413 |
| | NO 9901836 | A | 19990617 | NO 1999-1836 | 19990416 <-- |
| | KR 2000049278 | A | 20000725 | KR 1999-703389 | 19990417 |
| | US 6218393 | B1 | 20010417 | US 1999-284642 | 19990609 |
| | HK 1019330 | A1 | 20010112 | HK 1999-103773 | 19990901 |
| PRAI | WO 1996-GB2552 | A | 19961018 | | |
| | GB 1997-17576 | A | 19970819 | | |
| | WO 1997-GB2885 | W | 19971017 | | |
| OS | MARPAT 128:321568 | | | | |
| GI | | | | | |

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Anthranilic acid derivs. I [R, R₁, R₂ = H, alkyl, OH, alkoxy, halo, NO₂, amino; or R₁R₂ = OCH₂O or OCH₂CH₂O; R₃ = H, alkyl; R₄ = alkyl, or CH₂ or

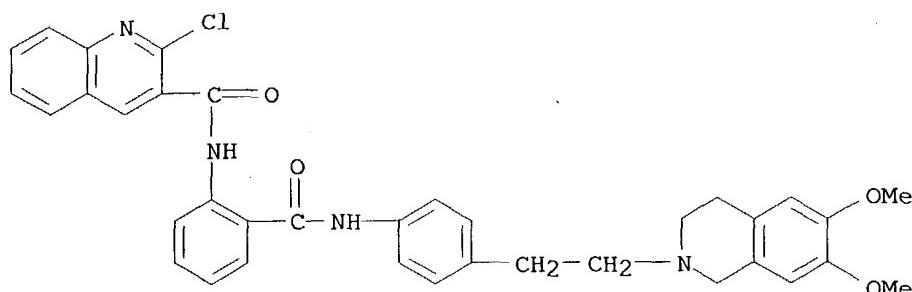
CH₂CH₂ bridged to either Ph ring; R₅ = H, OH, alkyl; X = bond, O, S, S(CH₂)p, O(CH₂)p; p = 1-6; R₆ = H, alkyl, alkoxy; q = 0 or 1; Ar = (un)saturated carbo- or heterocyclic; R₇, R₈ = H, (un)substituted alkyl, alkoxy, OH, halo, Ph, NHOH, NO₂, amino, SH, alkylthio; or R₇R₈ = CH:CHCH:CH or OCH₂O; n = 0, 1; m = 0-6] and their pharmaceutically acceptable salts are disclosed. The compds. are inhibitors of P-glycoprotein, and may thus be used, inter alia, as modulators of multidrug resistance in the treatment of multidrug-resistant cancers, for example, to potentiate the cytotoxicity of a cancer drug. For instance, amidation of 3-quinolinecarboxylic acid with the corresponding aminothiophene derivative via the acid chloride gave title compound II in 44% yield. In a test for potentiation of doxorubicin toxicity to AR 1.0 cells, II had a potentiation index of 142 at 30 nM.

IT 206872-32-4P 206872-33-5P 206872-35-7P
 206872-40-4P 206872-44-8P 206872-46-0P
 206872-49-3P 206872-51-7P 206872-52-8P
 206872-53-9P 206872-54-0P 206872-55-1P
 206872-56-2P 206872-57-3P 206872-59-5P
 206872-60-8P 206872-62-0P 206872-64-2P
 206872-65-3P 206872-66-4P 206872-67-5P
 206872-68-6P 206872-69-7P 206872-70-0P
 206872-71-1P 206872-72-2P 206872-73-3P
 206872-74-4P 206872-75-5P 206872-76-6P
 206872-78-8P 206872-79-9P 206872-80-2P
 206872-84-6P 206872-85-7P 206872-86-8P
 206872-87-9P 206872-88-0P 206872-90-4P
 206872-91-5P 206872-92-6P 206872-93-7P
 206873-39-4P 206873-40-7P 206873-41-8P
 206873-44-1P 206873-45-2P 206873-46-3P
 206873-47-4P 206873-60-1P 206873-61-2P
 206873-62-3P 206873-63-4P 206873-65-6P
 206873-66-7P 206873-67-8P 206873-68-9P
 206873-69-0P 206873-70-3P 206873-71-4P
 206873-72-5P 206873-73-6P 206873-74-7P
 206873-75-8P 206873-78-1P 206873-79-2P
 206874-31-9P 206874-33-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of anthranilic acid derivs. as multi-drug resistance modulators)

RN 206872-32-4 HCPLUS

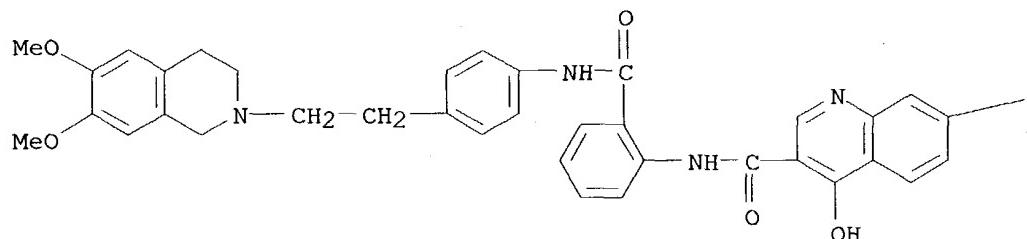
CN 3-Quinolinecarboxamide, 2-chloro-N-[2-[[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethyl]phenyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



RN 206872-33-5 HCAPLUS

CN 3-Quinolinecarboxamide, N-[2-[[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethyl]phenyl]amino]carbonyl]phenyl]-4-hydroxy-7-(trifluoromethyl)- (9CI) (CA INDEX NAME)

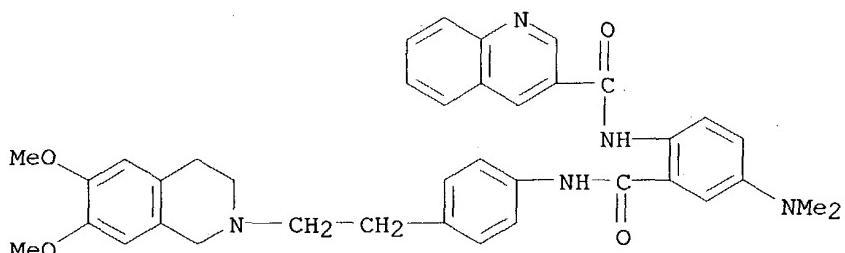
PAGE 1-A



PAGE 1-B

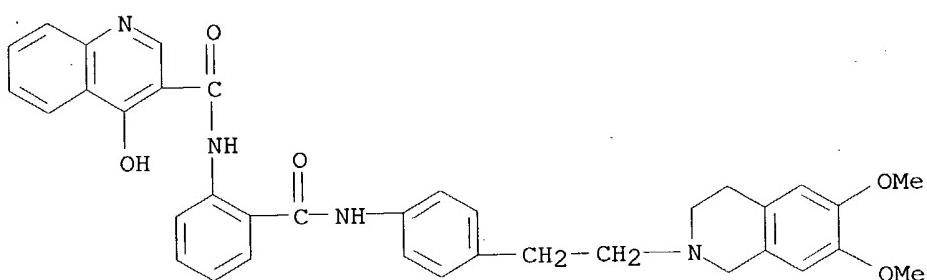
→ CF₃

RN 206872-35-7 HCAPLUS

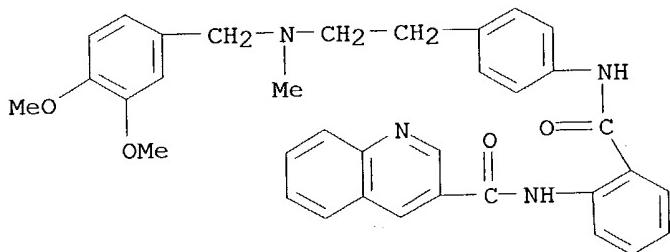
CN 3-Quinolinecarboxamide, N-[2-[[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethyl]phenyl]amino]carbonyl]-4-(dimethylamino)phenyl- (9CI)
(CA INDEX NAME)

RN 206872-40-4 HCAPLUS

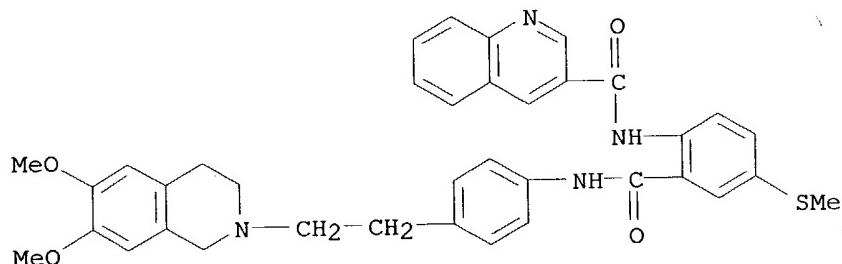
CN 3-Quinolinecarboxamide, N-[2-[[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethyl]phenyl]amino]carbonyl]phenyl]-4-hydroxy- (9CI) (CA INDEX NAME)



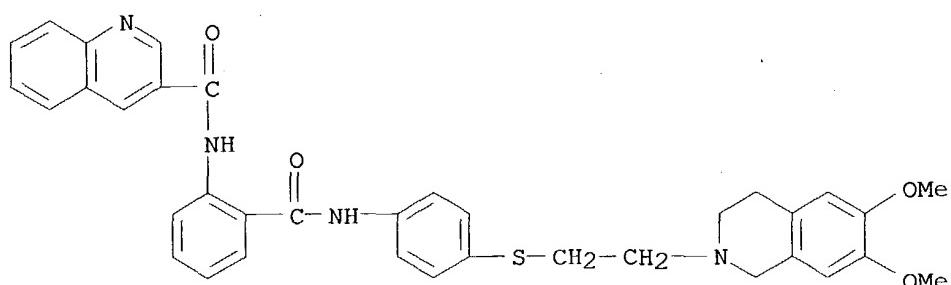
RN 206872-44-8 HCAPLUS
 CN 3-Quinolinecarboxamide, N-[2-[[2-[(3,4-dimethoxyphenyl)methyl]methylamino]ethyl]phenyl]amino]carbonylphenyl]- (9CI) (CA INDEX NAME)



RN 206872-46-0 HCAPLUS
 CN 3-Quinolinecarboxamide, N-[2-[[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethyl]phenyl]amino]carbonyl]-4-(methylthio)phenyl]- (9CI) (CA INDEX NAME)

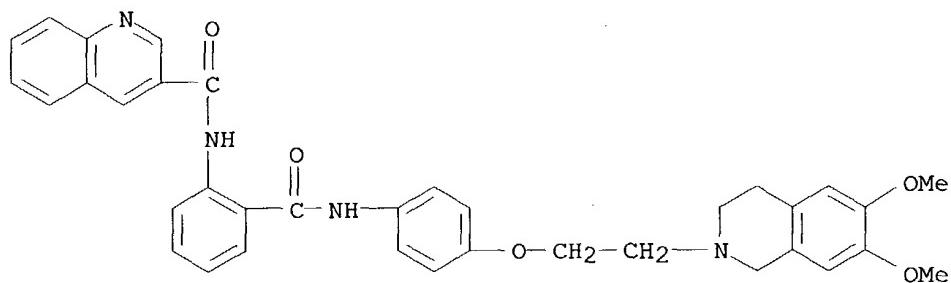


RN 206872-49-3 HCAPLUS
 CN 3-Quinolinecarboxamide, N-[2-[[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethyl]thio]phenyl]amino]carbonylphenyl]- (9CI) (CA INDEX NAME)



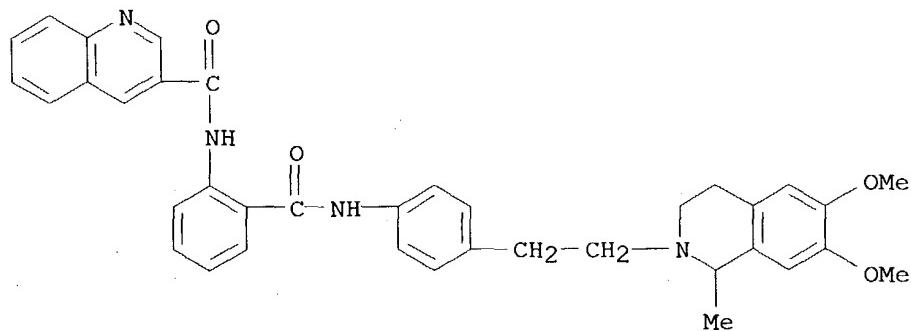
RN 206872-51-7 HCPLUS

CN 3-Quinolinecarboxamide, N-[2-[[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethoxy]phenyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



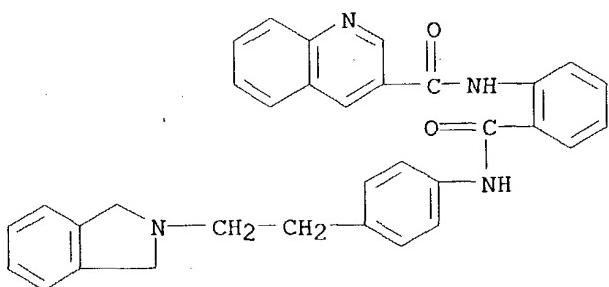
RN 206872-52-8 HCPLUS

CN 3-Quinolinecarboxamide, N-[2-[[4-[2-(3,4-dihydro-6,7-dimethoxy-1-methyl-2(1H)-isoquinolinyl)ethyl]phenyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



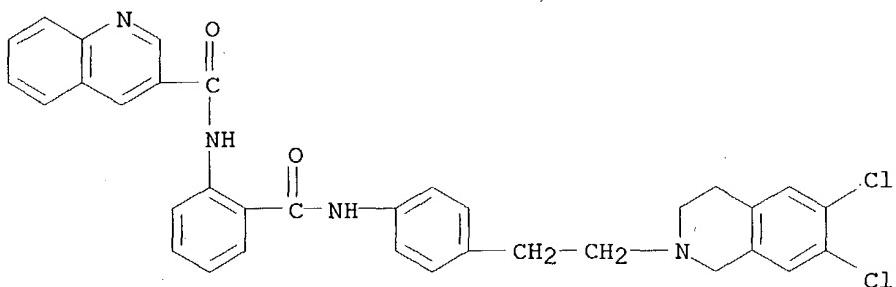
RN 206872-53-9 HCPLUS

CN 3-Quinolinecarboxamide, N-[2-[[4-[2-(1,3-dihydro-2H-isoindol-2-yl)ethyl]phenyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



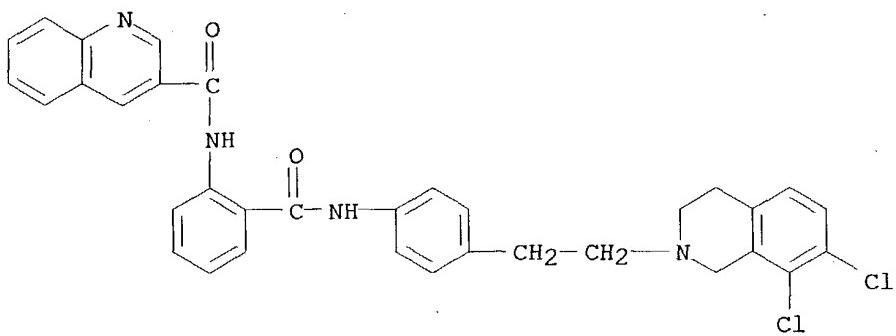
RN 206872-54-0 HCAPLUS

CN 3-Quinolinecarboxamide, N-[2-[[[4-[2-(6,7-dichloro-3,4-dihydro-2(1H)-isoquinolinyl)ethyl]phenyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



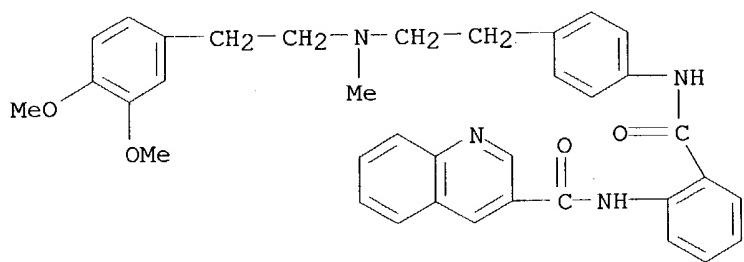
RN 206872-55-1 HCAPLUS

CN 3-Quinolinecarboxamide, N-[2-[[[4-[2-(7,8-dichloro-3,4-dihydro-2(1H)-isoquinolinyl)ethyl]phenyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)

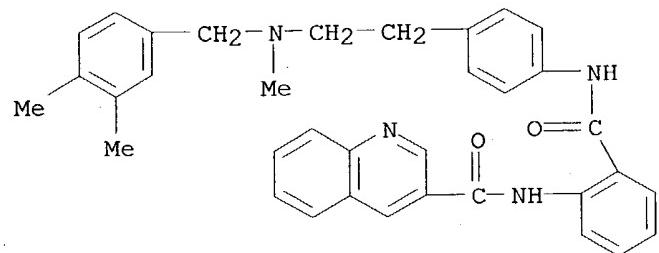


RN 206872-56-2 HCAPLUS

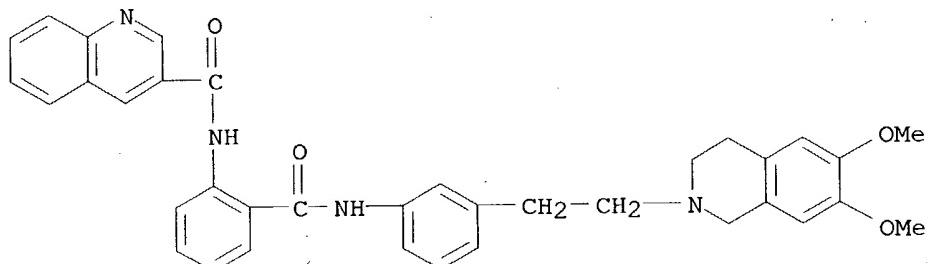
CN 3-Quinolinecarboxamide, N-[2-[[4-[2-[2-(3,4-dimethoxyphenyl)ethyl]methylamino]ethyl]phenyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



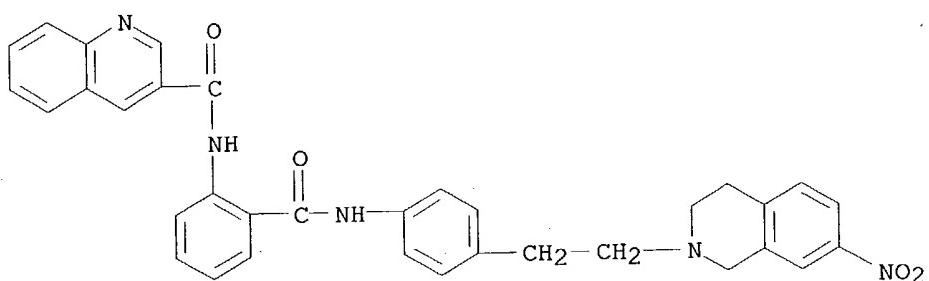
RN 206872-57-3 HCAPLUS
 CN 3-Quinolinecarboxamide, N-[2-[[2-[(3,4-dimethylphenyl)methyl]methylamino]ethyl]phenyl]carbonylphenyl- (9CI) (CA INDEX NAME)



RN 206872-59-5 HCAPLUS
 CN 3-Quinolinecarboxamide, N-[2-[[3-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethyl]phenyl]amino]carbonylphenyl]- (9CI) (CA INDEX NAME)

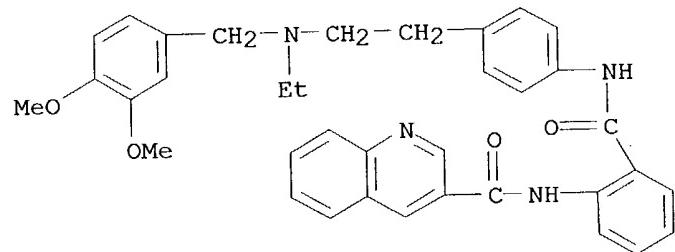


RN 206872-60-8 HCAPLUS
 CN 3-Quinolinecarboxamide, N-[2-[[[4-[2-(3,4-dihydro-7-nitro-2(1H)-isoquinolinyl)ethyl]phenyl]amino]carbonylphenyl]- (9CI) (CA INDEX NAME)



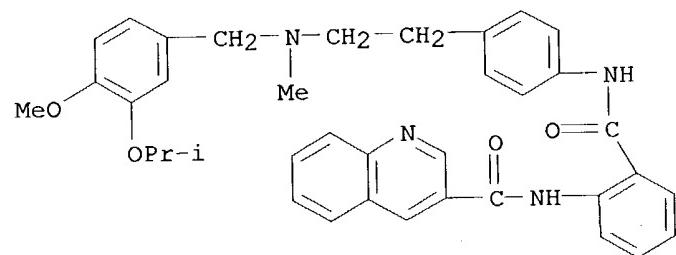
RN 206872-62-0 HCAPLUS

RN 200572-32-9 (CA INDEX NAME)
CN 3-Quinolinecarboxamide, N-[2-[[4-[2-[[[3,4-dimethoxyphenyl)methyl]ethyl]amino]ethyl]phenyl]- (9CI) (CA INDEX NAME)



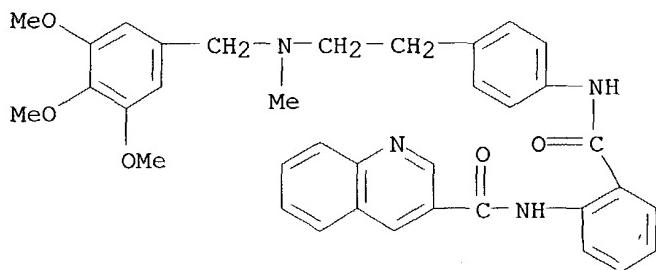
RN 206872-64-2 HCAPLUS

RN 200872-04-2 (NAME)
CN 3-Quinolinecarboxamide, N-[2-[[4-[2-[[[4-methoxy-3-(1-methylethoxy)phenyl]methyl]methylamino]ethyl]phenyl]amino]carbonyl]phenyl]-
(9CI) (CA INDEX NAME)



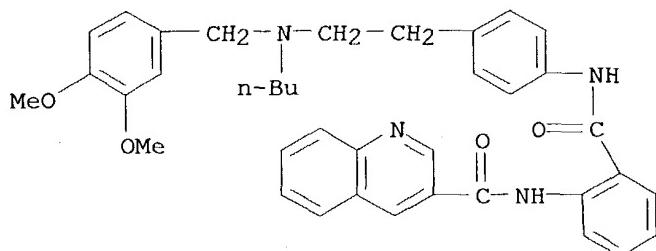
RN 206872-65-3 HCAPLUS

KN 20002-35-0 (NAME)
CN 3-Quinolinecarboxamide, N-[2-[[4-[2-[methyl[(3,4,5-trimethoxyphenyl)methyl]amino]ethyl]phenyl]amino]carbonyl]phenyl]- (9CI)
(CA INDEX NAME)



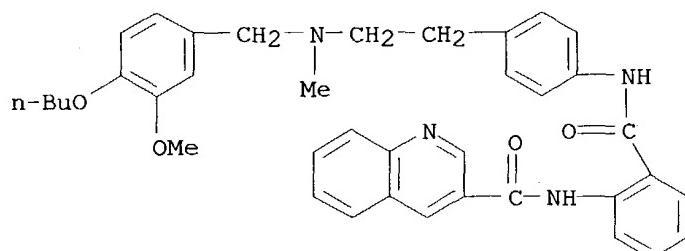
RN 206872-66-4 HCPLUS

CN 3-Quinolinecarboxamide, N-[2-[[[4-[2-[(3,4-dimethoxyphenyl)methyl]amino]ethyl]phenyl]amino]carbonylphenyl]- (9CI)
(CA INDEX NAME)



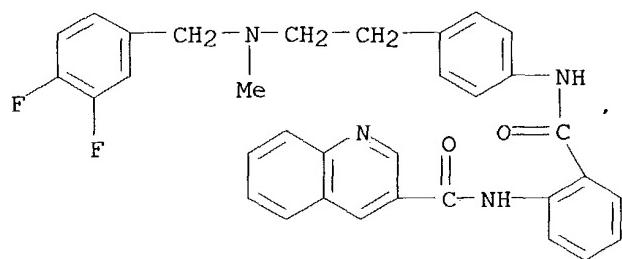
RN 206872-67-5 HCPLUS

CN 3-Quinolinecarboxamide, N-[2-[[[4-[2-[(4-butoxy-3-methoxyphenyl)methyl]methylamino]ethyl]phenyl]amino]carbonylphenyl]- (9CI) (CA INDEX NAME)



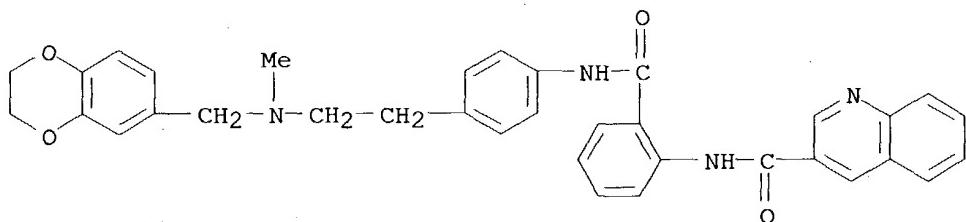
RN 206872-68-6 HCPLUS

CN 3-Quinolinecarboxamide, N-[2-[[[4-[2-[(3,4-difluorophenyl)methyl]methylamino]ethyl]phenyl]amino]carbonylphenyl]- (9CI) (CA INDEX NAME)



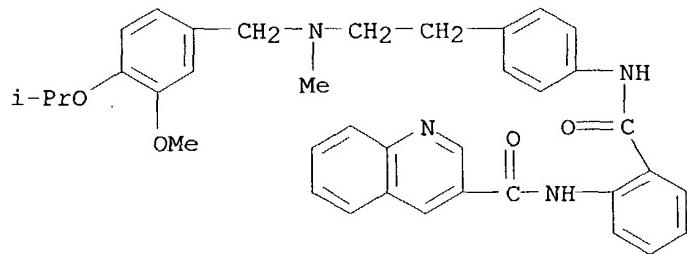
RN 206872-69-7 HCPLUS

CN 3-Quinolinecarboxamide, N-[2-[[4-[2-[[2,3-dihydro-1,4-benzodioxin-6-yl)methyl]methylamino]ethyl]phenyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



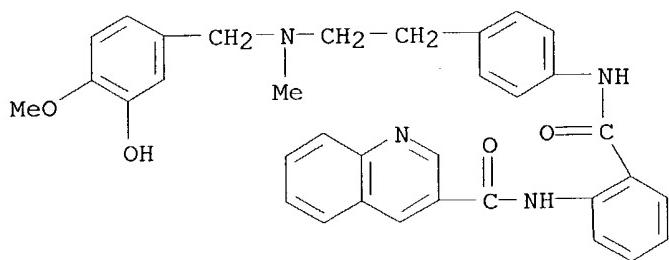
RN 206872-70-0 HCPLUS

CN 3-Quinolinecarboxamide, N-[2-[[4-[2-[[3-methoxy-4-(1-methylethoxy)phenyl]methyl]methylamino]ethyl]phenyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



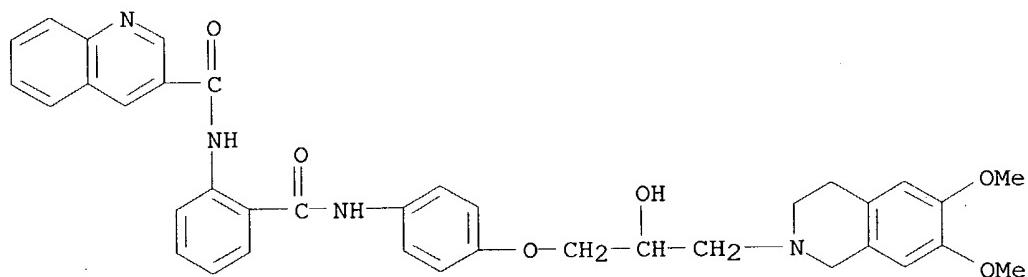
RN 206872-71-1 HCPLUS

CN 3-Quinolinecarboxamide, N-[2-[[4-[2-[[3-hydroxy-4-methoxyphenyl]methyl]methylamino]ethyl]phenyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



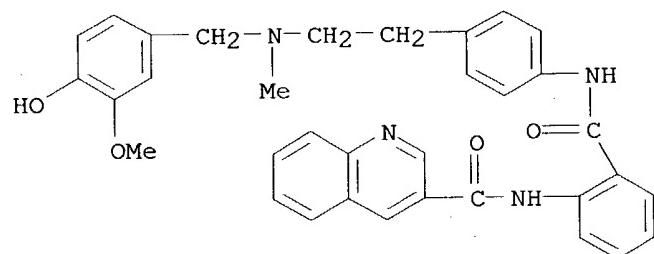
RN 206872-72-2 HCPLUS

CN 3-Quinolinecarboxamide, N-[2-[[[4-[3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl]-2-hydroxypropoxy]phenyl]amino]carbonylphenyl]- (9CI) (CA INDEX NAME)



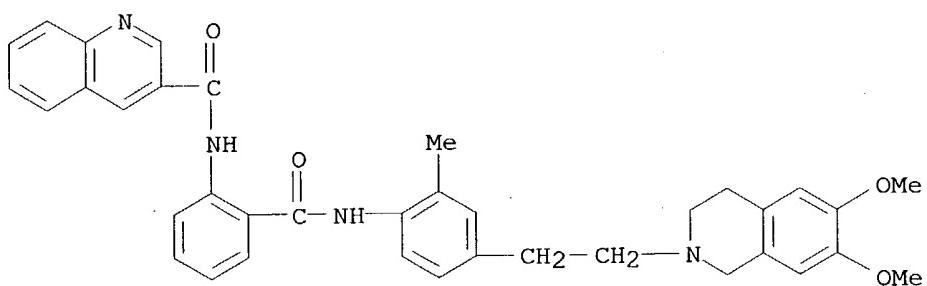
RN 206872-73-3 HCPLUS

CN 3-Quinolinecarboxamide, N-[2-[[[4-[2-[(4-hydroxy-3-methoxyphenyl)methylamino]ethyl]phenyl]amino]carbonylphenyl]- (9CI) (CA INDEX NAME)



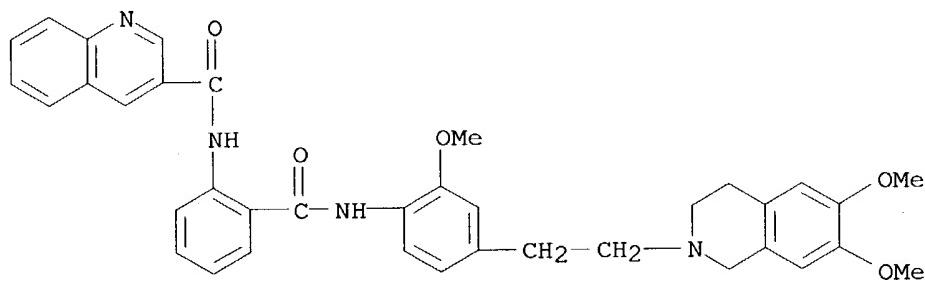
RN 206872-74-4 HCPLUS

CN 3-Quinolinecarboxamide, N-[2-[[[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethyl]-2-methylphenyl]amino]carbonylphenyl]- (9CI) (CA INDEX NAME)



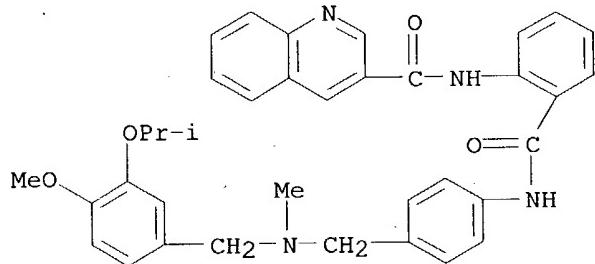
RN 206872-75-5 HCAPLUS

CN 3-Quinolinecarboxamide, N-[2-[[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethyl]-2-methoxyphenyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



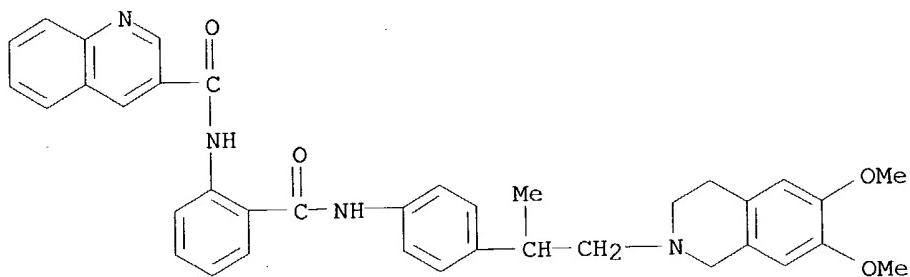
RN 206872-76-6 HCAPLUS

CN 3-Quinolinecarboxamide, N-[2-[[4-[[[4-methoxy-3-(1-methylethoxy)phenyl]methyl]methylamino]methyl]phenyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)

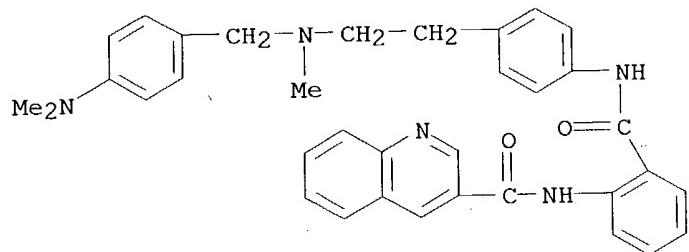


RN 206872-78-8 HCAPLUS

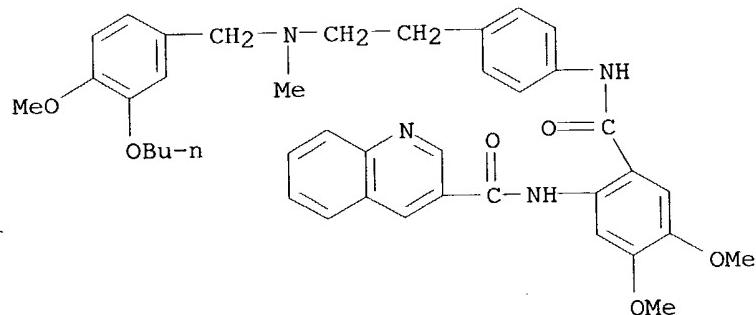
CN 3-Quinolinecarboxamide, N-[2-[[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)-1-methylethyl]phenyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



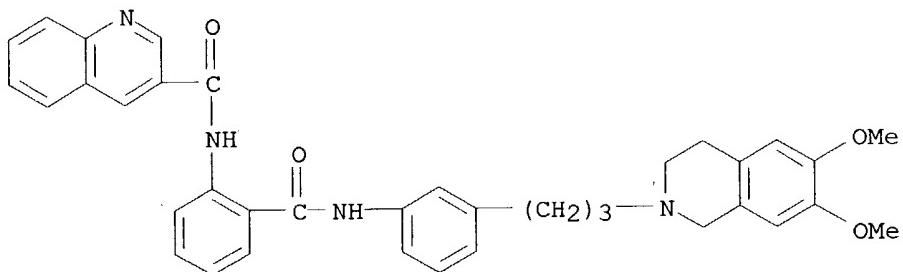
RN 206872-79-9 HCAPLUS
 CN 3-Quinolinecarboxamide, N-[2-[[[4-[(dimethylamino)phenyl]methyl]met
 hylamino]ethyl]phenyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



RN 206872-80-2 HCAPLUS
 CN 3-Quinolinecarboxamide, N-[2-[[[4-[[2-[(3-butoxy-4-methoxyphenyl)methyl]methylamino]ethyl]phenyl]amino]carbonyl]-4,5-dimethoxyphenyl]- (9CI) (CA INDEX NAME)

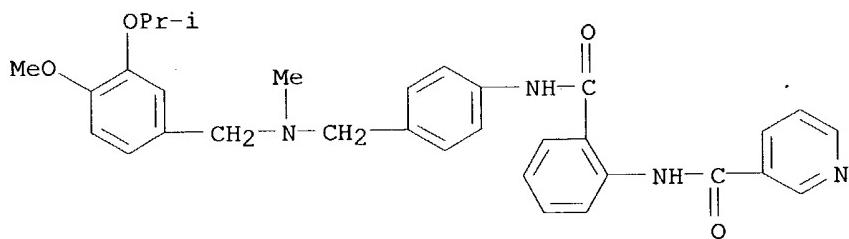


RN 206872-84-6 HCAPLUS
 CN 3-Quinolinecarboxamide, N-[2-[[[3-[(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)propyl]phenyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



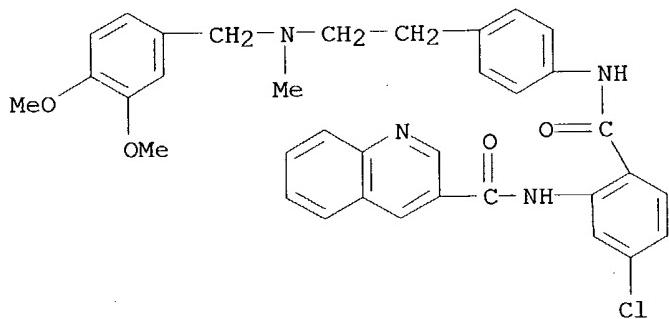
RN 206872-85-7 HCPLUS

CN 3-Pyridinecarboxamide, N-[2-[[[4-[[[4-methoxy-3-(1-methylethoxy)phenyl)methyl]methylamino]methyl]phenyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



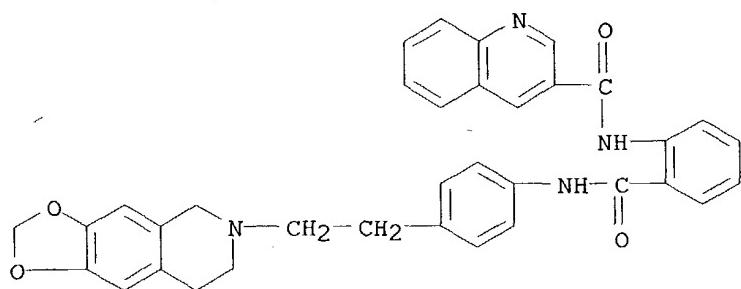
RN 206872-86-8 HCPLUS

CN 3-Quinolinecarboxamide, N-[5-chloro-2-[[[4-[[2-[(3,4-dimethoxyphenyl)methyl]methylamino]ethyl]phenyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



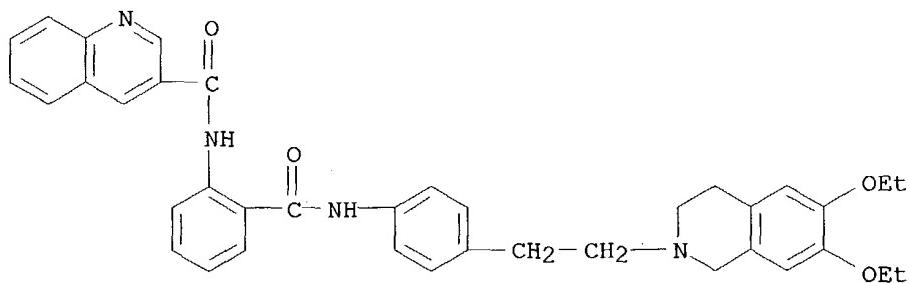
RN 206872-87-9 HCPLUS

CN 3-Quinolinecarboxamide, N-[2-[[[4-[[2-(7,8-dihydro-1,3-dioxolo[4,5-g]isoquinolin-6(5H)-yl)ethyl]phenyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



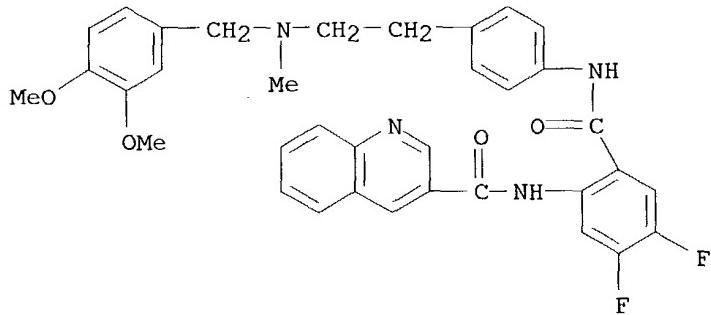
RN 206872-88-0 HCPLUS

CN 3-Quinolinecarboxamide, N-[2-[[[4-[2-((6,7-dioxy-3,4-dihydro-2H-1,4-benzodioxin-3-yl)methyl)ethyl]phenyl]amino]carbonylphenyl]- (9CI) (CA INDEX NAME)



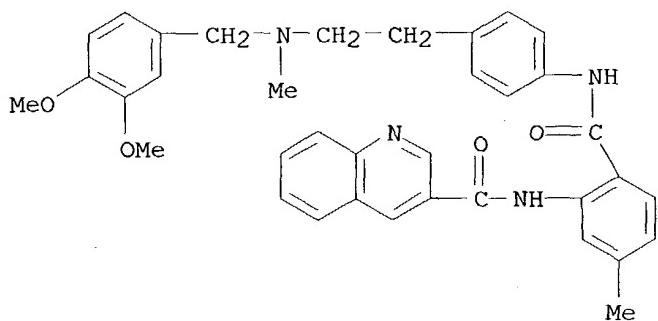
RN 206872-90-4 HCPLUS

CN 3-Quinolinecarboxamide, N-[2-[[[4-[2-[(3,4-dimethoxyphenyl)methyl]methyl]aminooethyl]phenyl]amino]carbonyl]-4,5-difluorophenyl]- (9CI) (CA INDEX NAME)



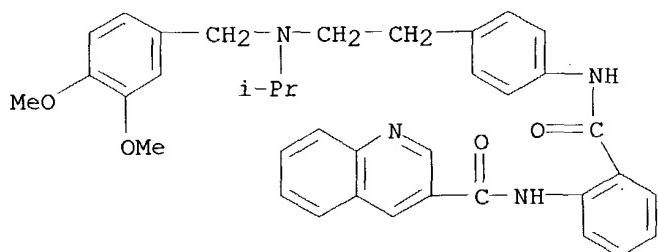
RN 206872-91-5 HCPLUS

CN 3-Quinolinecarboxamide, N-[2-[[[4-[2-[(3,4-dimethoxyphenyl)methyl]methyl]aminooethyl]phenyl]amino]carbonyl]-5-methylphenyl]- (9CI) (CA INDEX NAME)



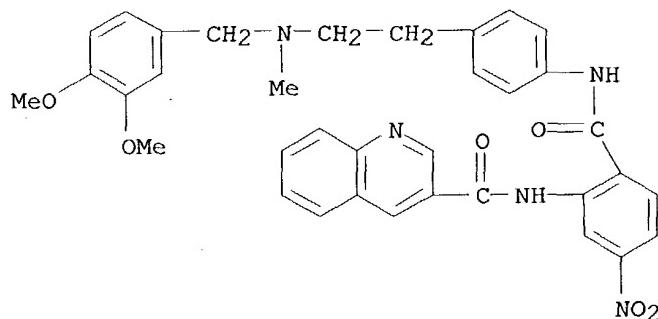
RN 206872-92-6 HCPLUS

CN 3-Quinolinecarboxamide, N-[2-[[4-[2-[(3,4-dimethoxyphenyl)methyl](1-methylethyl)amino]ethyl]phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



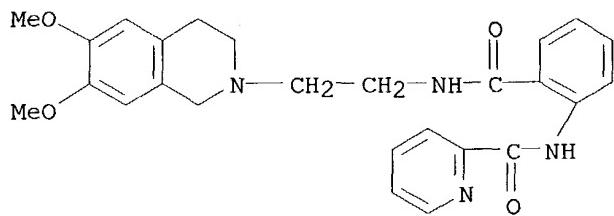
RN 206872-93-7 HCPLUS

CN 3-Quinolinecarboxamide, N-[2-[[4-[2-[(3,4-dimethoxyphenyl)methyl]methylamino]ethyl]phenyl]amino]carbonyl]-5-nitrophenyl]- (9CI) (CA INDEX NAME)

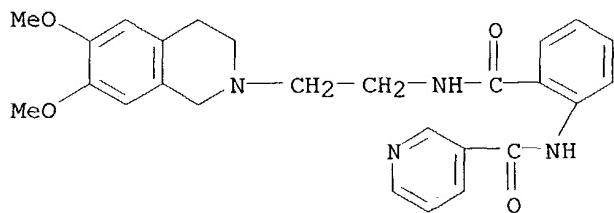


RN 206873-39-4 HCPLUS

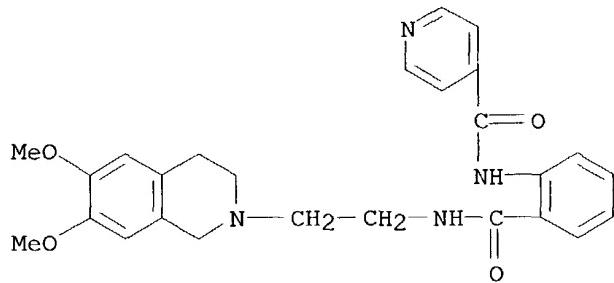
CN 2-Pyridinecarboxamide, N-[2-[[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



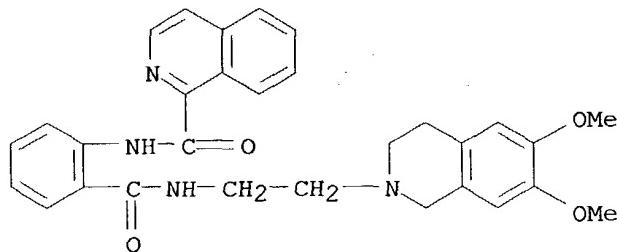
RN 206873-40-7 HCPLUS
 CN 3-Pyridinecarboxamide, N-[2-[[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



RN 206873-41-8 HCPLUS
 CN 4-Pyridinecarboxamide, N-[2-[[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)

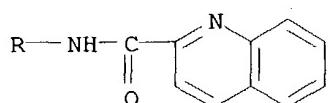
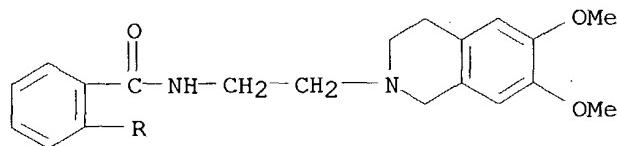


RN 206873-44-1 HCPLUS
 CN 1-Isoquinolinecarboxamide, N-[2-[[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



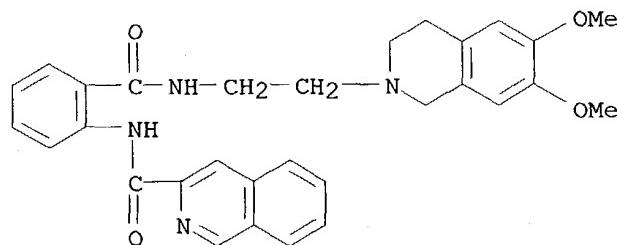
RN 206873-45-2 HCAPLUS

CN 2-Quinolinecarboxamide, N-[2-[[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



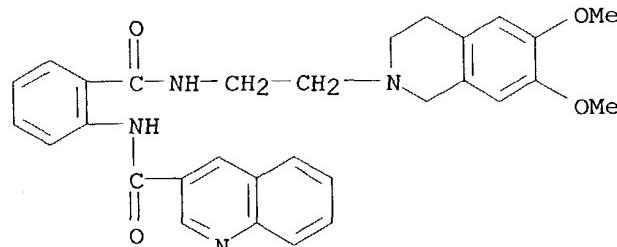
RN 206873-46-3 HCAPLUS

CN 3-Isoquinolinecarboxamide, N-[2-[[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



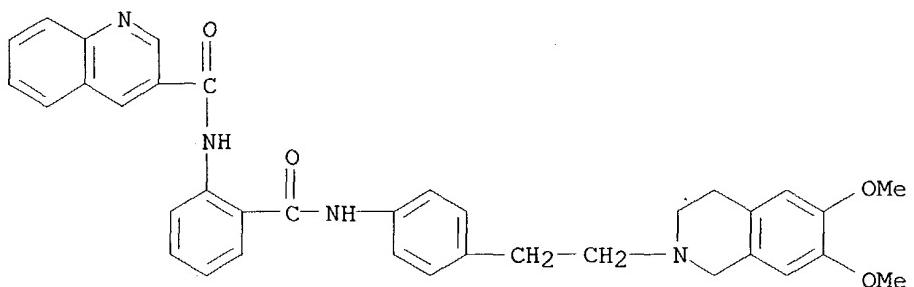
RN 206873-47-4 HCAPLUS

CN 3-Quinolinecarboxamide, N-[2-[[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



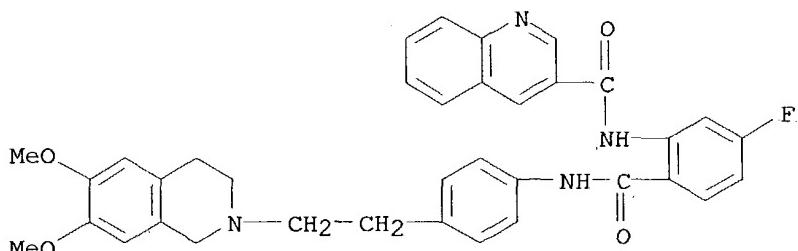
RN 206873-60-1 HCAPLUS

CN 3-Quinolinecarboxamide, N-[2-[[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethyl]phenyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



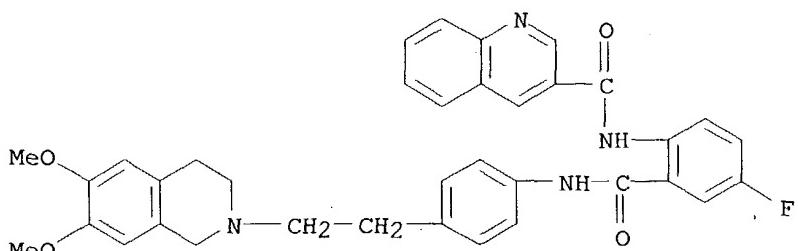
RN 206873-61-2 HCPLUS

CN 3-Quinolinecarboxamide, N-[2-[[[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethyl]phenyl]amino]carbonyl]-5-fluorophenyl]- (9CI) (CA INDEX NAME)



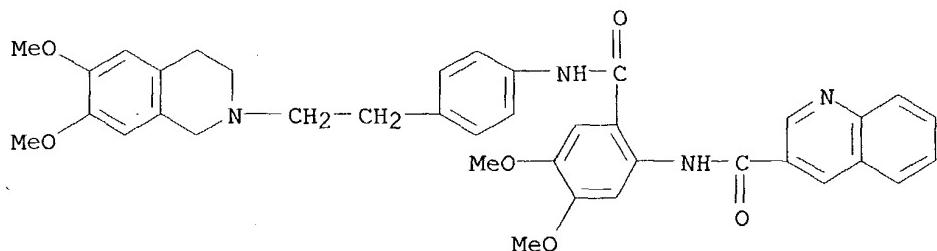
RN 206873-62-3 HCPLUS

CN 3-Quinolinecarboxamide, N-[2-[[[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethyl]phenyl]amino]carbonyl]-4-fluorophenyl]- (9CI) (CA INDEX NAME)



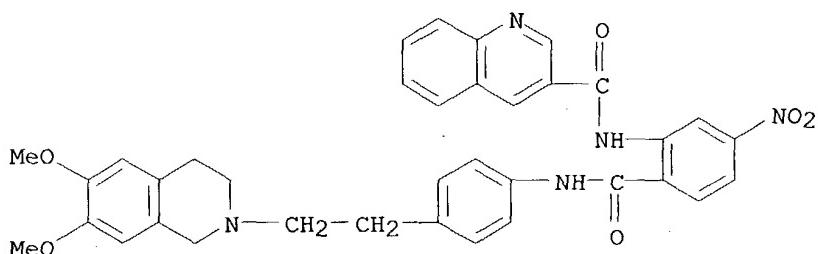
RN 206873-63-4 HCPLUS

CN 3-Quinolinecarboxamide, N-[2-[[[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethyl]phenyl]amino]carbonyl]-4,5-dimethoxyphenyl]- (9CI) (CA INDEX NAME)



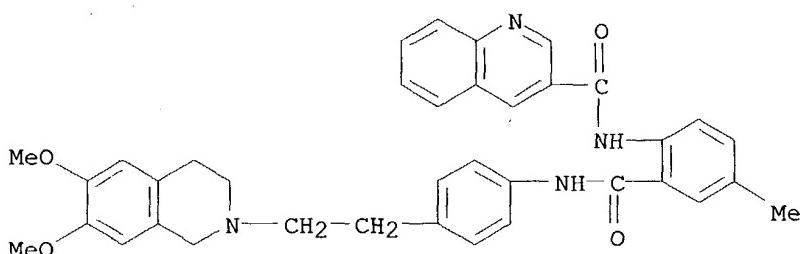
RN 206873-65-6 HCPLUS

CN 3-Quinolinecarboxamide, N-[2-[[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethyl]phenyl]amino]carbonyl]-5-nitrophenyl]- (9CI) (CA INDEX NAME)



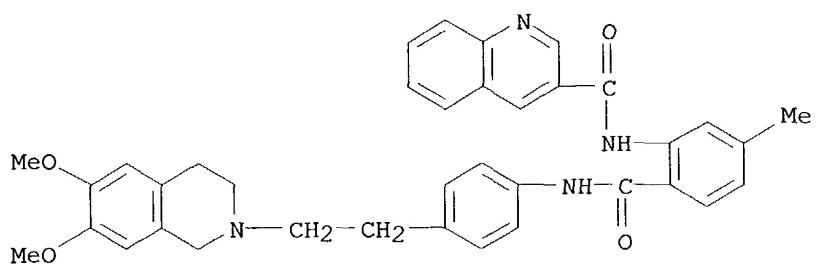
RN 206873-66-7 HCPLUS

CN 3-Quinolinecarboxamide, N-[2-[[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethyl]phenyl]amino]carbonyl]-4-methylphenyl]- (9CI) (CA INDEX NAME)



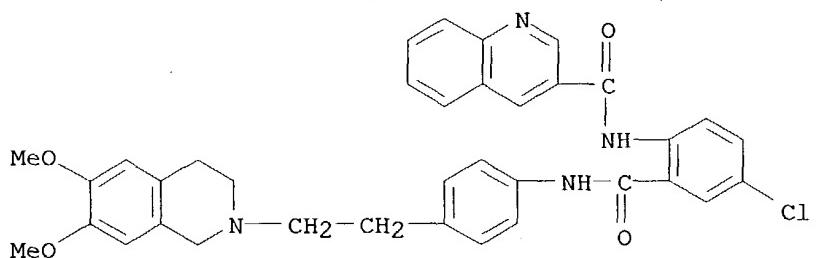
RN 206873-67-8 HCPLUS

CN 3-Quinolinecarboxamide, N-[2-[[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethyl]phenyl]amino]carbonyl]-5-methylphenyl]- (9CI) (CA INDEX NAME)



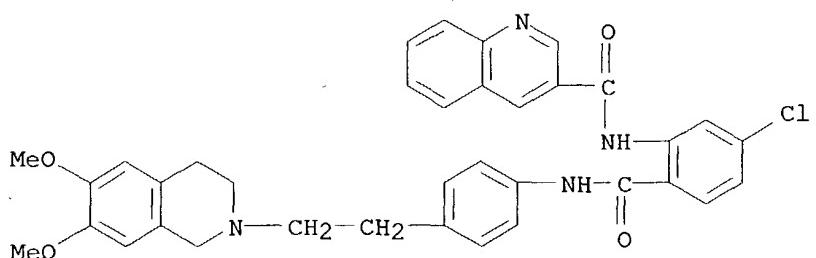
RN 206873-68-9 HCPLUS

CN 3-Quinolinecarboxamide, N-[4-chloro-2-[[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethyl]phenyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



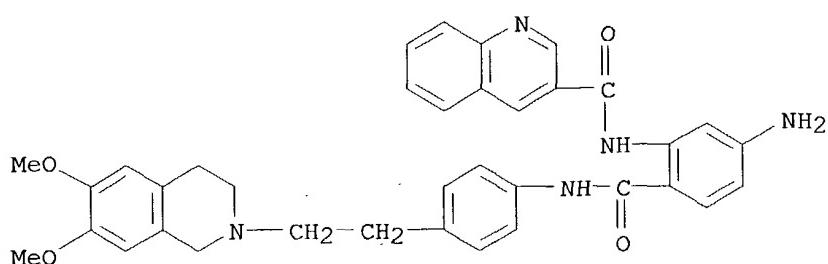
RN 206873-69-0 HCPLUS

CN 3-Quinolinecarboxamide, N-[5-chloro-2-[[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethyl]phenyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



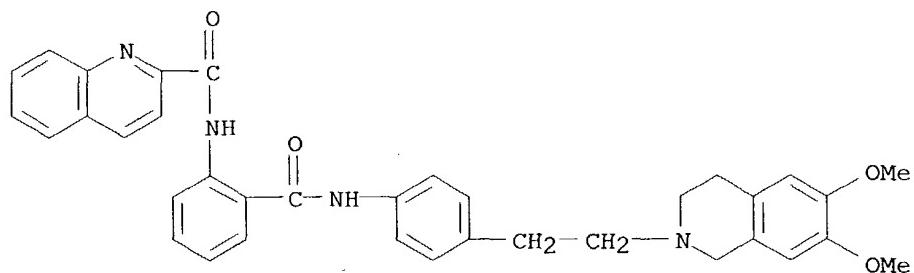
RN 206873-70-3 HCPLUS

CN 3-Quinolinecarboxamide, N-[5-amino-2-[[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethyl]phenyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



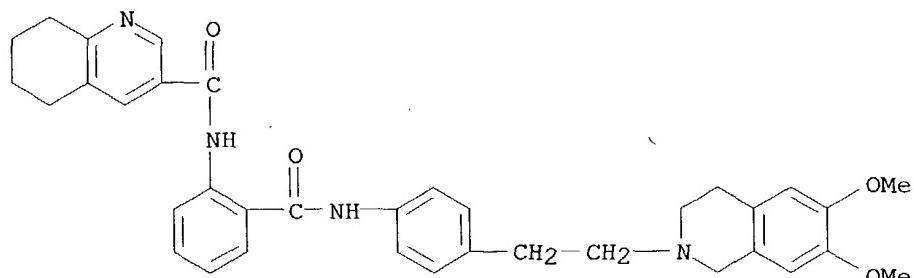
RN 206873-71-4 HCPLUS

CN 2-Quinolinecarboxamide, N-[2-[[4-[2-[(3,4-dimethoxy-6,7-dihydro-2(1H)-isoquinolinyl)ethyl]phenyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



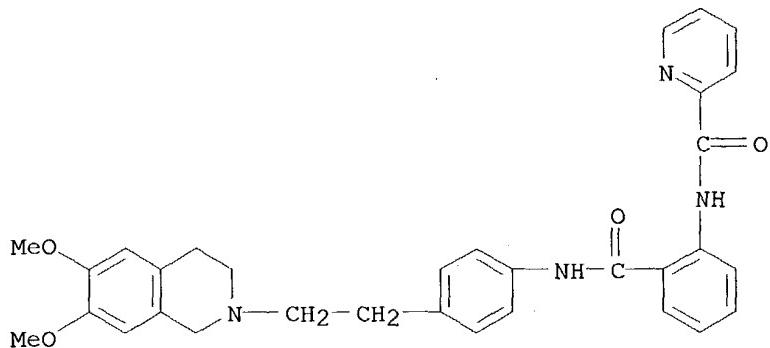
RN 206873-72-5 HCPLUS

CN 3-Quinolinecarboxamide, N-[2-[[4-[2-[(3,4-dimethoxy-6,7-dihydro-2(1H)-isoquinolinyl)ethyl]phenyl]amino]carbonyl]phenyl]-5,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)



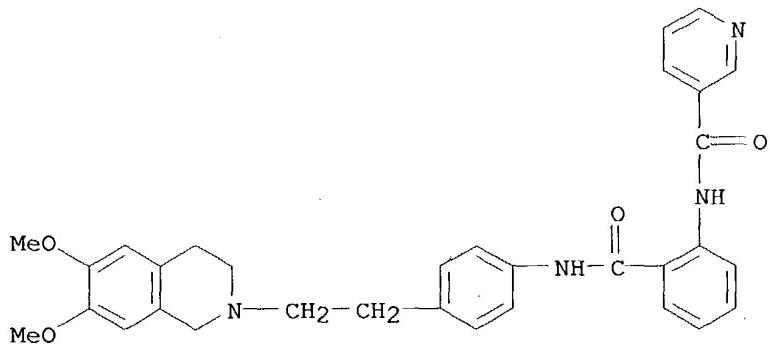
RN 206873-73-6 HCPLUS

CN 2-Pyridinecarboxamide, N-[2-[[4-[2-[(3,4-dimethoxy-6,7-dihydro-2(1H)-isoquinolinyl)ethyl]phenyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



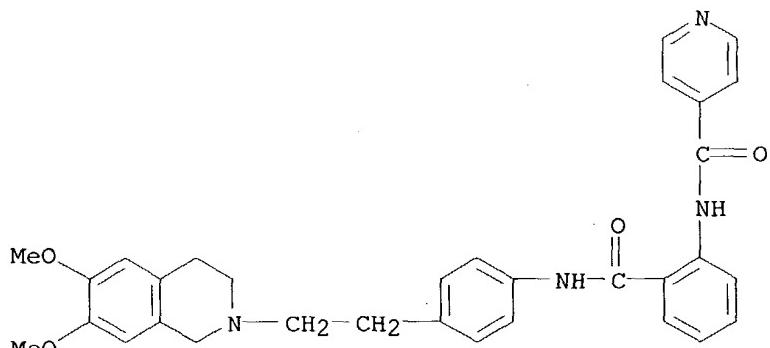
RN 206873-74-7 HCPLUS

CN 3-Pyridinecarboxamide, N-[2-[[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinoliny)ethyl]phenyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



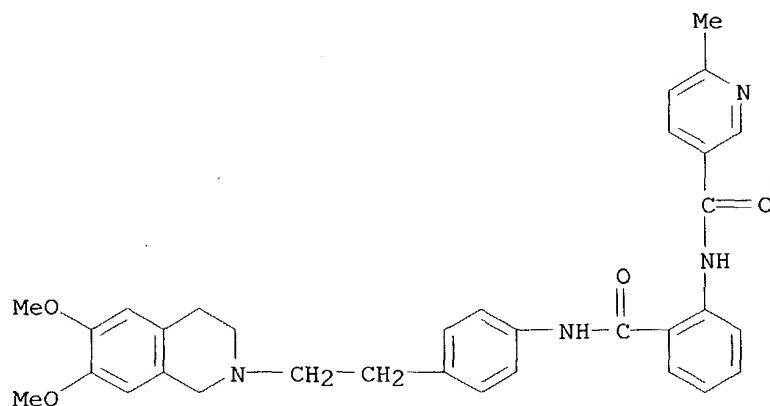
RN 206873-75-8 HCPLUS

CN 4-Pyridinecarboxamide, N-[2-[[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinoliny)ethyl]phenyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



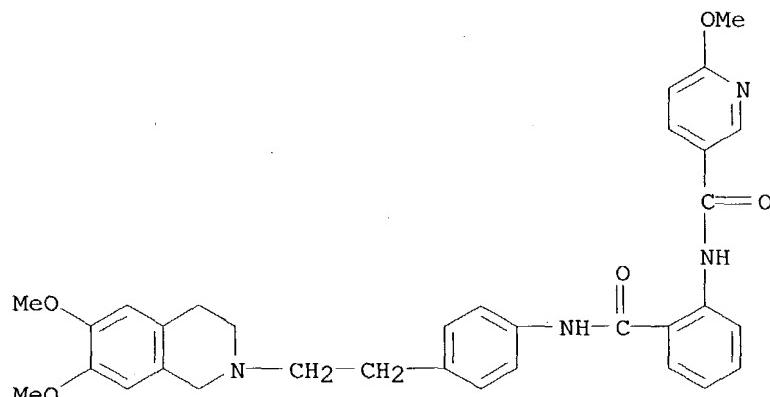
RN 206873-78-1 HCPLUS

CN 3-Pyridinecarboxamide, N-[2-[[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinoliny)ethyl]phenyl]amino]carbonyl]phenyl]-6-methyl- (9CI) (CA INDEX NAME)



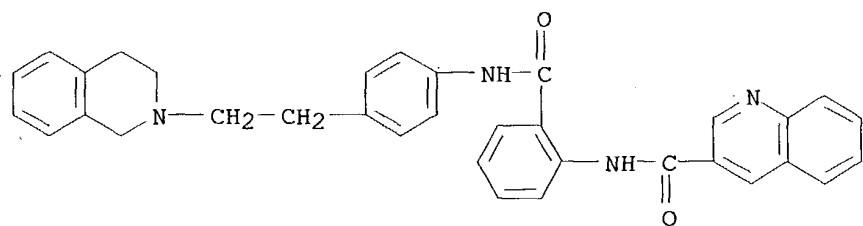
RN 206873-79-2 HCAPLUS

CN 3-Pyridinecarboxamide, N-[2-[[[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethyl]phenyl]amino]carbonyl]phenyl]-6-methoxy- (9CI) (CA INDEX NAME)



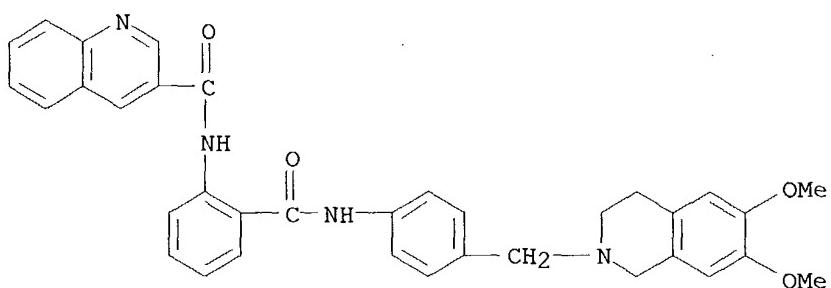
RN 206874-31-9 HCAPLUS

CN 3-Quinolinecarboxamide, N-[2-[[[4-[2-(3,4-dihydro-2(1H)-isoquinolinyl)ethyl]phenyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



RN 206874-33-1 HCAPLUS

CN 3-Quinolinecarboxamide, N-[2-[[[4-[(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)methyl]phenyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



IT 206874-69-3P 206874-70-6P 206874-71-7P

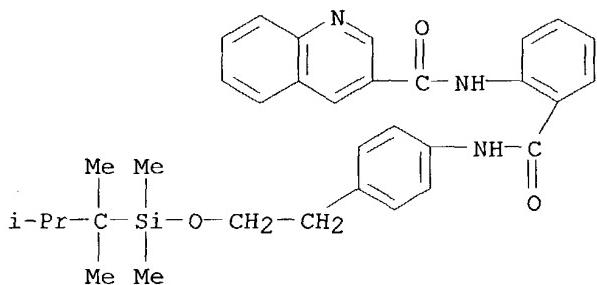
206874-85-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of anthranilic acid derivs. as multi-drug resistance modulators)

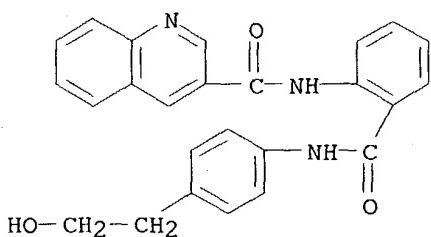
RN 206874-69-3 HCAPLUS

CN 3-Quinoliniccarboxamide, N-[2-[[4-[2-[dimethyl(1,1,2-trimethylpropyl)silyloxy]ethyl]phenyl]amino]carbonylphenyl]- (9CI) (CA INDEX NAME)



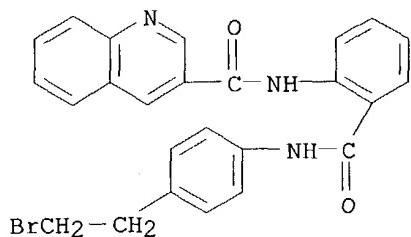
RN 206874-70-6 HCAPLUS

CN 3-Quinoliniccarboxamide, N-[2-[[4-(2-hydroxyethyl)phenyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



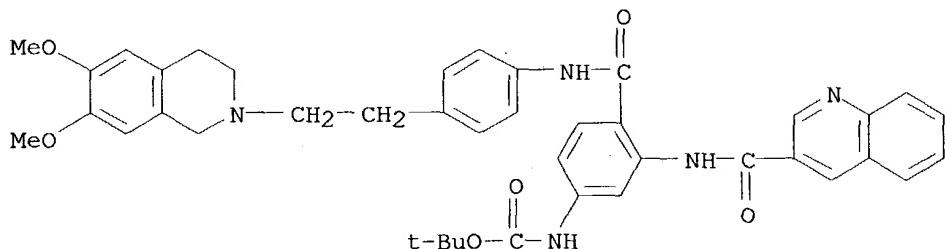
RN 206874-71-7 HCAPLUS

CN 3-Quinoliniccarboxamide, N-[2-[[4-(2-bromoethyl)phenyl]amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



RN 206874-85-3 HCAPLUS

CN Carbamic acid, [4-[[[4-[2-(3,4-dihydro-6,7-dimethoxy-2(1H)-isoquinolinyl)ethyl]phenyl]amino]carbonyl]-3-[(3-quinolinylcarbonyl)amino]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 13 OF 33 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 1998:13933 HCAPLUS

DN 128:75193

TI Preparation of aminophthalic acid derivatives as pesticides.

IN Elbe, Hans-Ludwig; Dutzmann, Stefan; Stenzel, Klaus

PA Bayer Aktiengesellschaft, Germany

SO PCT Int. Appl., 110 pp.

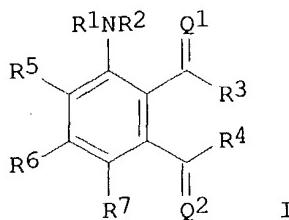
CODEN: PIXXD2

DT Patent

LA German

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|---|------|----------|------------------|--------------|
| PI | WO 9747589 | A1 | 19971218 | WO 1997-EP2845 | 19970602 <-- |
| | W: AU, BB, BG, BR, BY, CA, CN, CZ, HU, IL, JP, KR, KZ, LK, MX, NO,
NZ, PL, RO, RU, SK, TR, UA, US
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT,
SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| | DE 19623744 | A1 | 19971218 | DE 1996-19623744 | 19960614 <-- |
| | AU 9730936 | A1 | 19980107 | AU 1997-30936 | 19970602 <-- |
| PRAI | DE 1996-19623744 | | 19960614 | | |
| | WO 1997-EP2845 | | 19970602 | | |
| OS | MARPAT 128:75193 | | | | |
| GI | | | | | |



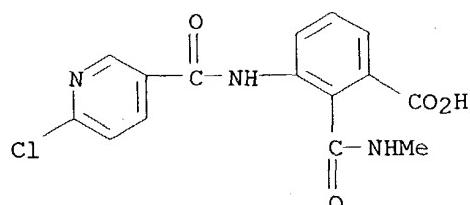
AB Use of title compds. [I; Q1, Q2 = O, S; R1 = H, R11CO; R2 = R8R9NCO, R10OCO, R11CO, R12SO2; R8 = H, alkyl, cycloalkyl, (substituted) aryl, heteroaryl; R9 = H, alkyl; R8R9N = (substituted) heterocyclyl; R10 = (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, heterocyclyl; R11 = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, aryl, aralkyl, heterocyclyl; R12 = alkyl, aryl, heterocyclyl; R1R2 = CR13R14; R1R2N = (substituted) heterocyclyl; R13 = H, alkyl, alkenyl, cycloalkyl, (substituted) aryl, heterocyclyl; R14 = H, alkyl, alkenyl, cycloalkyl, cycloalkenyl, aryl, heterocyclyl, alkoxy, dialkylamino; R13R14 = cycloalkylidene; R3, R4 = OH, alkoxy, alkenyloxy, alkynyoxy, aralkoxy, cycloalkoxy, cycloalkenyloxy, aryloxy, heterocyclyloxy, aralkylthio, SH, arylthio, amino, etc.; R5-R7 = H, halo, cyano, NO₂, alkyl, alkoxy, alkylthio, haloalkyl, haloalkoxy, haloalkylthio] for combating pests is claimed. Thus, 3-nitrophthalic anhydride was heated with BuOH to give 88.1% 3-nitrophthalic acid 2-Bu ester. The latter was refluxed with DMF di-Me acetal in PhMe to give 92% 3-nitrophthalic acid 1-Me ester 2-Bu ester. This in H₂O/THF was treated with Zn and HCl to give 82.4% 3-aminophthalic acid 1-Me ester 2-Bu ester. I at 100 ppm gave 82-98% control of Botrytis cinerea on beans.

IT 200709-28-0P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of aminophthalic acid derivs. as pesticides)

RN 200709-28-0 HCPLUS

CN Benzoic acid, 3-[(6-chloro-3-pyridinyl)carbonyl]amino]-2-[(methylamino)carbonyl]- (9CI) (CA INDEX NAME)



L10 ANSWER 14 OF 33 HCPLUS COPYRIGHT 2004 ACS on STN

AN 1997:667205 HCPLUS

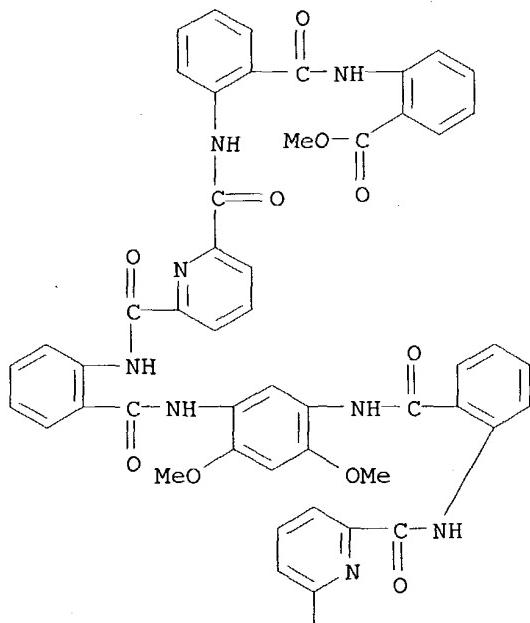
DN 127:262339

TI Novel Folding Patterns in a Family of Oligoanthranilamides: Non-Peptide Oligomers That Form Extended Helical Secondary Structures

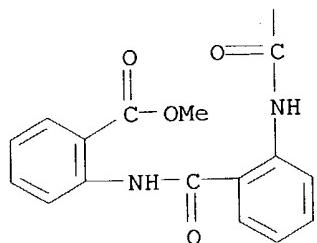
AU Hamuro, Yoshitomo; Geib, Steven J.; Hamilton, Andrew D.

CS Department of Chemistry, University of Pittsburgh, Pittsburgh, PA, 15260,
 USA
 SO Journal of the American Chemical Society (1997), 119(44),
 10587-10593
 CODEN: JACSAT; ISSN: 0002-7863
 PB American Chemical Society
 DT Journal
 LA English
 AB Anthranilamide derivs. are used as the basis for a series of novel oligomers that fold into helical secondary structures in the solid state. When combined with pyridine-2,6-dicarboxylic acid and 4,6-dimethoxy-1,3-diaminobenzene subunits, oligoanthranilamides can be induced to take up a coiled conformation corresponding to two turns of a helix. X-ray crystallog. show that intramol. hydrogen bonding and π - π stacking interactions are important in stabilizing the extended helical structures. Furthermore, both exptl. and calculated ^1H NMR methods indicate that related conformations are taken up by the oligomers in chloroform solution
 IT 196312-02-4P 196312-04-6P
 RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
 (crystallog.; helical conformation of oligoanthranilamides)
 RN 196312-02-4 HCPLUS
 CN Benzoic acid, 2,2'-(4,6-dimethoxy-1,3-phenylene)bis(iminocarbonyl-2,1-phenyleneiminocarbonyl-6,2-pyridinediylcarbonylimino-2,1-phenylenecarbonylimino)bis-, dimethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

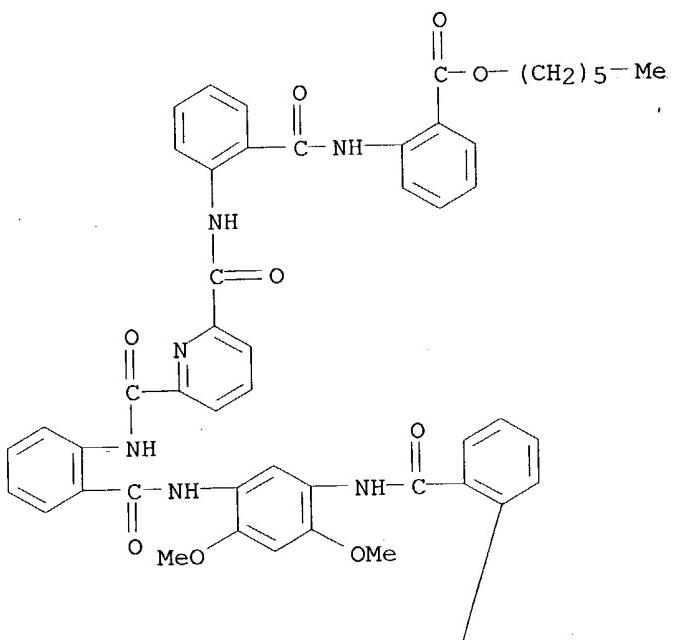


PAGE 2-A

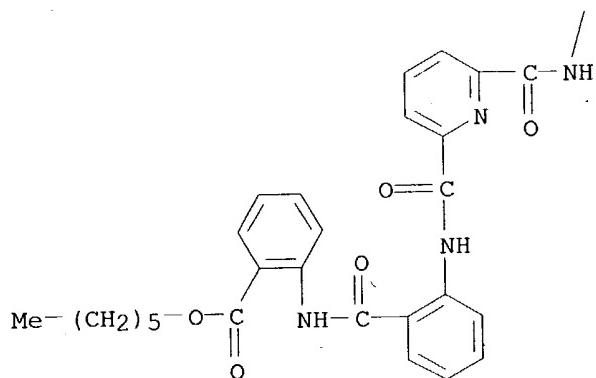


RN 196312-04-6 HCAPLUS
 CN Benzoic acid, 2,2'-[(4,6-dimethoxy-1,3-phenylene)bis(iminocarbonyl-2,1-phenyleneiminocarbonyl-6,2-pyridinediylcarbonylimino-2,1-phenlenecarbonylimino)]bis-, dihexyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



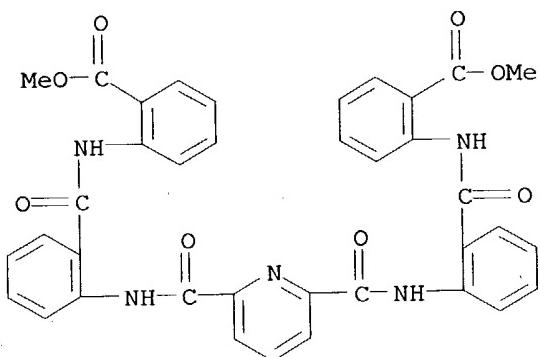
IT 155138-99-1

RL: PRP (Properties)

(helical conformation of oligoanthranilamides)

BN 155138-99-1 HCAPLUS

RN 135136-99-1 RCN 205
CN Benzoic acid, 2,2'-[2,6-pyridinediylbis(carbonylimino-2,1-phenylene carbonylimino)]bis-, dimethyl ester (9CI) (CA INDEX NAME)



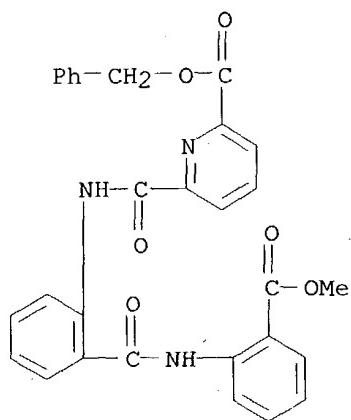
IT 196311-73-6P 196311-77-0P 196311-92-9P

196311-95-2P

198511 35 21 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

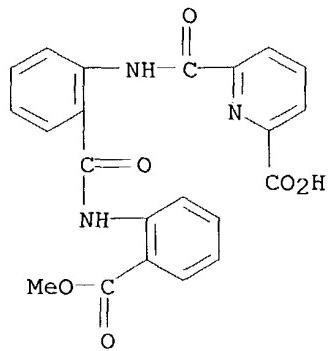
(intermediate; pre-

RN 196311-73-6 HCPLUS
CN 2-Pyridinecarboxylic acid, 6-[[2-[[[2-(methoxycarbonyl)phenyl]amino]carbo-
nyle]phenyl]amino]carbonyl-, phenylmethyl ester (9CI) (CA INDEX NAME)



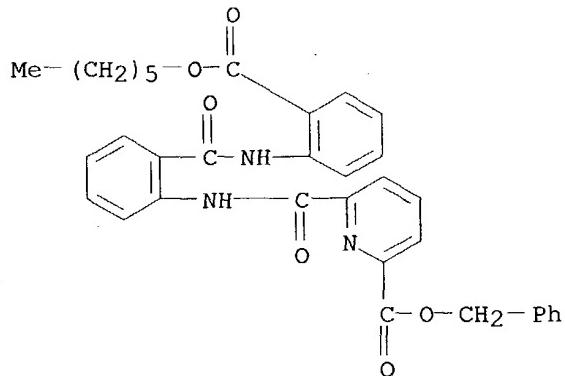
RN 196311-77-0 HCPLUS

CN 2-Pyridinecarboxylic acid, 6-[[[2-[[2-(methoxycarbonyl)phenyl]amino]carbonyl]phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

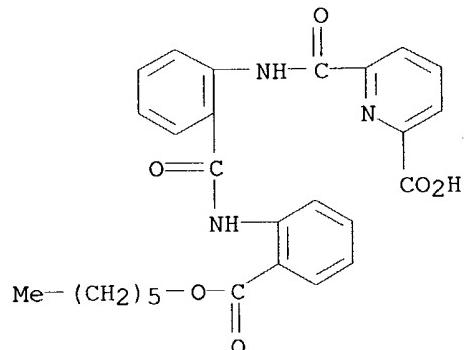


RN 196311-92-9 HCPLUS

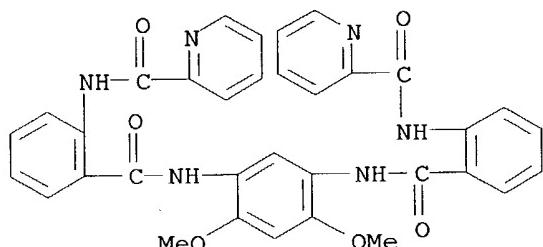
CN 2-Pyridinecarboxylic acid, 6-[[[2-[[2-[(hexyloxy)carbonyl]phenyl]amino]carbonyl]phenyl]amino]carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 196311-95-2 HCPLUS
 CN 2-Pyridinecarboxylic acid, 6-[[2-[[2-[(hexyloxy)carbonyl]phenyl]amino]carbonyl]phenyl]amino]carbonyl- (9CI) (CA INDEX NAME)



IT 196312-07-9P
 RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
 (model; helical conformation of oligoanthranilamides)
 RN 196312-07-9 HCPLUS
 CN 2-Pyridinecarboxamide, N,N'-(4,6-dimethoxy-1,3-phenylene)bis(iminocarbonyl-2,1-phenylene)bis- (9CI) (CA INDEX NAME)

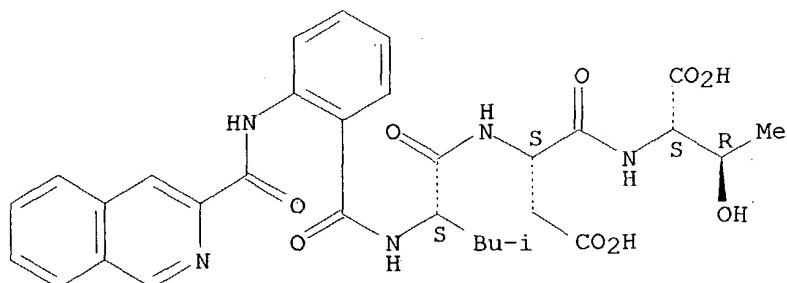


L10 ANSWER 15 OF 33 HCPLUS COPYRIGHT 2004 ACS on STN
 AN 1997:543532 HCPLUS
 DN 127:134690
 TI Inhibitors of MadCAM-1-mediated interactions and methods of use therefor
 IN Schwender, Charles F.; Shroff, Hitesh N.
 PA Leukosite, Inc., USA
 SO PCT Int. Appl., 107 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

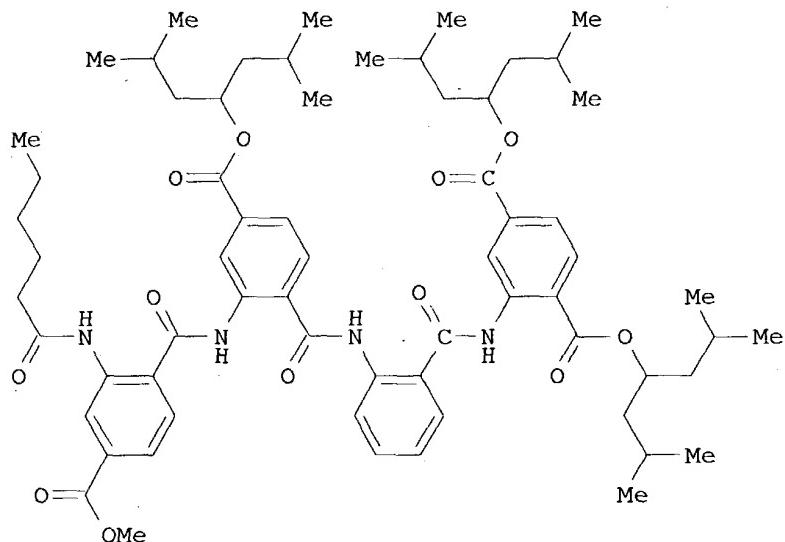
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|--------------|
| PI WO 9725351 | A2 | 19970717 | WO 1997-US291 | 19970103 <-- |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, | | | | |

RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN,
 AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,
 IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML,
 MR, NE, SN, TD, TG
 US 6037324 A 20000314 US 1996-582740 19960104
 CA 2241169 AA 19970717 CA 1997-2241169 19970103 <--
 AU 9722415 A1 19970801 AU 1997-22415 19970103 <--
 AU 721615 B2 20000713
 EP 871670 A2 19981021 EP 1997-905564 19970103 <--
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, FI
 JP 2000503203 T2 20000321 JP 1997-525381 19970103
 US 6274556 B1 20010814 US 1998-109879 19980702
 US 2002103111 A1 20020801 US 2001-859214 20010516
 PRAI US 1996-582740 A2 19960104
 WO 1997-US291 W 19970103
 US 1998-109879 A1 19980702
 OS MARPAT 127:134690
 AB The present invention provides novel compds. comprising peptide sequences which mimic the conserved amino acid motif LDTSL of MAdCAM-1 and which have groups bonded to the N- and C-termini. Also provided are methods of inhibiting the interaction of a cell bearing a ligand of MAdCAM-1, such as human $\alpha 4\beta 7$, with MAdCAM-1 or a portion thereof (e.g., the extracellular domain), comprising contacting the cell with a compound of the present invention. The MAdCAM-1 inhibitors are useful for treating disease associated with leukocyte infiltration of tissue, such as inflammatory bowel disease, with fewer side effects in other tissues where adhesion is mediated by $\alpha 4\beta 1$ integrin, for example. The inhibitors can also be used for induction of antibodies selectively bind epitopes of MAdCAM-1 and useful for quantitating MAdCAM-1 on cell surface.
 IT 193218-88-1
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (peptide inhibitors of MAdCAM-1-mediated interactions for treating disease associated with leukocyte infiltration)
 RN 193218-88-1 HCAPLUS
 CN L-Threonine, N-[2-[(3-isoquinolinylcarbonyl)amino]benzoyl]-L-leucyl-L- α -aspartyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



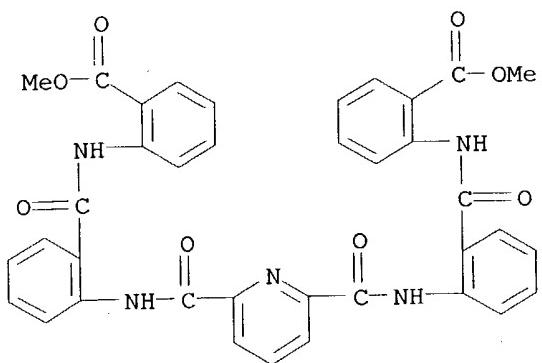
DN 125:167496
 TI Oligoanthranilamides. Non-Peptide Subunits That Show Formation of Specific Secondary Structure
 AU Hamuro, Yoshitomo; Geib, Steven J.; Hamilton, Andrew D.
 CS Department of Chemistry, University of Pittsburgh, Pittsburgh, PA, 15260, USA
 SO Journal of the American Chemical Society (1996), 118(32), 7529-7541
 CODEN: JACSAT; ISSN: 0002-7863
 PB American Chemical Society
 DT Journal
 LA English
 GI



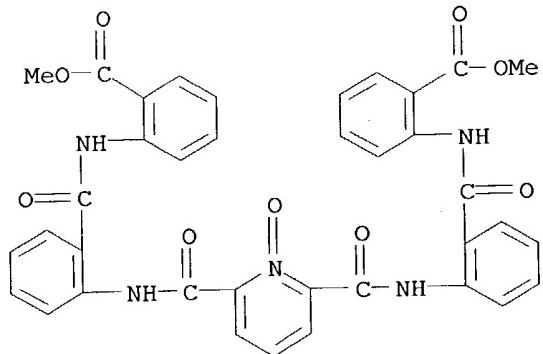
AB A family of novel oligomers based on the anthranilamide nucleus has been prepared and shown to form well-defined secondary structural features. ¹H NMR and X-ray crystallog. techniques have demonstrated that intramol. hydrogen bonds play a key role in stabilizing both linear sheet and helical conformational forms. An example compound is the oligomeric anthranilamide I.

IT 155138-99-1P 155139-01-8P 180133-05-5P
 180133-06-6P
 RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
 (preparation and secondary structure determination of oligomeric anthranilamides)

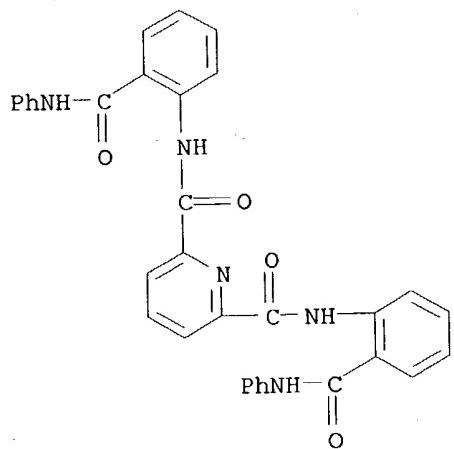
RN 155138-99-1 HCPLUS
 CN Benzoic acid, 2,2'-[2,6-pyridinediylbis(carbonylimino-2,1-phenylene carbonylimino)]bis-, dimethyl ester (9CI) (CA INDEX NAME)



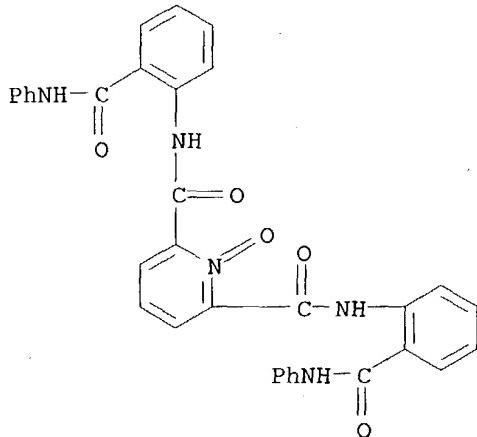
RN 155139-01-8 HCAPLUS
 CN Benzoic acid, 2,2'-(1-oxido-2,6-pyridinediyl)bis(carbonylimino-2,1-phenlenecarbonylimino)bis-, dimethyl ester (9CI) (CA INDEX NAME)



RN 180133-05-5 HCAPLUS
 CN 2,6-Pyridinedicarboxamide, N,N'-bis[2-(phenylamino)carbonyl]phenyl- (9CI) (CA INDEX NAME)



RN 180133-06-6 HCAPLUS
 CN 2,6-Pyridinedicarboxamide, N,N'-bis[2-[(phenylamino) carbonyl]phenyl]-,
 1-oxide (9CI) (CA INDEX NAME)



L10 ANSWER 17 OF 33 HCAPLUS COPYRIGHT 2004 ACS on STN
 AN 1995:995215 HCAPLUS
 DN 124:117098
 TI Preparation of pyridylanilide derivatives as fungicides
 IN Riordan, Peter Dominic; Boddy, Ian Kenneth; Osbourn, Susan Elisabeth
 PA Agrevo UK Ltd., UK
 SO PCT Int. Appl., 35 pp.

CODEN: PIXXD2

DT Patent

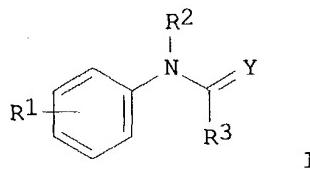
LA English

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|--|------|----------|-----------------|--------------|
| PI | WO 9525723 | A1 | 19950928 | WO 1995-GB570 | 19950316 <-- |
| | W: AU, BG, BR, CA, CN, CZ, FI, HU, JP, KR, KZ, MX, NO, NZ, PL, RO, RU, SD, SK, UA, US | | | | |
| | RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| | AU 9518981 | A1 | 19951009 | AU 1995-18981 | 19950316 <-- |
| | AU 688473 | B2 | 19980312 | | |
| | EP 750611 | A1 | 19970102 | EP 1995-911403 | 19950316 <-- |
| | EP 750611 | B1 | 19980708 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE | | | | |
| | CN 1143954 | A | 19970226 | CN 1995-192131 | 19950316 <-- |
| | HU 74778 | A2 | 19970228 | HU 1996-2547 | 19950316 <-- |
| | HU 214292 | B | 19980302 | | |
| | BR 9507105 | A | 19970909 | BR 1995-7105 | 19950316 <-- |
| | JP 09510471 | T2 | 19971021 | JP 1995-524455 | 19950316 <-- |
| | AT 168099 | E | 19980715 | AT 1995-911403 | 19950316 <-- |
| | ZA 9502205 | A | 19951031 | ZA 1995-2205 | 19950317 <-- |
| | US 5756524 | A | 19980526 | US 1996-714149 | 19960918 <-- |
| PRAI | GB 1994-5347 | | 19940318 | | |

WO 1995-GB570
 OS MARPAT 124:117098
 GI

19950316



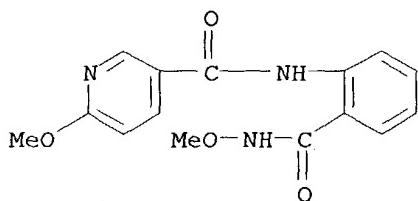
AB Title compds. I [X = O, S; R1, R2 = H, alkyl, cycloalkyl, alkenyl, etc.; R3 = (substituted) pyridyl, pyrimidinyl, pyrazinyl, etc.] were prepared. Condensation of 6-methoxynicotinoyl chloride with Me anthranilate in the presence of Et₃N in THF afforded I (X = O; R1 = R2 = H; R3 = 6-methoxy-3-pyridyl) which showed activity against barley powdery mildew, rice blast and apple scab at ≤ 500 ppm.

IT 173055-91-9P 173056-05-8P 173056-17-2P
 173056-21-8P 173056-46-7P 173056-75-2P
 173056-88-7P 173056-95-6P 173056-96-7P
 173056-97-8P 173057-04-0P 173057-19-7P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of anilide derivs. as fungicides)

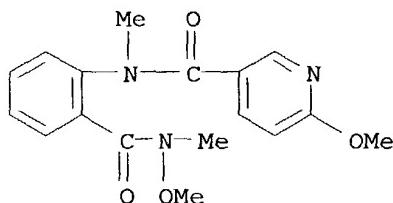
RN 173055-91-9 HCAPLUS

CN 3-Pyridinecarboxamide, 6-methoxy-N-[2-[(methoxyamino)carbonyl]phenyl]- (9CI) (CA INDEX NAME)



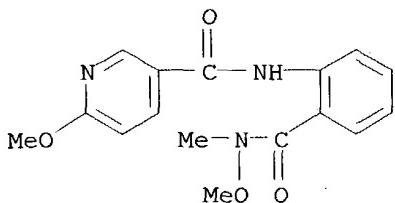
RN 173056-05-8 HCAPLUS

CN 3-Pyridinecarboxamide, 6-methoxy-N-[2-[(methoxymethylamino)carbonyl]phenyl]-N-methyl- (9CI) (CA INDEX NAME)



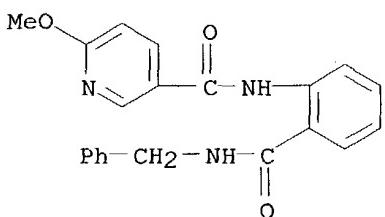
RN 173056-17-2 HCPLUS

CN 3-Pyridinecarboxamide, 6-methoxy-N-[2-[(methoxymethylamino)carbonyl]phenyl]- (9CI) (CA INDEX NAME)



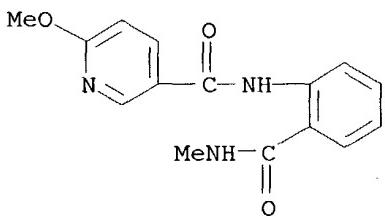
RN 173056-21-8 HCPLUS

CN 3-Pyridinecarboxamide, 6-methoxy-N-[2-[(phenylmethyl)amino]carbonyl]phenyl- (9CI) (CA INDEX NAME)



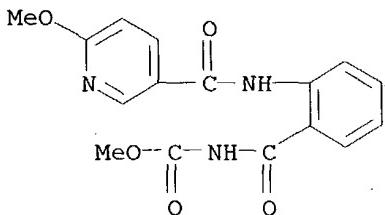
RN 173056-46-7 HCPLUS

CN 3-Pyridinecarboxamide, 6-methoxy-N-[2-[(methylamino)carbonyl]phenyl]- (9CI) (CA INDEX NAME)

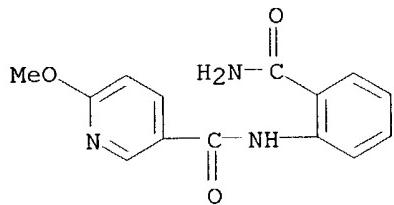


RN 173056-75-2 HCPLUS

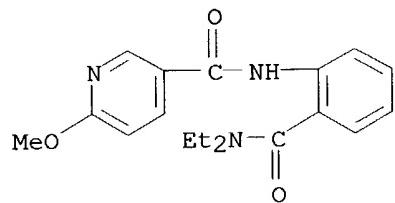
CN Carbamic acid, [2-[(6-methoxy-3-pyridinyl)carbonyl]amino]benzoyl-, methyl ester (9CI) (CA INDEX NAME)



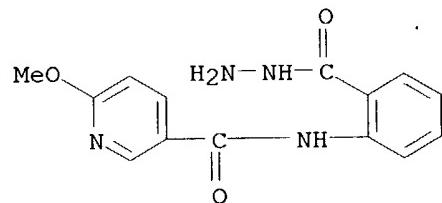
RN 173056-88-7 HCAPLUS
 CN 3-Pyridinecarboxamide, N-[2-(aminocarbonyl)phenyl]-6-methoxy- (9CI) (CA INDEX NAME)



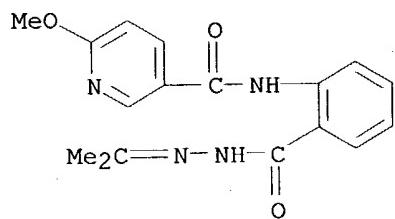
RN 173056-95-6 HCAPLUS
 CN 3-Pyridinecarboxamide, N-[2-[(diethylamino)carbonyl]phenyl]-6-methoxy- (9CI) (CA INDEX NAME)



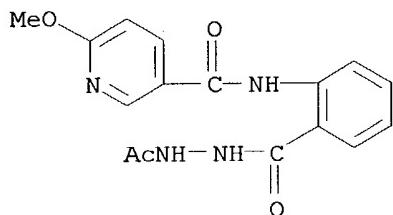
RN 173056-96-7 HCAPLUS
 CN Benzoic acid, 2-[[6-methoxy-3-pyridinyl]carbonyl]amino-, hydrazide (9CI) (CA INDEX NAME)



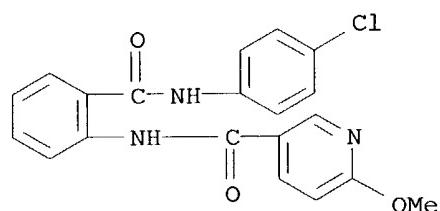
RN 173056-97-8 HCAPLUS
 CN Benzoic acid, 2-[[6-methoxy-3-pyridinyl]carbonyl]amino-, (1-methylethylidene)hydrazide (9CI) (CA INDEX NAME)



RN 173057-04-0 HCPLUS
 CN Benzoic acid, 2-[[[(6-methoxy-3-pyridinyl)carbonyl]amino]-,
 2-acetylhydrazide (9CI) (CA INDEX NAME)



RN 173057-19-7 HCPLUS
 CN 3-Pyridinecarboxamide, N-[2-[(4-chlorophenyl)amino]carbonyl]phenyl]-6-methoxy- (9CI) (CA INDEX NAME)



| ANSWER 18 OF 33 HCPLUS COPYRIGHT 2004 ACS on STN | | | | |
|--|---|--------|----------|------------------------------|
| AN | 1995:858623 | HCPLUS | | |
| DN | 123:256357 | | | |
| TI | Preparation of anthranilic acid amide derivative as cyclic guanosine monophosphate-phosphodiesterase inhibitors | | | |
| IN | Ozaki, Fumihiro; Ishibashi, Keiji; Ikuta, Hironori; Ishihara, Hiroki; Souda, Shigeru | | | |
| PA | Japan | | | |
| SO | PCT Int. Appl., 204 pp.
CODEN: PIXXD2 | | | |
| DT | Patent | | | |
| LA | Japanese | | | |
| FAN.CNT 1 | | | | |
| | PATENT NO. | KIND | DATE | APPLICATION NO. |
| PI | WO 9518097 | A1 | 19950706 | WO 1994-JP2262 19941227 <-- |
| | W: AU, CA, CN, FI, HU, KR, NO, NZ, RU, US
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | |
| | CA 2155662 | AA | 19950706 | CA 1994-2155662 19941227 <-- |
| | AU 9512824 | A1 | 19950717 | AU 1995-12824 19941227 <-- |
| | AU 694465 | B2 | 19980723 | |
| | EP 686625 | A1 | 19951213 | EP 1995-903999 19941227 <-- |
| | EP 686625 | B1 | 19990526 | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE | | | |
| | CN 1118595 | A | 19960313 | CN 1994-191311 19941227 <-- |
| | JP 08188563 | A2 | 19960723 | JP 1994-336920 19941227 <-- |
| | HU 74450 | A2 | 19961230 | HU 1995-2512 19941227 <-- |
| | RU 2128644 | C1 | 19990410 | RU 1995-120194 19941227 <-- |

| | | | | |
|----------------------|---|-----------|----------------|--------------|
| AT 180468 | E | 19990615 | AT 1995-903999 | 19941227 <-- |
| FI 9503968 | A | 19951019 | FI 1995-3968 | 19950823 <-- |
| NO 9503305 | A | 19951025 | NO 1995-3305 | 19950823 <-- |
| US 5716993 | A | 19980210 | US 1995-507476 | 19950914 <-- |
| PRAI JP 1993-347092 | A | 19931227. | | |
| JP 1994-299110 | A | 19941109 | | |
| WO 1994-JP2262 | W | 19941227 | | |
| OS MARPAT 123:256357 | | | | |
| GI | | | | |

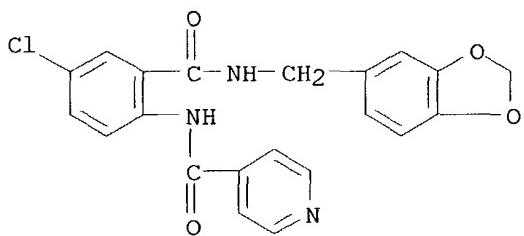
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Anthranilamide derivs. [I; R1, R2, R3, R4 = H, halo, OH, (halo)alkyl, (halo)alkoxy, nitro, hydroxyalkyl, cyano, (CH₂)_pNR₉R₁₀, S(O)_qR₁₃, (un)protected CO₂H, (un)substituted tetrazolyl, CONH₂, pyrazolyl, or imidazolyl; or adjacent two substituents selected from R1 - R4 together with the C atoms bonded to them forms a ring; wherein R9, R10 = H, (halo)alkyl, arylalkyl, heteroarylalkyl, acyl, (un)protected CO₂H; or NR₉R₁₀ forms a ring; p = 0, 1-6; R₁₃ = H, (halo)alkyl; q = 0, 1-2; R₅, R₆ = H, halo, OH, cyano, (halo)alkyl, (halo)alkoxy; or R₅ and R₆ together with the C atoms bonded to them form cycloalkane, oxolane, 1,3-dioxolane, or 1,4-dioxane ring; W = N, CH; R₇, R₈ = H, (halo)alkyl; or R₁ and R₇ together with the C atoms bonded to them form a ring optionally containing other N, O, or S atom; A = H, (halo)alkyl, X(CH₂)_mZ; wherein X = CO, CS, CH₂, SO₂; Z = OH, (halo)alkoxy, cyano, halo, etc.; Y = O, S; n = 0, 1-6] or pharmacol. acceptable salts thereof are prepared. These compds. are useful for the treatment of ischemic heart disease, angina pectoris, hypertension, pulmonary hypertension, heart failure, and asthma. Thus, 2-nitro-5-chlorobenzoic acid was refluxed with SOCl₂ in benzene for 4 h and concentrated to give 2-nitro-5-chlorobenzoyl chloride which was amidated with piperonylamine in the presence of Et₃N in THF to give a benzamide (II; R = NO₂). This compound was reduced by Fe powder in a mixture of AcOH, H₂O, and MeOH under gentle refluxing to give, after concentration and treatment with concentrated HCl in EtOH, N-piperonylanthranilamide derivative II. HCl (R = NH₂). An anthranilamide derivative (III) showed IC₅₀ of 0.4 nM against cyclic guanosine monophosphate-phosphodiesterase preparation from pig aorta.

IT 169043-36-1P 169043-37-2P 169044-06-8P
 169044-07-9P 169044-08-0P 169044-09-1P
 169044-10-4P 169044-11-5P 169044-56-8P

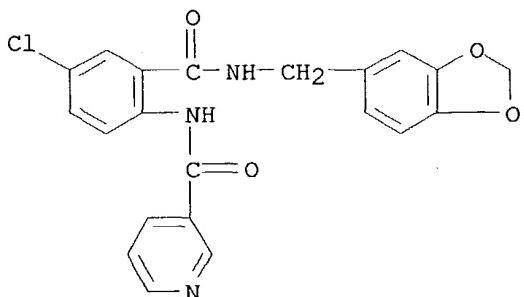
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of anthranilamide derivs. as cyclic guanosine monophosphate-phosphodiesterase inhibitors)

RN 169043-36-1 HCPLUS
 CN 4-Pyridinecarboxamide, N-[2-[(1,3-benzodioxol-5-ylmethyl)amino]carbonyl]-4-chlorophenyl]- (9CI) (CA INDEX NAME)



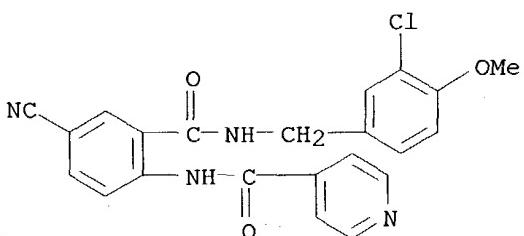
RN 169043-37-2 HCAPLUS

CN 3-Pyridinecarboxamide, N-[2-[(1,3-benzodioxol-5-ylmethyl)amino]carbonyl]-4-chlorophenyl]-(9CI) (CA INDEX NAME)



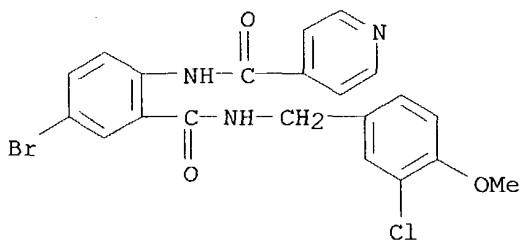
RN 169044-06-8 HCAPLUS

CN 4-Pyridinecarboxamide, N-[2-[(3-chloro-4-methoxyphenyl)methyl]amino]carbonyl]-4-cyanophenyl]-(9CI) (CA INDEX NAME)

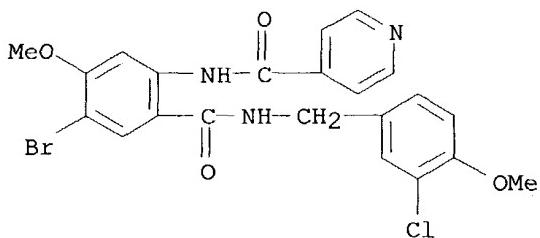


RN 169044-07-9 HCAPLUS

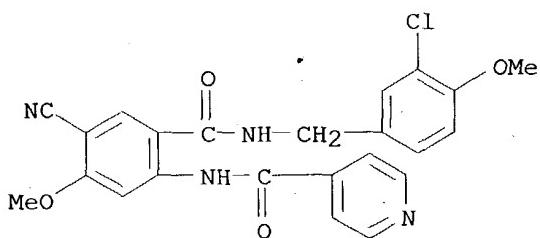
CN 4-Pyridinecarboxamide, N-[4-bromo-2-[(3-chloro-4-methoxyphenyl)methyl]amino]carbonyl]phenyl]-(9CI) (CA INDEX NAME)



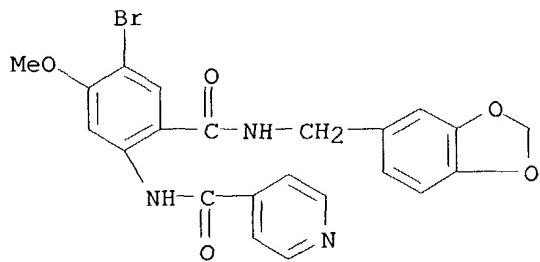
RN 169044-08-0 HCPLUS
 CN 4-Pyridinecarboxamide, N-[4-bromo-2-[[[(3-chloro-4-methoxyphenyl)methyl]amino]carbonyl]-5-methoxyphenyl]- (9CI) (CA INDEX NAME)



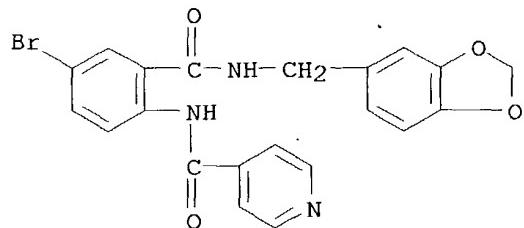
RN 169044-09-1 HCPLUS
 CN 4-Pyridinecarboxamide, N-[2-[[[(3-chloro-4-methoxyphenyl)methyl]amino]carbonyl]-4-cyano-5-methoxyphenyl]- (9CI) (CA INDEX NAME)



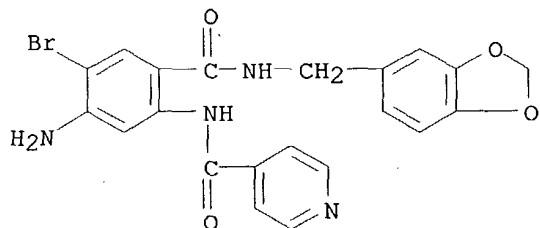
RN 169044-10-4 HCPLUS
 CN 4-Pyridinecarboxamide, N-[2-[[[(1,3-benzodioxol-5-ylmethyl)amino]carbonyl]-4-bromo-5-methoxyphenyl]- (9CI) (CA INDEX NAME)



RN 169044-11-5 HCAPLUS
 CN 4-Pyridinecarboxamide, N-[2-[(1,3-benzodioxol-5-ylmethyl)amino]carbonyl]-4-bromophenyl- (9CI) (CA INDEX NAME)



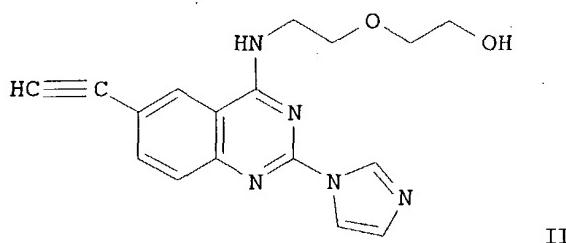
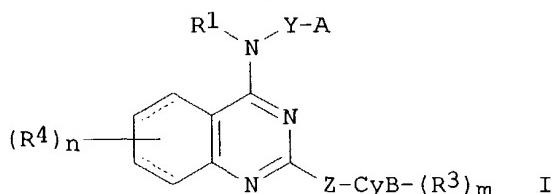
RN 169044-56-8 HCAPLUS
 CN 4-Pyridinecarboxamide, N-[5-amino-2-[(1,3-benzodioxol-5-ylmethyl)amino]carbonyl]-4-bromophenyl- (9CI) (CA INDEX NAME)



L10 ANSWER 19 OF 33 HCAPLUS COPYRIGHT 2004 ACS on STN
 AN 1995:795361 HCAPLUS
 DN 124:29779
 TI 4-Aminoquinazoline derivatives as inhibitors of cGMP phosphodiesterase and TXA2 synthetase
 IN Lee, Sung J.; Konishi, Yoshitaka; Macina, Orest T.; Kondo, Kigen; Yu, Dingwei T.
 PA Ono Pharmaceutical Co., Ltd., Japan
 SO U.S., 42 pp. Cont.-in-part of U.S. Ser. No. 76,431, abandoned.
 CODEN: USXXAM
 DT Patent
 LA English
 FAN.CNT 3

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|-------|-------|-----------------|-------|
| ----- | ----- | ----- | ----- | ----- |

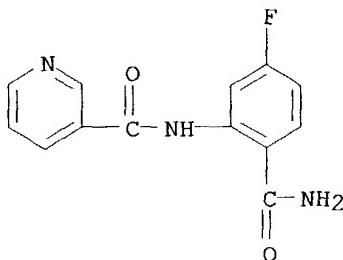
| | | | | | |
|------|------------------|----|----------|-----------------|--------------|
| PI | US 5439895 | A | 19950808 | US 1993-154691 | 19931119 <-- |
| | JP 06192235 | A2 | 19940712 | JP 1993-197039 | 19930714 <-- |
| | CA 2100626 | AA | 19940116 | CA 1993-2100626 | 19930715 <-- |
| | AT 208771 | E | 20011115 | AT 1993-305557 | 19930715 |
| | ES 2167325 | T3 | 20020516 | ES 1993-305557 | 19930715 |
| | PT 579496 | T | 20020531 | PT 1993-305557 | 19930715 |
| | JP 08099962 | A2 | 19960416 | JP 1995-264667 | 19950920 <-- |
| | JP 2923742 | B2 | 19990726 | | |
| PRAI | US 1992-913473 | B2 | 19920715 | | |
| | US 1993-76431 | B2 | 19930614 | | |
| OS | MARPAT 124:29779 | | | | |
| GI | | | | | |



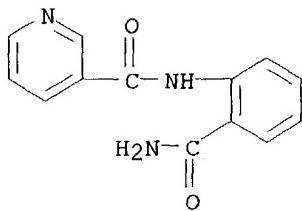
AB The compds. of the formula I and acid addition salts thereof, salts thereof, and hydrates thereof wherein R1 is hydrogen or C1-4 alkyl; Y is C1-6 alkylene; A is OR0 or S(O)pR0, in which R0 is C1-4 alkyl-hydroxy; p is 0-2; Z is single bond, methylene, ethylene, vinylene or ethynylene; CyB is (1) 7-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atoms, one, two or three nitrogen atoms, (2) 6-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atoms, two or three nitrogen atoms, (3) 6-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atom, one nitrogen atom, (4) 4- or 5-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atoms, one, two or three nitrogen atoms, or (5) 4-7 membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atoms, one or two oxygen atoms, or one or two sulfur atoms; R3 = e.g., H, C1-4 alkyl, C1-4 alkoxy; R4 = e.g., H, C1-4 alkyl, C1-4 alkoxy; and m and n independently are 1 or 2; with the proviso that (1) a CyB ring does not bond to Z through a nitrogen atom in the CyB ring when Z is vinylene or

ethynylene, have inhibitory effect on cGMP-PDE, and addnl. on TXA2 synthetase. Thus, e.g., 2-(1-imidazolyl)-4-[2-(2-hydroxyethoxy)ethyl]amino-6-ethynylquinazoline.2HCl (II.2HCl) (prepared by desilylation of a silylacetylene precursor) exhibited inhibitory effect on cGMP-PDE and TXA2 synthetase with IC₅₀ = 4.6 + 10⁻⁸ M and 1.33 + 10⁻⁶ M, resp. Pharmaceutical formulations were given.

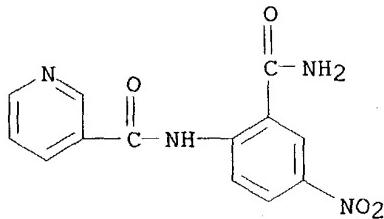
- IT 157864-21-6P 157864-28-3P 157864-30-7P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (4-aminoquinazoline derivs. as inhibitors of cGMP phosphodiesterase and TXA2 synthetase)
- RN 157864-21-6 HCPLUS
 CN 3-Pyridinecarboxamide, N-[2-(aminocarbonyl)-5-fluorophenyl]- (9CI) (CA INDEX NAME)



- RN 157864-28-3 HCPLUS
 CN 3-Pyridinecarboxamide, N-[2-(aminocarbonyl)phenyl]- (9CI) (CA INDEX NAME)



- RN 157864-30-7 HCPLUS
 CN 3-Pyridinecarboxamide, N-[2-(aminocarbonyl)-4-nitrophenyl]- (9CI) (CA INDEX NAME)



L10 ANSWER 20 OF 33 HCAPLUS COPYRIGHT 2004 ACS on STN
 AN 1995:761961 HCAPLUS

DN 123:340173

TI 4-Aminoquinazoline derivatives as inhibitors of cyclic guanosine 3',5'-monophosphate phosphodiesterase and thromboxane A₂ synthetase
 IN Lee, Sung J.; Konishi, Yoshitaka; Macina, Orest T.; Kondo, Kigen; Yu, Dingwei T.

PA Ono Pharmaceutical Co., Ltd., Japan

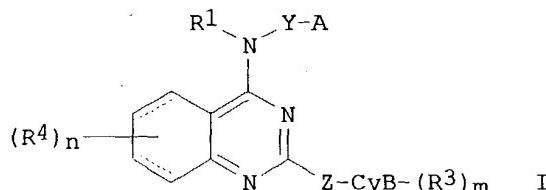
SO U.S., 44 pp. Cont.-in-part of U.S. Ser. No. 76,431, abandoned.
 CODEN: USXXAM

DT Patent

LA English

FAN.CNT 3

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|----------------|------------|----------|-----------------|--------------|
| PI | US 5436233 | A | 19950725 | US 1993-154518 | 19931119 <-- |
| | JP 06192235 | A2 | 19940712 | JP 1993-197039 | 19930714 <-- |
| | CA 2100626 | AA | 19940116 | CA 1993-2100626 | 19930715 <-- |
| | AT 208771 | E | 20011115 | AT 1993-305557 | 19930715 |
| | ES 2167325 | T3 | 20020516 | ES 1993-305557 | 19930715 |
| | PT 579496 | T | 20020531 | PT 1993-305557 | 19930715 |
| | JP 08099962 | A2 | 19960416 | JP 1995-264667 | 19950920 <-- |
| | JP 2923742 | B2 | 19990726 | | |
| PRAI | US 1992-913473 | B2 | 19920715 | | |
| | US 1993-76431 | B2 | 19930614 | | |
| OS | MARPAT | 123:340173 | | | |
| GI | | | | | |



AB Title compds. I [R1 is H, C1-4 alkyl; Y is a single bond or C1-6 alkylene; A is (i) CyA-(R2)l, (ii) OR0 or S(O)pR0 in which R0 is R0A or R0B; R0A is CyA-(R2)l; R0B is H or C1-4 alkyl; p is 0-2; CyA is, e.g., (1) 3-7 membered, saturated or unsatd., monocyclic carbocyclic ring, (2) 7-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atoms, one nitrogen atom, one nitrogen and one oxygen atoms, two nitrogen and one oxygen atoms, or one nitrogen and two oxygen atoms, (3) 6-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atoms, one nitrogen and one oxygen atoms, two nitrogen and one oxygen atoms, or one nitrogen and two oxygen atoms; R2 is R2A or R2B; R2A is, e.g., CF₃, OCF₃; R2B is, e.g., H, C1-4 alkyl, C1-4 alkoxy; Z is ZA or ZB, ZA is methylene, ethylene, vinylene, ethynylene; ZB is a single bond; CyB is, e.g., (1) 7-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atoms, one, two or three nitrogen atoms, (2) 6-membered, unsatd. or partially saturated, monocyclic hetero ring containing as hetero atoms, two or three nitrogen atoms, (3) 6-membered, unsatd. or partially saturated,

monocyclic hetero ring containing as a hetero atom, one nitrogen atom; R3 = e.g., H, C1-4 alkyl; R4 = e.g., NHSO2R11, R11 = e.g., C1-4 alkyl; l, m, n are independently 1 or 2 (with provisos) are provided as inhibitors of cGMP-PDE and TXA2 synthetase. Thus, e.g., treatment of 2-(1-imidazolyl)-4-(2-methoxyethyl)amino-6-(2-triethylsilyl ethynyl)quinazoline (preparation given) with tetrabutylammonium fluoride afforded 6-ethynyl-4-(2-methoxyethyl)amino-2-(1-imidazolyl)quinazoline (II); II.2HCl demonstrated inhibition of cGMP-PDE with TXA2 synthetase with IC50 = 4.6 + 10-8 and 2.4 + 10-6 M, resp. Pharmaceutical formulations were given.

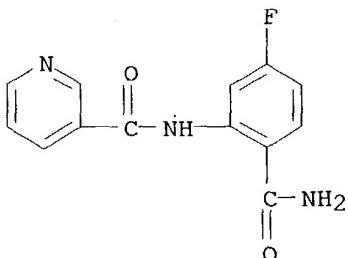
IT 157864-21-6P 157864-28-3P 157864-30-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(4-aminoquinazoline derivs. as inhibitors of cyclic guanosine 3',5'-monophosphate phosphodiesterase and thromboxane A2 synthetase)

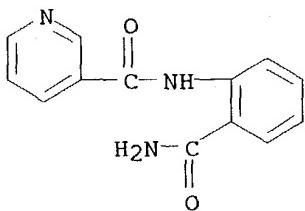
RN 157864-21-6 HCPLUS

CN 3-Pyridinecarboxamide, N-[2-(aminocarbonyl)-5-fluorophenyl]- (9CI) (CA INDEX NAME)



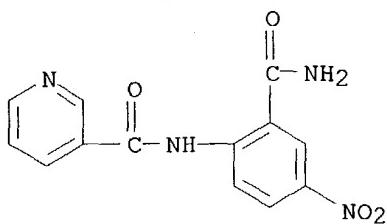
RN 157864-28-3 HCPLUS

CN 3-Pyridinecarboxamide, N-[2-(aminocarbonyl)phenyl]- (9CI) (CA INDEX NAME)

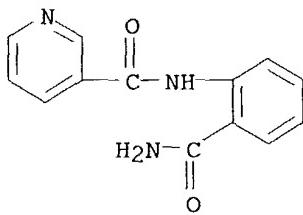


RN 157864-30-7 HCPLUS

CN 3-Pyridinecarboxamide, N-[2-(aminocarbonyl)-4-nitrophenyl]- (9CI) (CA INDEX NAME)

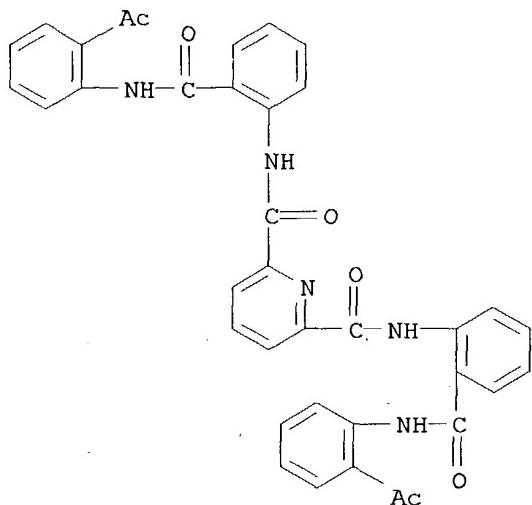


L10 ANSWER 21 OF 33 HCAPLUS COPYRIGHT 2004 ACS on STN
 AN 1995:746792 HCAPLUS
 DN 123:132021
 TI Discovery of Potent Cyclic GMP Phosphodiesterase Inhibitors. 2-Pyridyl- and 2-Imidazolylquinazolines Possessing Cyclic GMP Phosphodiesterase and Thromboxane Synthesis Inhibitory Activities
 AU Lee, Sung J.; Konishi, Yoshitaka; Yu, Dingwei T.; Miskowski, Tamara A.; Riviello, Christopher M.; Macina, Orest T.; Frierson, Manton R.; Kondo, Kigen; Sugitani, Masafumi; et al.
 CS Biofor Inc., Waverly, PA, 18471, USA
 SO Journal of Medicinal Chemistry (1995), 38(18), 3547-57
 CODEN: JMCMAR; ISSN: 0022-2623
 PB American Chemical Society
 DT Journal
 LA English
 AB Moderate cyclic GMP phosphodiesterase (cGMP-PDE, PDE V) inhibitor 2-phenyl-4-anilinoquinazoline (I) was identified utilizing MultiCASE assisted drug design (MCADD) technol. Modification of I was conducted at the 2-, 4-, and 6-positions of the quinazoline ring for enhancement of cGMP-PDE inhibitory activity. The 6-substituted 2-(imidazol-1-yl)quinazolines are 1000 times more potent in in vitro PDE V enzyme assay than the well-known inhibitor zaprinast. The 6-substituted derivs. of 2-(3-pyridyl)quinazoline and 2-(imidazol-1-yl)quinazoline exhibited more than 1000-fold selectivity for PDE V over the other four PDE isoenzymes. In addition, 3 cGMP-PDE inhibitors were found to have an addnl. property of thromboxane synthesis inhibitory activity.
 IT 157864-28-3P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (pyridyl- and imidazolylquinazolines as cyclic GMP phosphodiesterase and thromboxane synthesis inhibitors)
 RN 157864-28-3 HCAPLUS
 CN 3-Pyridinecarboxamide, N-[2-(aminocarbonyl)phenyl]- (9CI) (CA INDEX NAME)

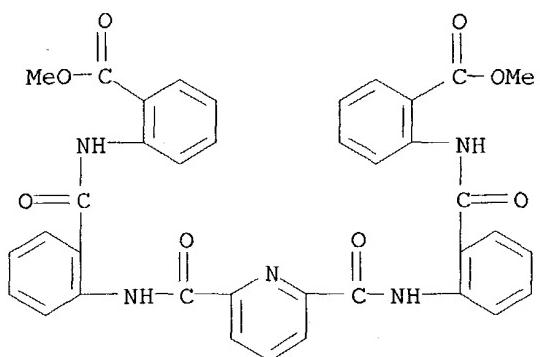


L10 ANSWER 22 OF 33 HCAPLUS COPYRIGHT 2004 ACS on STN
 AN 1995:714439 HCAPLUS
 DN 123:216778
 TI Metallohelices: Effects of Weak Interactions on Helical Morphology
 AU Kawamoto, Tatsuya; Prakash, Om; Ostrander, Robert; Rheingold, Arnold L.;
 Borovik, A. S.
 CS Department of Chemistry, Kansas State University, Manhattan, KS, 66506,
 USA
 SO Inorganic Chemistry (1995), 34(17), 4294-5
 CODEN: INOCAJ; ISSN: 0020-1669
 PB American Chemical Society
 DT Journal
 LA English
 AB The significant effects of weak interactions on the morphol. of metallohelices are demonstrated in metal complexes of the helical ligand 2,6-bis[({N'}-acetophenoyl)anthranilamide]carboxyamide]pyridine (H2L). This ligand contains 2 aryl arrays that are held rigid through hydrogen bonds and covalently attached to a pyridyl diamidate metal binding chelate. The morphologies helixes formed with H2L results from the weak interactions between the appended arrays and the tridentate chelate. H2L has a helical structure in the solid state with the 2 appendage crossing, interacting through π -stacking: (P.hivin.1, a 7.3507(8), b 10.627(1), and c 20.098(3) Å; α 96.64(1), β 98.07(1), γ 90.26(1) $^\circ$; V = 1543.6(3) Å³, Z = 2, 3598 unique data ($F_o \geq 4\sigma F_c$), R(Rw) = 0.0523(0.0656)). NMR and IR studies on the diamagnetic NiL complex show that the helical structure is present in solution. Structural studies by x-ray diffraction methods on the copper(II) derivs. of L2- show the large effects that coordination changes have on helical morphol. Two structural isomers were isolated for CuL: a five coordinate green compound (CuLg) and a four coordinate red complex (CuLr). The five coordinate green complex crystallized from toluene in the space group P.hivin.1 with two independent mols. in the asym. unit cell. The unit cell consts. are a 12.402(3), b 15.382(3), and c 23.267(5) Å, α 107.09(2), β 90.68(2), γ 104.18(2) $^\circ$; V = 4096.4(15) Å³, and Z = 4. Final residuals for the refinement of 985 parameters against 9210 data were R = 0.0678 and Rw = 0.0681 with a GOF = 1.96. The four coordinate red complex crystallized from toluene in the space group C2/c with unit cell consts. a 24.087(6), b 12.165(3), and c 23.806 Å; β 117.450(2) $^\circ$, and Z = 8. Final residuals for the refinement of 442 parameters against 2662 data R = 0.0411 and Rw = 0.0486 with a GOF = 0.95. The differences in these two structural isomers is even more pronounced in their crystal lattices where micropores dominate the lattice architecture for CuLg and extended helixes are present in CuLr.

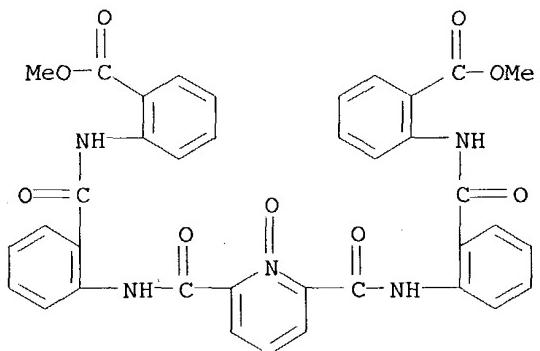
IT 168284-90-0
 RL: PRP (Properties); RCT (Reactant); RACT (Reactant or reagent)
 (crystal structure and complexation with copper and nickel)
 RN 168284-90-0 HCAPLUS
 CN 2,6-Pyridinedicarboxamide, N,N'-bis[2-[(2-acetylphenyl)amino]carbonyl]phenyl]- (9CI) (CA INDEX NAME)



L10 ANSWER 23 OF 33 HCAPLUS COPYRIGHT 2004 ACS on STN
 AN 1995:71053 HCAPLUS
 DN 122:105008
 TI Intra- and intermolecular hydrogen bonding control of supramolecular structure
 AU Hamilton, Andrew D.; Hamuro, Yoshitomo; Yang, Ji; Geib, Steven J.; Fan, Erkang
 CS Department Chemistry, University Pittsburgh, Pittsburgh, PA, 15260, USA
 SO NATO ASI Series, Series C: Mathematical and Physical Sciences (1994), 426(COMPUTATIONAL APPROACHES IN SUPRAMOLECULAR CHEMISTRY), 101-8
 CODEN: NSCSDW; ISSN: 0258-2023
 DT Journal
 LA English
 AB Hydrogen bonding is used to control supramol. structure in two distinct ways. The first involves intramol. hydrogen bonds to stabilize linear and helical conformations in synthetic oligomers. The second uses intermol. hydrogen bonding to direct the self-assembly of several interacting subunits.
 IT 155138-99-1P 155139-01-8P
 RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
 (preparation and crystallog. of)
 RN 155138-99-1 HCAPLUS
 CN Benzoic acid, 2,2'-[2,6-pyridinediylbis(carbonylimino-2,1-phenylene carbonylimino)]bis-, dimethyl ester (9CI) (CA INDEX NAME)



RN 155139-01-8 HCPLUS
 CN Benzoic acid, 2,2'-(1-oxido-2,6-pyridinediyl)bis(carbonylimino-2,1-phenylene carbonylimino)bis-, dimethyl ester (9CI) (CA INDEX NAME)



L10 ANSWER 24 OF 33 HCPLUS COPYRIGHT 2004 ACS on STN
 AN 1994:620104 HCPLUS

DN 121:220104

TI Transition metal complexes of N-(2-benzamide)pyridine-2'-carboxamide, a potentially tridentate ligand containing one secondary and one primary amide group: preparation and characterization in the solid state

AU Manessi-Zoupa, E.; Perlepes, S. P.; Hondrellis, V.; Tsangaris, J. M.

CS Dep. Chem., Univ. Patras, Patras, Greece

SO Journal of Inorganic Biochemistry (1994), 55(3), 217-33

CODEN: JIBIDJ; ISSN: 0162-0134

DT Journal

LA English

AB The synthesis of N-(2-carbamoylphenyl)pyridine-2-carboxamide (LH₂) is reported along with its employment as a ligand. [MCl₂(LH₂)₂].DMF (M = Co, Ni), [Cu₂Cl₄(LH₂)₂].DMF, [CuCl₂(LH₂)₂], [Co(OH)(LH)]_n.nH₂O, [M₂(OH)₂(H₂O)_x(LH₂)₂] (M = Ni, Cu; x = 4, 2), [M(LH)₂].xH₂O (M = Ni, Cu; x = 0, 1), [Ni(H₂O)₂(LH₂)₂].H₂O, and [CuCl(LH)]_n were isolated. The complexes were characterized by elemental analyses, conductivity measurements, x-ray powder patterns, thermal methods, variable-temperature magnetic susceptibilities, and spectroscopic (IR and far-IR, ligand field, ESR) studies. A variety of stereochemistries is assigned for the complexes in

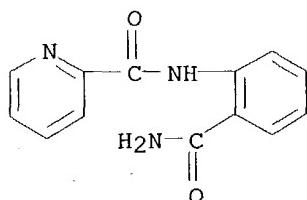
the solid state. The neutral ligand acts as a bidentate chelating agent with ligated atoms being the ring N and the secondary amide O; the LH⁻ ion behaves as a bidentate chelating Nring, Nsecondary amide or as a tridentate Nring, Nsecondary amide, Oprimary amide ligand depending mainly on the reaction conditions.

IT 157979-82-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(for preparation of transition metal complexes)

RN 157979-82-3 HCAPLUS

CN 2-Pyridinecarboxamide, N-[2-(aminocarbonyl)phenyl]- (9CI) (CA INDEX NAME)



L10 ANSWER 25 OF 33 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 1994:605373 HCAPLUS

DN 121:205373

TI 4-aminoquinazoline derivatives, and their use as medicine

IN Lee, Sung Jai; Konishi, Yoshitaka; Macina, Orest Taras; Kondo, Kigen; Yu, Dingwei Tim

PA Ono Pharmaceutical Co., Ltd., Japan

SO Eur. Pat. Appl., 86 pp.

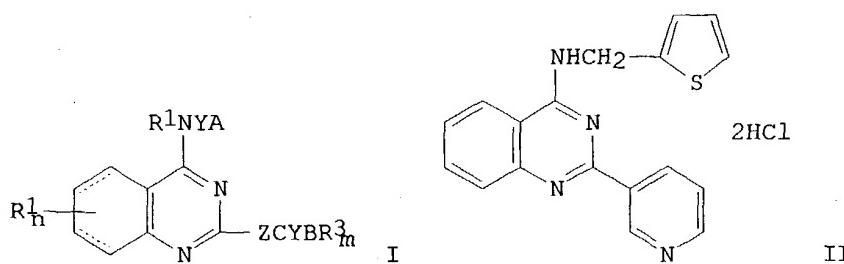
CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 3

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|--|------|----------|-----------------|--------------|
| PI | EP 579496 | A1 | 19940119 | EP 1993-305557 | 19930715 <-- |
| | EP 579496 | B1 | 20011114 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE
JP 06192235 | A2 | 19940712 | JP 1993-197039 | 19930714 <-- |
| | CA 2100626 | AA | 19940116 | CA 1993-2100626 | 19930715 <-- |
| | AT 208771 | E | 20011115 | AT 1993-305557 | 19930715 |
| | ES 2167325 | T3 | 20020516 | ES 1993-305557 | 19930715 |
| | PT 579496 | T | 20020531 | PT 1993-305557 | 19930715 |
| | JP 08099962 | A2 | 19960416 | JP 1995-264667 | 19950920 <-- |
| | JP 2923742 | B2 | 19990726 | | |
| PRAI | US 1992-913473 | A | 19920715 | | |
| | US 1993-76431 | A | 19930614 | | |
| OS | MARPAT 121:205373 | | | | |
| GI | | | | | |



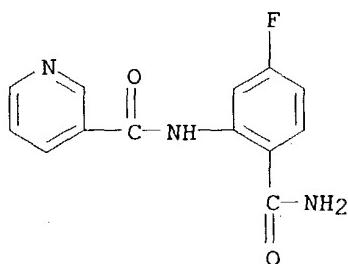
AB The title compds. I wherein R1 is H or alkyl; Y is bond or alkylene; A is (i) -CyAR2, (ii) -OR0 or -S(O)pR0, R0 = H, alkyl, etc., p is 0-2, (iii) -NR16R17, R16, R17 are H, alkyl; CyA is (1) a 3-7 membered monocyclic carbocyclic ring, (2) a 4-7 membered monocyclic hetero ring containing as hetero atoms, one N atom, one N and one O atoms, two N and one O atoms, or one N and two O atoms, (3) a 4-7 membered monocyclic hetero ring containing as hetero atoms, 1 or 2 O or S atoms, R2 is (1) H, (2) alkyl, (3) alkoxy, (4) -COOR5, in which R5 is H or alkyl, (5) -NR6R7, R6, R7 are H, alkyl, (6) -SO2NR6R7, (7) halogen, (8) CF3, (9) NO2 or (10) CF3O; Z is bond, methylene, ethylene, vinylene or ethynylene; CyB is a heterocyclic ring; R3 is H, alkyl, alkoxy, halogen or CF3; R4 is H, alkyl, alkoxy, etc., and acid addition salts thereof, salts thereof, and hydrates thereof were prepared and have inhibitory effect on cGMP-PDE, or addnl. on TXA2 synthetase. Thus, a representative prepared compound II had inhibitory activity IC50 of 3.6 x 10⁻⁷ on cGMP-PDE.

IT 157864-21-6P 157864-28-3P 157864-30-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of aminoquinazolines as cardiovascular agents)

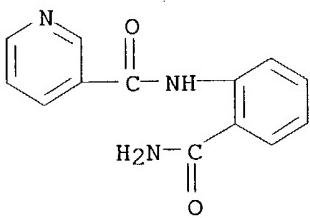
RN 157864-21-6 HCPLUS

CN 3-Pyridinecarboxamide, N-[2-(aminocarbonyl)-5-fluorophenyl]- (9CI) (CA INDEX NAME)

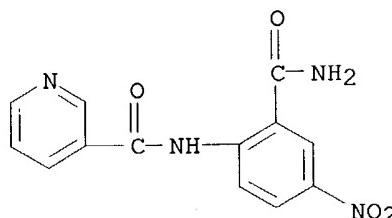


RN 157864-28-3 HCPLUS

CN 3-Pyridinecarboxamide, N-[2-(aminocarbonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 157864-30-7 HCAPLUS
 CN 3-Pyridinecarboxamide, N-[2-(aminocarbonyl)-4-nitrophenyl]- (9CI) (CA
 INDEX NAME)

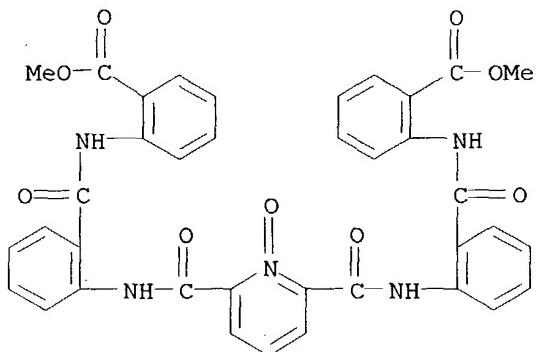


L10 ANSWER 26 OF 33 HCAPLUS COPYRIGHT 2004 ACS on STN
 AN 1994:323221 HCAPLUS
 DN 120:323221
 TI New molecular frameworks: formation of helical secondary structures in a group of oligoanthranilamides
 AU Hamuro, Yoshitomo; Geib, Steven J.; Hamilton, Andrew D.
 CS Dep. Chem., Univ. Pittsburgh, Pittsburgh, PA, 15260, USA
 SO Angewandte Chemie (1994), 106(4), 465-7 (See also Angew. Chem., Int. Ed. Engl., 1994, 33(4), 446-8)
 CODEN: ANCEAD; ISSN: 0044-8249
 DT Journal
 LA German
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Helical oligoanthranilamide I ($R = CO_2Me$) was prepared from 2,6-pyridinedicarbonyl dichloride and aminobenzamide derivative II ($Y = NH_2$). II ($Y = NH_2$) prepared from 2-nitrobenzoyl chloride condensation with anthranilic acid Me ester to give II, $Y = NO_2$ followed by catalytic hydrogenation. I ($R = CO_2Me$) was characterized by proton NMR and x-ray crystallog. and the nature of its helical structure discussed. Helical oligoanthranilamide III was also characterized by x-ray crystallog.
 IT 155139-01-8P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation and crystal and mol. structure and proton NMR of,
 conformational anal. in relation to)
 RN 155139-01-8 HCAPLUS

CN Benzoic acid, 2,2'-(1-oxido-2,6-pyridinediyl)bis(carbonylimino-2,1-phenlenecarbonylimino)bis-, dimethyl ester (9CI) (CA INDEX NAME)

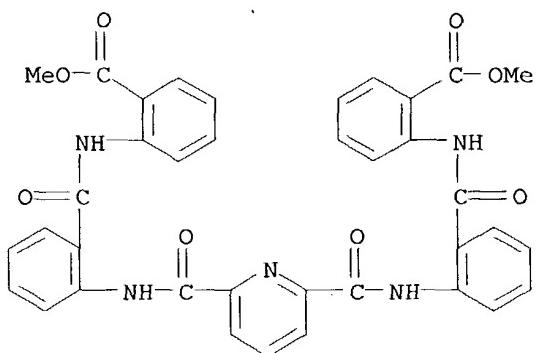


IT 155138-99-1P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation and crystal and mol. structure of)

RN 155138-99-1 HCAPLUS

CN Benzoic acid, 2,2'-(2,6-pyridinediyl)bis(carbonylimino-2,1-phenlenecarbonylimino)bis-, dimethyl ester (9CI) (CA INDEX NAME)



L10 ANSWER 27 OF 33 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 1994:270304 HCAPLUS

DN 120:270304

TI 4-Hydroxy-2-quinolones. 18. Synthesis and antithyroid activity of 1-R-2-oxo-3-(4-oxo-3H-quinazolin-2-yl)-4-hydroxyquinolines

AU Ukrainets, I. V.; Taran, S. G.; Bezugly, P. A.; Kovalenko, S. N.; Turov, A. V.; Marusenko, N. N.

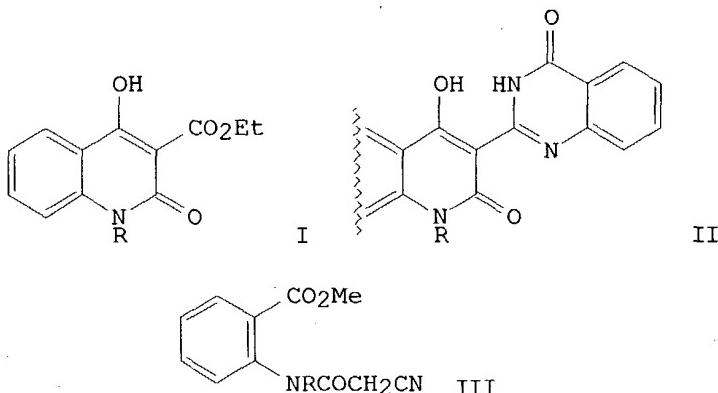
CS Ukr. Farm. Akad., Kharkov, 310002, Ukraine

SO Khimiya Geterotsiklicheskikh Soedinenii (1993), (9), 1223-6
CODEN: KGSSAQ; ISSN: 0132-6244

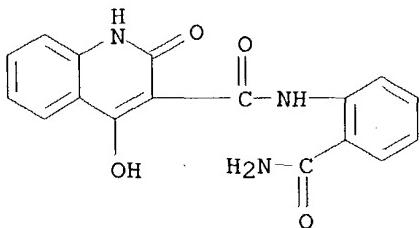
DT Journal

LA Russian

GI

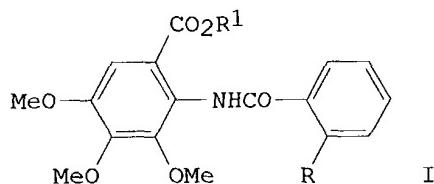


- AB Treating quinolinecarboxylates I (R = H, Me, Et, R1 = OEt) with anthranilamide gave 96-98% intermediate amides I (R1 = o-H2NCOC6H4NH) which underwent base catalyzed cyclization to give 95-96% quinazoline derivs. II. The latter could also be obtained starting from Et anthranilate derivative III. All compds. reduced the level of thyroxine with II (R = H) showing the greater decrease.
- IT **154325-54-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and intramol. cyclocondensation of, in preparation of hydroxyxooquinolylquinazolinone)
- RN 154325-54-9 HCPLUS
CN 3-Quinolinecarboxamide, N-[2-(aminocarbonyl)phenyl]-1,2-dihydro-4-hydroxy-2-oxo- (9CI) (CA INDEX NAME)



- L10 ANSWER 28 OF 33 HCPLUS COPYRIGHT 2004 ACS on STN
AN 1982:162351 HCPLUS
DN 96:162351
TI Anthranilic acid derivatives
PA Kyoto Pharmaceutical Industries, Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 4 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1
- | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--------------------|------|----------|-----------------|--------------|
| PI JP 56161362 | A2 | 19811211 | JP 1980-43744 | 19800403 <-- |
| PRAI JP 1980-43744 | | 19800403 | | |

OS CASREACT 96:162351
 GI



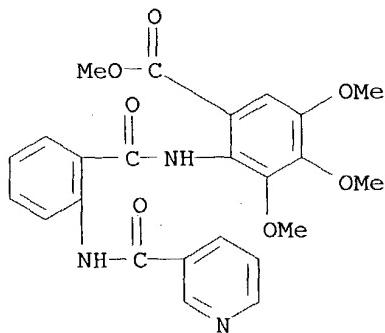
AB Four anthranilic acid derivs. I (R = NO₂, NH₂, nicotinamido; R₁ = Me, H), having smooth muscle-relaxing or contracting activity (no data), were prepared from Me 2-amino-3,4,5-trimethoxybenzoate (II). Thus, 2.45 g II acylated with 1.9 g 2-nitrobenzoyl chloride in CHCl₃ gave 82% I (R = NO₂, R₁ = Me), which was reduced over Pd-C to give I (R = NH₂, R₁ = Me). Acylation with nicotinoyl chloride gave I (R = nicotinamido, R₁ = Me) (III), which was hydrolyzed with 0.5 N NaOH at 40–50° to give I (R = nicotinamido, R₁ = H). III was also prepared by cultivating Aspergillus terreus afficanus IFO 8835.

IT **81469-77-4P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and hydrolysis of)

RN 81469-77-4 HCPLUS

CN Benzoic acid, 3,4,5-trimethoxy-2-[2-[(3-pyridinylcarbonyl)amino]benzoyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

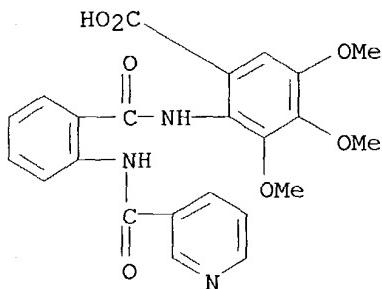


IT **81469-76-3P**

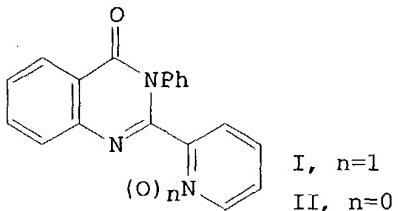
RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 81469-76-3 HCPLUS

CN Benzoic acid, 3,4,5-trimethoxy-2-[2-[(3-pyridinylcarbonyl)amino]benzoyl]amino]- (9CI) (CA INDEX NAME)



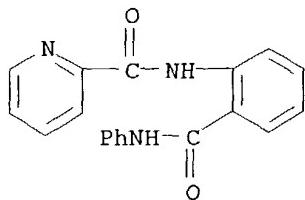
L10 ANSWER 29 OF 33 HCAPLUS COPYRIGHT 2004 ACS on STN
 AN 1980:586283 HCAPLUS
 DN 93:186283
 TI Some reactions of 2-heterocycle-4(3H)-quinazolinones with electrophilic reagents
 AU Muraoka, Keiji; Ichikawa, Masataka; Hisano, Takuzo
 CS Fac. Pharm. Sei., Kumamoto Univ., Japan
 SO Yakugaku Zasshi (1980), 100(4), 375-85
 CODEN: YKKZAJ; ISSN: 0031-6903
 DT Journal
 LA Japanese
 OS CASREACT 93:186283
 GI



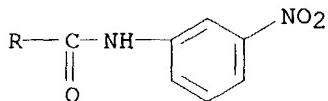
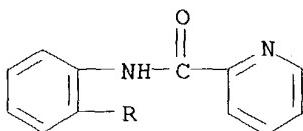
AB 2-(1-Oxido-2-pyridino)-3-phenyl-4(3H)-quinazolinone (I), 2-(1-oxido-2-pyridinio)-3-phenyl-4(3H)-quinazolinone 1-oxide, and the control compound, 3-phenyl-2-(2-pyridyl)-4(3H)-quinazolinone (II) were nitrated under appropriate conditions to give 3-(3-nitrophenyl)-2-(1-oxido-2-pyridinio)-4(3H)-quinazolinone, 3-(3-nitrophenyl)-2-(1-oxido-2-pyridyl)-4(3H)-quinazolinone 1-oxide, and 3-(3-nitrophenyl)-2-(2-pyridyl)-4(3H)-quinazolinone or the dinitro derivative 6-nitro-3-(3-nitrophenyl)-2-(2-pyridyl)-4(3H)-quinazolinone selectively and in comparatively higher yield. II was halogenated with N-bromosuccinimide or N-chlorosuccinimide by varying reaction temperature and concentration of H₂SO₄, and by adding silver sulfate as an activator, to give 3-(3-bromophenyl)-2-(2-pyridyl)-4(3H)-quinazolinone and 6-bromo-3-phenyl-2-(2-pyridyl)-4(3H)-quinazolinone or the dihalides 3-(3,4-dibromophenyl)-2-(2-pyridyl)-4(3H)-quinazolinone or 6-bromo-3-(3-bromophenyl)-2-(2-pyridyl)-4(3H)-quinazolinone, and a further derivative which was presumably a trihalide.

IT 75359-17-0 75359-18-1
 RL: RCT (Reactant); RACT (Reactant or reagent)

RN 75359-17-0 HCAPLUS
 CN 2-Pyridinecarboxamide, N-[2-[(phenylamino)carbonyl]phenyl]- (9CI) (CA INDEX NAME)



RN 75359-18-1 HCAPLUS
 CN 2-Pyridinecarboxamide, N-[2-[(3-nitrophenyl)amino]carbonyl]phenyl- (9CI)
 (CA INDEX NAME)



L10 ANSWER 30 OF 33 HCAPLUS COPYRIGHT 2004 ACS on STN
 AN 1973:546545 HCAPLUS
 DN 79:146545
 TI 2-Pyridyl-4(3H)-quinazolinones
 IN Hisano, Takuzo; Ichikawa, Masataka; Ide, Hiroyuki; Noda, Kanji; Nakagawa, Akira; Motomura, Toshiharu
 PA Hisamitsu Pharmaceutical Co., Inc.
 SO Jpn. Kokai Tokkyo Koho, 7 pp.
 CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|-------------|------|----------|-----------------|--------------|
| PI | JP 48062779 | A2 | 19730901 | JP 1971-98092 | 19711203 <-- |
| | JP 54034749 | B4 | 19791029 | | |

PRAI JP 1971-98092 19711203

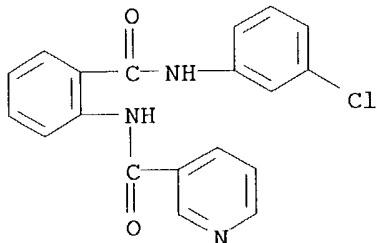
GI For diagram(s), see printed CA Issue.

AB Quinazolinones (I) were prepared by cyclizing, e.g., 2-nicotinamido-3'-chlorobenzanilide (II). Thus, heating II 18 hr at 200° gave I (R = m-Cl, pyridyl 3-substituted). Similarly, 18 addnl. I were prepared

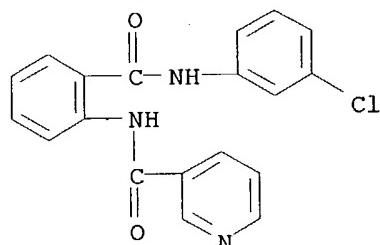
IT 39122-37-7

RL: RCT (Reactant); RACT (Reactant or reagent)
 (cyclization of, pyridylquinazolinone from)

RN 39122-37-7 HCAPLUS
 CN 3-Pyridinecarboxamide, N-[2-[(3-chlorophenyl)amino]carbonyl]phenyl-
 (9CI) (CA INDEX NAME)



L10 ANSWER 31 OF 33 HCAPLUS COPYRIGHT 2004 ACS on STN
 AN 1973:58340 HCAPLUS
 DN 78:58340
 TI Syntheses and pharmacological activities of 2-heterocyclic substituted 4(3H)-quinazolinone derivatives
 AU Hisano, Takuzo; Ichikawa, Masataka; Kito, Go; Nishi, Tomoyuki
 CS Fac. Pharm. Sci., Kumamoto Univ., Kumamoto, Japan
 SO Chemical & Pharmaceutical Bulletin (1972), 20(12), 2575-84
 CODEN: CPBTAL; ISSN: 0009-2363
 DT Journal
 LA English
 AB The preparation of a series of 2-pyridyl-4(3H)-quinazolinones is described. Studies on the structure-activity relationship demonstrated that 2-pyridyl, 3-pyridyl, and 4-pyridyl substitution at 2 position of quinazolinone ring, and o-, m-, and p-substitution of the aromatic ring at 3 position are suitable for manifestation of hypnotic activity. The order of potency of activities produced by the difference in the position of substitution of substituents at 2 and 3 position decreased in the order of 4-pyridyl, o-tolyl > 3-pyridyl, o-tolyl > 2-pyridyl, o-tolyl. The anthranilates of these 4(3H)-quinazolinones were inactive. A maximum hypnotic effect accompanied with other potent pharmacol. properties was observed in 2-(4-pyridyl)-3-o-tolyl-4(3H)-quinazolinone, the potency of which was equal to or stronger than Methaqualone in mice.
 IT 39122-37-7P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 39122-37-7 HCAPLUS
 CN 3-Pyridinecarboxamide, N-[2-[(3-chlorophenyl)amino]carbonyl]phenyl-
 (9CI) (CA INDEX NAME)

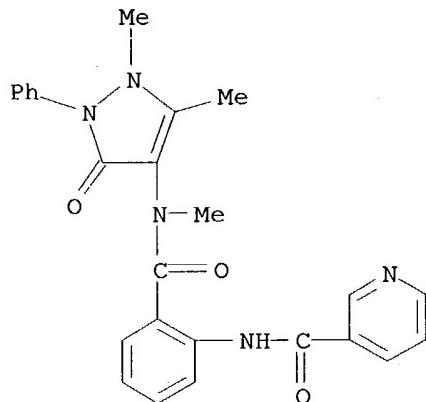


L10 ANSWER 32 OF 33 HCAPLUS COPYRIGHT 2004 ACS on STN
 AN 1966:403958 HCAPLUS
 DN 65:3958
 OREF 65:699h,700a-f
 TI Syntheses and reactions of imidazoles
 AU Almirante, L.; Mugnaini, A.; Fritz, L. Polo; Provinciali, E.
 CS Lab. Bioterapio Milanese Selvi, Milan
 SO Bollettino Chimico Farmaceutico (1966), 105(1), 32-44
 CODEN: BCFAAI; ISSN: 0006-6648
 DT Journal
 LA Italian
 OS CASREACT 65:3958
 AB 2-Aminopyridine (I) (425 g.), and 450 g. BrCH₂CH(OMe)₂ (II) in 500 ml. toluene refluxed 16 hrs. gave 1-(2,2-di-methoxyethyl)-2-aminopyridinium bromide, which was made basic in 400 ml. H₂O to yield 322 g. 1-(2,2-dimethoxyethyl)-2-imino-1,2-dihydropyridine (III), b1 108°; HCl salt m. 184-5° (EtOH). Similarly was prepared the 4-methyl derivative of III, b2 137°; picrate m. 147-8° (EtOH). III b2.5 123-6°, was also obtained from 19 g. I, 33.8 g. II, and 20.16 NaHCO₃ by boiling 25 hrs. in 40 ml. PhMe. By this method were prepared the 6-methyl derivative of III, b1.5 120°, 2-imino-1-(2,2-dimethoxyethyl)pyrimidine, b2.5 123° [picrate m. 135-6° (EtOH)], and 1-(2,2-dimethoxyethyl)-2-imino-1,2-dihydrothiazole, b2 112°; HCl salt m. 156-7° (iso-PrOH). III (322 g.) was added slowly to 1750 ml. H₂SO₄ at 0° and the solution kept 5 hrs. at 90° to give 203 g. imidazo[1,2-a]pyridine (IV), b0.5 97° [n]D₂₀ 1.6211; picrate m. 216-17° (EtOH). Similarly, 5-methylimidazo[1,2-a]pyridine, b1.5 109° [picrate m. 232-3° (EtOH)], 7-methylimidazo[1,2-a]pyridine b0.7 113° [picrate m. 223-4° (EtOH)], imidazo[1,2-a]pyrimidine, m. 131-3° (C₆H₆), and imidazo[1,2-b]thiazole, b2 106° [picrate m. 205-6° (EtOH)], were prepared II (11.2 g.) in 11 ml. H₂O containing 2.5 ml. 48% HBr was shaken 2 hrs., poured into 150 ml. H₂O, treated with 25 g. NaHCO₃ and 8 g. 5-bromo-2-aminopyridine, and shaken 7 hrs. at 20° to give 76% 6-bromoimidazo[1,2-a]pyridine, b1.5 165°, m. 53-5°; perchlorate, m. 236-8° (EtOH). Similarly, 6-chloroimidazo[1,2-a]pyridine, b1.5 132° [perchlorate m. 223-4° (EtOH)] was prepared 2-Aminopyrimidine (19 g.), and 13.7 g. BrCH₂OMe suspended in 80 ml. EtOH was heated 3 hrs. at 60° to give 29% 2-methylimidazo[1,2-a]pyrimidine hydrobromide, m. 254-5°. Similarly, 2-methylimidazo[1,2-a]pyridine b2 105° [HCl salt, 195-6° (EtOH)], and 2,5-dimethylimidazo[1,2-a]pyridine b0.5 112° [perchlorate 215-16° (EtOH)] were obtained. IV (5.9 g.) and 2.25 g. Me₂NH in AcOH was mixed with 1.5 g. HCHO and 25 ml. AcOH, and heated 3 hrs. at 60° to give 6.7 g. hygroscopic 3-(dimethylaminomethyl)imidazo[1,2-a]pyridine, m. 80-1° (ligroine); methiodide m. 233-4° (EtOH). Similarly, 2-methyl-2-(dimethylaminomethyl)imidazo[1,2-a]pyridine-2HCl, m. 250-1° (EtOH-Et₂O) [methiodide m. 200-1° (decomposition) (EtOH)], 2-methyl-3-(diethylaminomethyl)imidazo[1,2-a]pyridine-2HCl·H₂O, m. 203° (decomposition) (EtOH-Et₂O), 2-methyl-3-(morpholinomethyl)imidazo[1,2-a]pyridine, m. 93-5° (ligroine), 2-methyl-3-[4(β-hydroxyethyl)-piperazin-1-ylmethyl]imidazo[1,2-a]pyridine, m. 167-9° (C₆H₆C₆H₁₂), 2-methyl-3-[bis-(2-hydroxyethyl)aminomethyl]imidazo[1,2-a]pyridine, m. 114-16°

(C₆H₆), 7-methyl-3-(dimethylaminomethyl)imidazo[1,2- α]pyridine-2HCl, 250-2° (C₆H₆) [methiodide m. 232-3° (decomposition) (EtOH)], and 2-(p-chlorophenyl)-3-(di-methylaminomethyl)imidazo[1,2- α]pyridine-2HCl, m. 222-4° (EtOH-Et₂O), [methiodide m. 220-22° (decomposition) (EtOH)], were prepared IV (11.8 g.) in 20 ml. Me₂NCHO was treated

with 46.5 g. POCl₃ in 60 ml. Me₂NCHO with shaking at 0° and then heated 7 hrs. at 75° to give 31% 3-formylimidazo[1,2- α]pyridine, m. 127-9°; HCl salt 242.5-4.5° (EtOH). The formyl derivative (66 g.), 39.5 g. H₂NOH.HCl, and 65 g. HCO₂Na in 500 ml. HCO₂H was refluxed 3 hrs. with stirring to give 62 g. 3-carbamoylimidazo[1,2- α]pyridine, m. 252-5° (iso-PrOH); HCl salt m. 298-9°; perchlorate m. 257.5-9.5°. The carbamoyl derivative (62 g.) was suspended in 500 ml. POCl₃ and refluxed 15 hrs. with stirring until clear to give 49.7 g. 3-cyanoimidazo[1,2- α]pyridine, m. 156.5-7.5° (iso-PrOH). This derivative (1.4 g.) in 30 ml. EtOH containing 4.3 g. 85% KOH in 8.6 g. H₂O was refluxed 12 hrs. under N to yield 0.9 g. 3-carboxyimidazo[1,2- α]pyridine, m. 244-5° (decomposition) (H₂O).

IT 6188-07-4, Nicotinanilide, 2'-(antipyrinylmethylcarbamoyl)-
(preparation of)
RN 6188-07-4 HCPLUS
CN Nicotinanilide, 2'-(antipyrinylmethylcarbamoyl)- (7CI, 8CI) (CA INDEX
NAME)



L10 ANSWER 33 OF 33 HCPLUS COPYRIGHT 2004 ACS on STN

AN 1966:403957 HCPLUS

DN 65:3957

OREF 65:699f-h

TI New acyl derivatives of 4-aminoantipyrine

AU Dory, Istvan; Puklics, Maria

CS Choinin Gyogyszer Vegyeszeti Termek Gyara, Budapest, Hung.

SO Magyar Kemial Folyoirat (1966), 72(4), 174-6

CODEN: MGKFA3; ISSN: 0025-0155

DT Journal

LA Hungarian

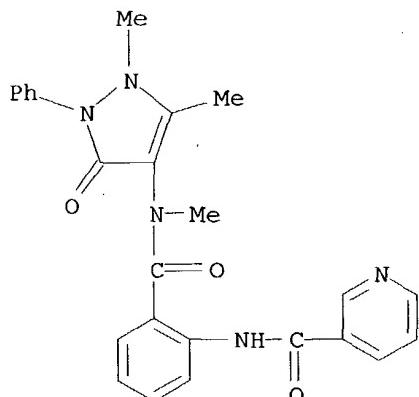
AB 4-Aminoantipyrine (I) and its Me-and PhCH₂ derivs. were condensed with N-nicotinoyl o- and p-aminobenzoic acid, and, N-nicotinoylanthranilic acid (II), yielding compds. which have pain relieving properties, similar in activity to algopyrine and amidazophene, but of lesser toxicity. Thus the

Na salt of the nicotinoyl derivative in C6H6 or CH2Cl2 was treated with SOCl2 to yield the corresponding acyl halide, which without further separation, was condensed with I or its derivs. Compds. prepared include 4-[p-(nicotinoylamino)benzoyl]aminoantipyrine (III), 55.4%; the p-(nicotinoylamino)benzoyl; p-methylamino analog 93.3%; the 4-N-Me derivative of III, the 4-N-benzyl derivative of III, 34.7%; and 4- N- methyl-4 - N-nicotinoylantranilylaminoantipyrine. Condensation of I with II yielded, owing to ring closure, 2-(β -pyridyl)-3-(4-antipyrinyl)-4-quinazolone, instead of the expected condensation compound

IT 6188-07-4, Nicotinanilide, 2'-(antipyrinylmethylcarbamoyl)-
(preparation of)

RN 6188-07-4 HCPLUS

CN Nicotinanilide, 2'-(antipyrinylmethylcarbamoyl)- (7CI, 8CI) (CA INDEX
NAME)



=> log y
COST IN U.S. DOLLARS

| | SINCE FILE
ENTRY | TOTAL
SESSION |
|--|---------------------|------------------|
|--|---------------------|------------------|

FULL ESTIMATED COST , 166.52 480.55

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

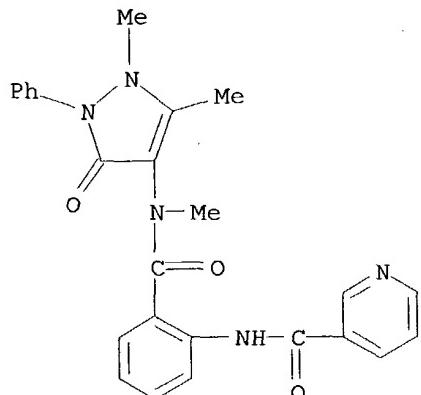
| | SINCE FILE
ENTRY | TOTAL
SESSION |
|--|---------------------|------------------|
|--|---------------------|------------------|

CA SUBSCRIBER PRICE -23.10 -23.10

STN INTERNATIONAL LOGOFF AT 08:59:53 ON 15 NOV 2004

Na salt of the nicotinoyl derivative in C₆H₆ or CH₂Cl₂ was treated with SOCl₂ to yield the corresponding acyl halide, which without further separation, was condensed with I or its derivs. Compds. prepared include 4-[p-(nicotinoylamino)benzoyl]aminoantipyrine (III), 55.4%; the p-(nicotinoylamino)benzoyl; p-methylamino analog 93.3%; the 4-N-Me derivative of III, the 4-N-benzyl derivative of III, 34.7%; and 4- N- methyl-4 - N-nicotinoylantranilylaminoantipyrine. Condensation of I with II yielded, owing to ring closure, 2-(β-pyridyl)-3-(4-antipyrinyl)-4-quinazolone, instead of the expected condensation compound

IT 6188-07-4, Nicotinanilide, 2'-(antipyrinylmethylcarbamoyl)-
(preparation of)
RN 6188-07-4 HCPLUS
CN Nicotinanilide, 2'-(antipyrinylmethylcarbamoyl)- (7CI, 8CI) (CA INDEX
NAME)



| | | |
|--|------------------|---------------|
| => log y | | |
| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
| FULL ESTIMATED COST | 166.52 | 480.55 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
| CA SUBSCRIBER PRICE | -23.10 | -23.10 |

STN INTERNATIONAL LOGOFF AT 08:59:53 ON 15 NOV 2004